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* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1           Web Page for STN Seminar Schedule - N. America
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 NEWS  8  JAN 24   The new and enhanced DPCI file on STN has been released
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 NEWS 10  JAN 26   Updated MeSH vocabulary, new structured abstracts, and
                   other enhancements improve searching in STN reload of
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 NEWS 13  FEB 23   STN AnaVist Test Projects Now Available for
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 NEWS 14  FEB 25   LPCI will be replaced by LDPCI
 NEWS 15  MAR 07   Pricing for SELECTing Patent, Application, and Priority
                   Numbers in the USPAT and IFI Database Families is Now
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 NEWS 16  APR 26   Expanded Swedish Patent Application Coverage in CA/CAplus
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 NEWS 17  APR 28   The DWPI (files WPINDEX, WPIDS and WPIX) on STN have been
                   enhanced with thesauri for the European Patent Classifications
 NEWS 18  MAY 02   MEDLINE Improvements Provide Fast and Simple Access to DOI and
                   Chemical Name Information
 NEWS 19  MAY 12   European Patent Classification thesauri added to the INPADOC
                   files, PCTFULL, GBFULL and FRFULL
 NEWS 20  MAY 23   Enhanced performance of STN biosequence searches
 NEWS 21  MAY 23   Free Trial of the Numeric Property Search Feature
                   in PCTFULL on STN
 NEWS 22  JUN 20   STN on the Web Enhanced with New Patent Family Assistant and
                   Updated Structure Plug-In
 NEWS 23  JUN 20   INPADOC databases enhanced with first page images
 NEWS 24  JUN 20   PATDPA database updates to end in June 2011
 NEWS 25  JUN 21   INPADOC: Delay of German patent coverage
 NEWS 26  JUN 26   MARPAT Enhancements Save Time and Increase Usability
 NEWS 27  JUL 25   STN adds Australian patent full-text database,
                   AUPATFULL, including the new numeric search feature.
 NEWS 28  AUG 01   CA Sections Added to ACS Publications Web Editions
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 NEWS EXPRESS 17 DECEMBER 2010 CURRENT WINDOWS VERSION IS V8.4.2 .1,
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FILE 'HOME' ENTERED AT 18:16:09 ON 14 AUG 2011

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.23	0.23

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Uploading C:\Users\tmcintosh\Documents\STN Express 8.4\Queries\10598520 claim 77.str

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 18:17:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 202 TO ITERATE

100.0% PROCESSED 202 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         3188 TO     4892
PROJECTED ANSWERS:               4 TO      200

L2            4 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 18:17:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     3645 TO ITERATE

100.0% PROCESSED     3645 ITERATIONS                              99 ANSWERS
SEARCH TIME: 00.00.01

L3           99 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   197.37     197.60

FILE 'CAPLUS' ENTERED AT 18:17:25 ON 14 AUG 2011
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FILE COVERS 1907 - 14 Aug 2011  VOL 155 ISS 8
FILE LAST UPDATED: 12 Aug 2011  (20110812/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Jun 2011
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Jun 2011

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the first quarter of 2011.

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This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l3
L4          190 L3
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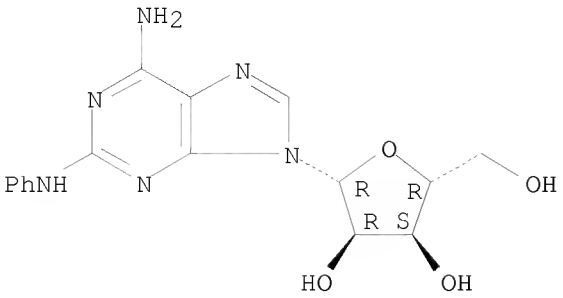
=> d bib abs hitstr 1-190 l4

L4 ANSWER 1 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2010:1575092 CAPLUS
DN 154:56310
TI Adenosine receptor regulation of coronary blood flow in Ossabaw miniature swine
AU Long, Xin; Mokelke, Eric A.; Neeb, Zachary P.; Alloosh, Mouhamad; Edwards, Jason M.; Sturek, Michael
CS Department of Cellular and Integrative Physiology, Indiana University School of Medicine, Indianapolis, IN, USA
SO Journal of Pharmacology and Experimental Therapeutics (2010), 335(3), 781-787
CODEN: JPETAB; ISSN: 0022-3565
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB Adenosine clearly regulates coronary blood flow (CBF); however, contributions of specific adenosine receptor (AR) subtypes (A1, A2A, A2B, A3) to CBF in swine have not been determined ARs generally decrease (A1, A3) or increase (A2A, A2B) cyclic adenosine monophosphate, a major mediator of vasodilation. We hypothesized that A1 antagonism potentiates coronary vasodilation and coronary stent deployment in dyslipidemic Ossabaw swine elicits impaired vasodilation to adenosine that is associated with increased A1/A2A expression. The left main coronary artery was accessed with a guiding catheter allowing intracoronary infusions. After placement of a flow wire into the left circumflex coronary artery the responses to bolus infusions of adenosine were obtained. Steady-state infusion of AR-specific agents was achieved by using a small catheter fed over the flow wire in control pigs. CBF was increased by the A2-nonselective agonist 2-phenylaminoadenosine (CV 1808) in a dose-dependent manner. Baseline CBF was increased by the highly A1-selective antagonist 8-cyclopentyl-1,3-dipropylxanthine (DPCPX), but not changed by other AR-specific agents. The nonselective A2 antagonist 3,7-dimethyl-1-propargylxanthine and A2A-selective antagonist ZM 241385 abolished adenosine-induced CBF, whereas A2B and A3 antagonism had no effect. Dyslipidemia and stenting decreased adenosine-induced CBF .apprx.70%, whereas A1, A2A, and A2B mRNA were up-regulated in dyslipidemic vs. control >5-fold and there was no change in the ratio of A1/A2A protein in microvessels distal to the stent. In control Ossabaw swine A1 antagonism by DPCPX pos. regulated basal CBF. Impaired adenosine-induced CBF after stenting in dyslipidemia is most likely caused by the altered balance between A1 and A2A signaling, not receptor expression.
IT 53296-10-9, CV 1808
RL: PAC (Pharmacological activity); BIOL (Biological study)
(adenosine receptor regulation of coronary blood flow in Ossabaw miniature swine)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

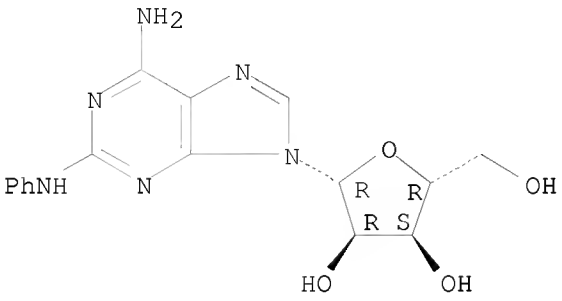
L4 ANSWER 2 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2010:819643 CAPLUS
DN 153:154787
TI Combination of monosaccharides and adenosine for cosmetic uses
IN Laboureau, Julien; Simonnet, Jean-Thierry; Portes, Pascal
PA L'Oreal, Fr.
SO U.S. Pat. Appl. Publ., 17pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20100168049	A1	20100701	US 2009-649367	20091230
	FR 2940611	A1	20100702	FR 2008-59151	20081230
	JP 2010155834	A	20100715	JP 2009-298271	20091228
	KR 2010080437	A	20100708	KR 2009-132924	20091229
	EP 2204154	A1	20100707	EP 2009-181014	20091230
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, AL, BA, RS				
	CN 101856313	A	20101013	CN 2009-10265295	20091230
	BR 2009005230	A2	20110322	BR 2009-5230	20091230
PRAI	FR 2008-59151	A	20081230		
	US 2009-144756P	P	20090115		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 153:154787
AB The present invention relates to a composition, especially a cosmetic and/or dermatol. composition, containing, in a physiol. acceptable medium, a combination of a monosaccharide chosen from mannose, rhamnose and a mixture thereof, and of an addnl. compound chosen from adenosine, an analog thereof and a mixture thereof. Thus, a cosmetic formulation contained Hostacerin AMPS 1.00, cyclohexasiloxane 5.00, apricot kernel oil 7, Isononyl isononanoate 7, stearyl alc. 0.30, glyceryl stearate/PEG-100 stearate 0.70, Dimyristyl tartrate/cetearyl alc./C12-15-pareth-7/PPG-25 laureth-25 0.50, xanthan gum 0.20, mannose 2.5, rhamnose 2.5, adenosine 0.1, preservatives 0.5, and water qs to 100%.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination of monosaccharides and adenosine for cosmetic uses)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 3 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2010:178356 CAPLUS
DN 152:255274
TI Administration by infusion for the treatment of ischemic effects
IN Weber, Uno Jakob; Gotfredsen, Jacob
PA Neurokey A/S, Den.
SO PCT Int. Appl., 160pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2010015260	A2	20100211	WO 2009-DK50196	20090807
	WO 2010015260	A3	20100617		
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
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	WO 2009071095	A2	20090611	WO 2008-DK50293	20081205
	WO 2009071095	A3	20090723		
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AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI DK 2008-1079 A 20080807
WO 2008-DK50293 A 20081205
DK 2007-1742 A 20071205
DK 2007-1743 A 20071205
DK 2008-716 A 20080523
DK 2008-1105 A 20080815
DK 2008-1337 A 20080926

OS MARPAT 152:255274

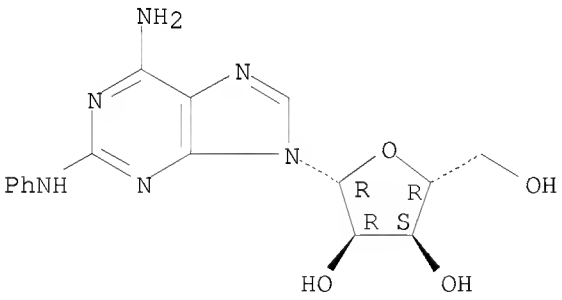
AB The invention relates to the induction of hypothermia in humans, male and female, at any age, by use of a pharmaceutical composition of formula I, wherein R1 and R2 are chemical moieties or chemical bonds, wherein R1 is selected from the group of: C, S, N, O, optionally substituted with C, S, N, O, P, OH, 5 hydrogen, alkyl, alkenyl, alkynyl, any of which may or may not be branched or comprise substituents such as phosphate, cycloalkyl, etc., wherein R2 is selected from the group of: C, S, N, O, optionally substituted one or more times with C, S, N, O, P, OH, hydrogen, alkoxy, alkyl, alkenyl, alkynyl, Ph, di-Ph, benzyl, amine (NH), halogen, substituted lower alkyl, alkenyl, aryl, heterocycloalkyl,etc., to be administered parenterally by infusion or injection, comprising at least one compound selected among vanilloid receptor agonists, capsaicinoids or capsaicinoid-like agonists reaching and binding to vanilloid receptors, and cannabinoids or cannabimimetic agonists reaching and binding to cannabinoid receptors, and adenosine receptor agonists, and neurotensin receptor agonists, and thyroxine derivs., and cytochrome c inhibitors, and oxygen tension reducers, thereby inducing hypothermia, thus benefiting patients suffering from illnesses characterized by tissue anoxia.

IT 53296-10-9, CV-1808
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(induction of therapeutic hypothermia by pharmaceutical infusion of medications for prophylaxis, mono- and combination therapy of ischemia)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 2009:1566750 CAPLUS

DN 152:67621

TI β-Adrenergic receptor agonists for the treatment of B-cell proliferative disorders
IN Rickles, Richard; Lee, Margaret S.
PA CombinatoRx, Inc., USA
SO PCT Int. Appl., 111 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2009151569	A2	20091217	WO 2009-US3449	20090608
WO 2009151569	A3	20100225		
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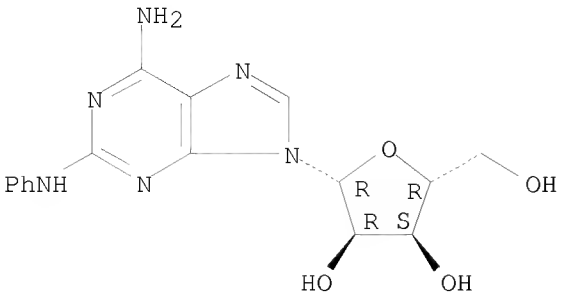
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20100009934	A1	20100114	US 2009-480034	20090608
PRAI US 2008-60064P	P	20080609		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention discloses a method for treating a B-cell proliferative disorder by administering to a patient a β-Adrenergic receptor (BAR) agonist, e.g., formulated for administration by a route other than inhalation (such as for oral or i.v. administration), in an amount effective to treat the B-cell proliferative disorder. The BAR agonist may be administered as a monotherapy or in combination with one or more other agents, e.g., a PDE inhibitor, an A2A receptor agonist, or an antiproliferative compound, in amts. that together are effective to treat the B-cell proliferative disorder. The invention further discloses pharmaceutical compns. and kits including a BAR agonist, alone or in combination with addnl. agents, for the treatment of a B-cell proliferative disorder.

IT 53296-10-9, CV 1808
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(β-Adrenergic receptor agonists for treatment of B-cell proliferative disorders, and use with other agents)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

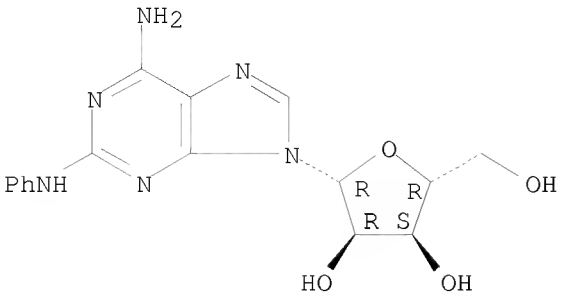
Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 5 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2009:1496452 CAPLUS
DN 153:34972
TI Adenosine: roles of different receptor subtypes in mediating histamine release from human and rodent mast cells
AU Yip, K. H.; Wong, L. L.; Lau, H. Y. A.
CS Department of Pharmacology, Faculty of Medicine, Chinese University of Hong Kong, Hong Kong SAR, Peop. Rep. China
SO Inflammation Research (2009), 58(Suppl. 1), S17-S19
CODEN: INREFB; ISSN: 1023-3830
PB Birkhaeuser Verlag
DT Journal
LA English
AB The effects of adenosine and adenosine receptor agonists on basal and anti-IgE induced histamine release from rat (RPMC) were compared with human mast cells (HCMC). Adenosine and its analogs alone did not initiate histamine release from RPMC and HCMC. However, adenosine could modulate IgE-dependent mediator release from both mast cell types, but with totally opposite predominant actions. Adenosine (10-5-10-3 M) produced a dose-dependent potentiating effect on anti-IgE induced histamine release in RPMC but a predominantly inhibitory action in HCMC. When adenosine was added simultaneously with anti-IgE to RPMC, an inhibitory tendency was observed at concns. below 10-5 M, while the potentiating effect observed at higher concns. remained. Contrastingly, when added to HCMC simultaneously with anti-IgE, adenosine produced only dose-dependent inhibition but slight potentiation between 10-9 to 10-7 M was observed before the strong inhibition above 10-6 M when adenosine was incubated with HCMC 10 min before anti-IgE challenge.
IT 53296-10-9, 2-Phenylamino-adenosine
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(adenosine receptor subtypes in mediating histamine release from human and rodent mast cells)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

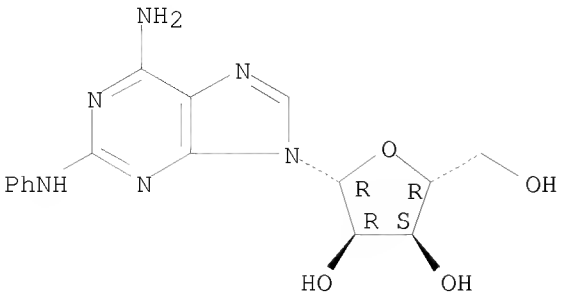
Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2009:1368423 CAPLUS
DN 152:51216
TI Drug Effects Viewed from a Signal Transduction Network Perspective
AU Fliri, Anton F.; Loging, William T.; Volkmann, Robert A.
CS Pfizer Global Research and Development, Groton, CT, 06340, USA
SO Journal of Medicinal Chemistry (2009), 52(24), 8038-8046
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Understanding how drugs affect cellular network structures and how resulting signals are translated into drug effects holds the key to the discovery of medicines. Herein we examine this cause-effect relationship by determining protein network structures associated with the generation of specific in vivo drug-effect patterns. Medicines having similar in vivo pharmacol. have been identified by a comparison of drug-effect profiles of 1320 medicines. Protein network positions reached by these medicines were ascertained by examining the coinvestigation frequency of these medicines and 1179 protein network constituents in millions of scientific investigations. Interestingly, medicine assocns. obtained by comparing by drug-effect profiles mirror those obtained by comparing drug-protein coinvestigation frequency profiles, demonstrating that these drug-protein reachability profiles are relevant to in vivo pharmacol. By using protein assocns. obtained in these investigations and independent, curated protein interaction information, drug-mediated protein network topol. models can be constructed. These protein network topol. models reveal that drugs having similar pharmacol. profiles reach similar discrete positions in cellular protein network systems and provide a network view of medicine cause-effect relationships.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug effects viewed from a signal transduction network perspective)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

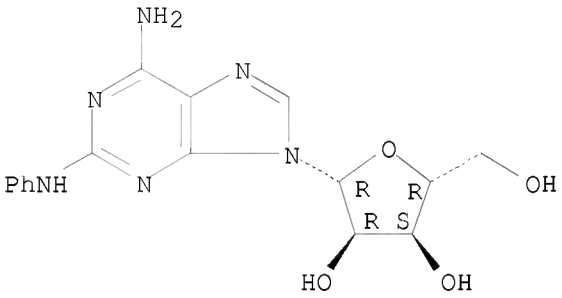


OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2009:1180279 CAPLUS
DN 152:6936
TI CkIε/δ-dependent phosphorylation is a temperature-insensitive, period-determining process in the mammalian circadian clock
AU Isojima, Yasushi; Nakajima, Masato; Ukai, Hideki; Fujishima, Hiroshi; Yamada, Rikuhiro G.; Masumoto, Koh-Hei; Kiuchi, Reiko; Ishida, Mayumi; Ukai-Tadenuma, Maki; Minami, Yoichi; Kito, Ryotaku; Nakao, Kazuki; Kishimoto, Wataru; Yoo, Seung-Hee; Shimomura, Kazuhiro; Takao, Toshifumi; Takano, Atsuko; Kojima, Toshio; Nagai, Katsuya; Sakaki, Yoshiyuki; Takahashi, Joseph S.; Ueda, Hiroki R.
CS Comparative Systems Biology Team, Genomic Science Center, RIKEN, 1-7-22, Suehiro-cho, Tsurmi, Yokohama, 230-0045, Japan
SO Proceedings of the National Academy of Sciences of the United States of America (2009), 106(37), 15744-15749, S15744/1-S15744/74
CODEN: PNASA6; ISSN: 0027-8424
PB National Academy of Sciences
DT Journal
LA English
AB A striking feature of the circadian clock is its flexible yet robust response to various environmental conditions. To analyze the biochem. processes underlying this flexible-yet-robust characteristic, we examined the effects of 1260 pharmacol. active compds. in mouse and human clock cell lines. Compds. that markedly (>10 s.d.) lengthened the period in both cell lines, also lengthened it in-central clock tissues and peripheral clock cells. Most compds. inhibited casein kinase Iε (CKIε) or CKIδ phosphorylation of the PER2 protein. Manipulation of CKIε/δ-dependent phosphorylation by these compds. lengthened the period of the mammalian clock from circadian (24 h) to circabidian (48 h), revealing its high sensitivity to chemical perturbation. The degradation rate of PER2, which is regulated by CKIε/δ-dependent phosphorylation, was temperature-insensitive in living clock cells, yet sensitive to chemical perturbations. This temperature-insensitivity was preserved in the CKIε/δ-dependent phosphorylation of a synthetic peptide in vitro. Thus, CKIε/δ-dependent phosphorylation is likely a temperature-insensitive period-determining process in the mammalian circadian clock.
IT 53296-10-9, CV-1808

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); BIOL (Biological study)
(CkIε/δ-dependent phosphorylation is temperature-insensitive, period-determining process in mammalian circadian clock)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

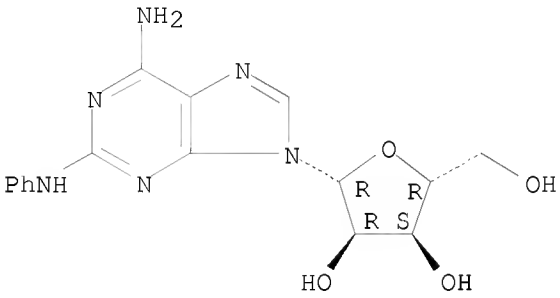


OSC.G 22 THERE ARE 22 CAPLUS RECORDS THAT CITE THIS RECORD (22 CITINGS)
RE.CNT 93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2009:1173082 CAPLUS
DN 151:542900
TI Structure-based discovery of low molecular weight compounds that stimulate neurite outgrowth and substitute for nerve growth factor
AU Williams, Britney; Dwyer, Donard S.
CS Departments of Psychiatry, and Pharmacology, Toxicology and Neuroscience, Louisiana State University Health Sciences Center, Shreveport, LA, USA
SO Journal of Neurochemistry (2009), 110(6), 1876-1884
CODEN: JONRA9; ISSN: 0022-3042
PB Wiley-Blackwell
DT Journal
LA English
AB Olanzapine, an atypical antipsychotic drug, was previously shown to protect neuronal cells against nutrient deprivation and to enhance neurite outgrowth. In an effort to identify small mols. with greater potency, the structure of olanzapine was used as a template to search com. available chemical inventories for compds. with similar features. These compds. were evaluated for their ability to protect cells against glutamine deprivation and low-serum conditions. Pos. compds., 'hits' from initial screening, were then tested for stimulation of neurite outgrowth, alone and in combination with suboptimum concns. of nerve growth factor (NGF). Numerous neuroprotective compds. (mw < 550 Da) were identified that significantly stimulated neurite outgrowth in PC12 cells. These included 4', 6'-diamidino-2-phenylindole, a nuclear stain; staurosporine, an antibiotic and kinase inhibitor; and 2-phenylamino-adenosine, an adenosine analog. The small mols. were comparable with NGF, and in fact, replaced NGF in outgrowth assays. Pharmacophore anal. of the hits led to the design and synthesis of an active compound, LSU-D84, which represented an initial lead for drug discovery efforts.
IT 53296-10-9, LSU 165

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(structure-based discovery of low mol. weight compds. that stimulate neurite outgrowth and substitute for nerve growth factor)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2009:740234 CAPLUS
DN 151:70285
TI Compositions and methods coactivating both A1 and A2A adenosine receptors for the treatment and prevention of cardiovascular diseases
IN Feldman, Arthur; Chan, Tung
PA Thomas Jefferson University, USA
SO PCT Int. Appl., 127pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009076580	A2	20090618	WO 2008-US86528	20081212
	WO 2009076580	A3	20090820		
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	US 20100272711	A1	20101028	US 2010-747147	20100706
PRAI	US 2007-13057P	P	20071212		
	WO 2008-US86528	W	20081212		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

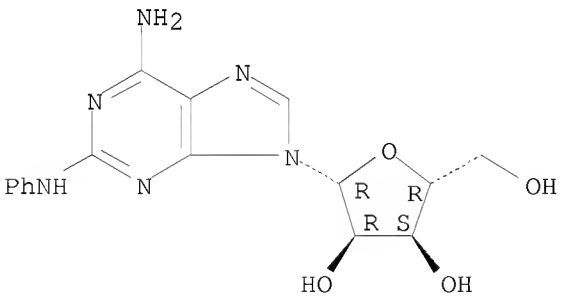
AB The present invention is directed to a pharmaceutical composition, and methods of use thereof, comprising at least one agent which target multiple adenosine receptors (AR) simultaneously in a stoichiometric relationship (i.e. each AR receptor is targeted to an equal extent). Aspects of the present invention relate to pharmaceutical compns., and uses thereof, comprising at least one agent which co-activates an A1-adenosine receptor (A1-AR) and an A2A-adenosine receptor (A2A-AR) or a combination of at least one agent which activates an A1-AR and at least one agent which activates an A2A-AR, where both the A1-AR and A2A-AR are activated in a stoichiometric relationship such that the level of biol. activation of A1-AR is approx. the same level of biol. activation of A2A-AR. Other aspects of the present invention relate to methods for the therapeutic and prophylactic treatment of cardiac dysfunction in a subject having or at risk of having a cardiac dysfunction, for example, but not limited to, for the treatment of a subject with myocardial infarction, such as acute myocardial infarction, coronary ischemia or congestive heart failure and other cardiac dysfunctions. Long term or chronic administration of agonists which activate only the A1-AR or alternatively only the A2A-AR results in deleterious effects on cardiac function. If both the A1-AR and the A2A-AR are co-activated substantially simultaneously, the cardiac function was unexpectedly not compromised. Thus, use of at least one agent which co-activates both the A1-AR and the A2A-AR, or a combination of at least one or more agents which activates the A1-AR and at least one or more agents which activate the A2A-AR is useful to mediate cardioprotective effect.

IT 53296-10-9, CV1808
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(or analogs or derivs. or salts thereof, as agent activating adenosine receptor A1; compns. and methods coactivating both A1 and A2A adenosine receptors for treatment and prevention of cardiovascular diseases)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 10 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 2009:710118 CAPLUS

DN 151:49344

TI Combination of medical and physical cooling treatment of ischemic effects

IN Gotfredsen, Jacob; Weber, Uno Jakob

PA Neurokey A/S, Den.
SO PCT Int. Appl., 142pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009071096	A2	20090611	WO 2008-DK50294	20081205
	WO 2009071096	A3	20100107		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

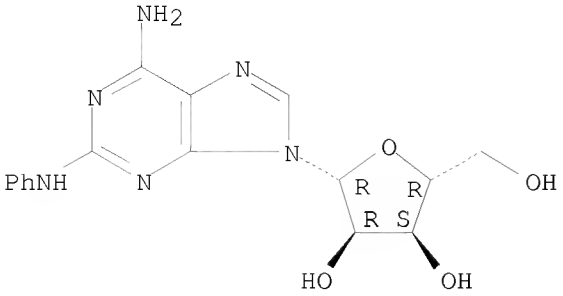
PRAI	DK 2007-1742	A	20071205
	DK 2007-1744	A	20071205
	DK 2008-1104	A	20080815
	DK 2008-1105	A	20080815

OS MARPAT 151:49344

AB The present invention relates to the induction of hypothermia in humans in a predictable and dose responsive fashion by use of combination of phys./mech. hypothermia therapy and a pharmaceutical composition comprising at least one compound selected among a (1) vanilloid receptor agonists, capsaicinoids or capsaicinoid-like agonists reaching and binding to vanilloid receptors, and (2) cannabinoids or cannabimimetic agonists reaching and binding to cannabinoid receptors, and (3) adenosine receptor agonists, and (4) neurotensin receptor agonists, and (5) thyroxine derivs., and (6) cytochrome c oxidase inhibitors and (7) oxygen tension reducers thereby inducing hypothermia, thus benefiting patients suffering from illnesses characterized by tissue anoxia.

IT 53296-10-9, CV-1808
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as adenosine receptor agonist; combination of medical and phys. cooling treatment of ischemic effects)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 11 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2009:703623 CAPLUS
DN 151:49342
TI Combination treatment of ischemic effects
IN Gotfredsen, Jacob; Weber, Uno Jakob
PA Neurokey A/S, Den.
SO PCT Int. Appl., 131pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009071094	A2	20090611	WO 2008-DK50292	20081205
	WO 2009071094	A3	20090806		

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

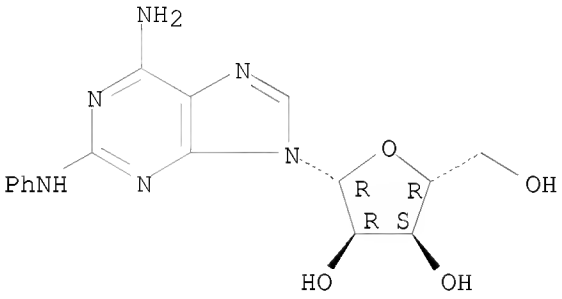
PRAI	DK 2007-1742	A	20071205
	DK 2008-1079	A	20080807
	DK 2008-1105	A	20080815

OS MARPAT 151:49342

AB The present invention relates to the induction of hypothermia in humans, male and female, at any age, in a predictable and dose responsive fashion by use of a pharmaceutical composition comprising a combination of two or more compds. selected among (1) vanilloid receptor agonists, capsaicinoids or capsaicinoid-like agonists reaching and binding to vanilloid receptors, and (2) cannabinoids or cannabimimetic agonists reaching and binding to cannabinoid receptors, and (3) adenosine receptor agonists, and (4) neurotensin receptor agonists, and (5) thyroxine derivs., and (6) cytochrome c inhibitors, and (7) oxygen tension reducers, with the proviso that if the first compound is (1) then the second is not (2), thereby inducing hypothermia, thus benefiting patients suffering from illnesses characterized by tissue anoxia.

IT 53296-10-9, CV-1808
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(as adenosine receptor agonist; combination treatment of ischemic effects using hypothermia inducing agents)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

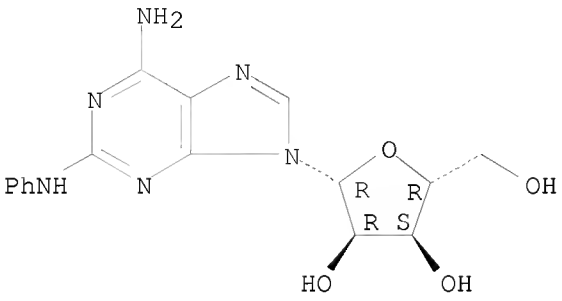
Absolute stereochemistry.



L4 ANSWER 12 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2009:343325 CAPLUS
DN 151:212164
TI Activation of adenosine A2A receptor impairs memory acquisition but not consolidation or retrieval phases
AU Kim, Dong Hyun; Ryu, Jong Hoon
CS Department of Life and Nanopharmaceutical Sciences, Kyung Hee East-West Pharmaceutical Research Institute, College of Pharmacy, Kyung Hee University, Hoeki-dong, Dongdaemoon-Ku, Seoul, 130-701, S. Korea
SO Biomolecules & Therapeutics (2008), 16(4), 320-327
CODEN: BTIHA3; ISSN: 1976-9148
PB Korean Society of Applied Pharmacology
DT Journal
LA English
AB Several lines of evidence indicate that adenosine A2A agonist disrupts spatial working memory. However, it is unclear which stages of learning and memory are affected by the stimulation of adenosine A2A receptor. To clarify these points, we employed CV-1808 as adenosine A2A agonist and investigated its effects on acquisition, consolidation, and retrieval phases of learning and memory using passive avoidance and the Morris water maze tasks. During the acquisition phase, CV-1808 (2-phenylaminoadenosine, 1 and 2 mg/kg, i.p.) decreased the latency time in passive avoidance task and the mean savings in the Morris water maze task, resp. During the consolidation and retrieval phase tests, CV-1808 did not exhibited any effects on latency time in passive avoidance task and the mean savings in the Morris water maze task. These results suggest that CV-1808 as an adenosine A2A agonist impairs memory acquisition but not consolidation or retrieval.
IT 53296-10-9, CV-1808
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(activation of adenosine A2A receptor by CV-1808 impaired acquisition but not consolidation or retrieval phase of memory and learning in

mouse)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



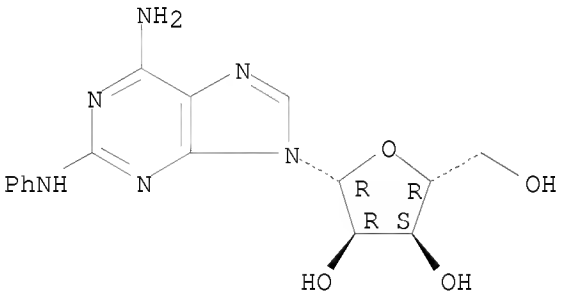
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2009:86451 CAPLUS
DN 150:160095
TI Use of adenosine A2A receptor agonists and phosphodiesterase (PDE) inhibitors for the treatment of B-cell proliferative disorders, and combinations with other agents
IN Rickles, Richard; Lee, Margaret S.
PA CombinatoRx, Incorporated, USA
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009011893	A2	20090122	WO 2008-US8758	20080717
	WO 2009011893	A3	20090319		
	W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	AU 2008276451	A1	20090122	AU 2008-276451	20080717
	CA 2694983	A1	20090122	CA 2008-2694983	20080717
	US 20090053168	A1	20090226	US 2008-175219	20080717
	EP 2178369	A2	20100428	EP 2008-780231	20080717
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,				

IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS
PRAI US 2007-950307P P 20070717
US 2007-965587P P 20070821
WO 2008-US8758 W 20080717
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB The invention provides compns. and methods for the treatment of B-cell proliferative disorders that employ an A2A receptor agonist or one or more PDE inhibitors. The methods and compns. may further include an antiproliferative compound
IT 53296-10-9, CV 1808
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine A2A receptor agonists and phosphodiesterase inhibitors for treatment of B-cell proliferative disorders, and combinations with other agents)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 14 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2009:83374 CAPLUS
DN 150:160094
TI Combinations for the treatment of B-cell proliferative disorders
IN Rickles, Richard; Pierce, Laura; Lee, Margaret S.
PA Combinatorx, Incorporated, USA
SO PCT Int. Appl., 79pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2009011897	A1	20090122	WO 2008-US8764	20080717

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

AU	2008276455	A1	20090122	AU 2008-276455	20080717
CA	2694987	A1	20090122	CA 2008-2694987	20080717
US	20090047243	A1	20090219	US 2008-175121	20080717
EP	2178370	A1	20100428	EP 2008-780237	20080717

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, AL, BA, MK, RS

PRAI	US 2007-959877P	P	20070717
	US 2007-965595P	P	20070821
	WO 2008-US8764	W	20080717

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

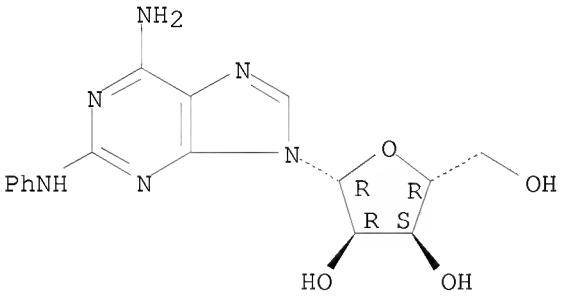
AB The invention features compns. and methods employing combinations of an A2A receptor agonist and a PDE (phosphodiesterase) inhibitor for the treatment of a B-cell proliferative disorder, e g, multiple myeloma. In at least one embodiment, the compns. of the invention comprise a PDE inhibitor active against at least two of PDE 2, 3,4, and 7. In at least one embodiment, the compns. of the invention comprises further administering an antiproliferative compound

IT 53296-10-9, CV 1808
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations for treatment of B-cell proliferative disorders using PDE inhibitors and A2A receptor agonists and antiproliferative compds.)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

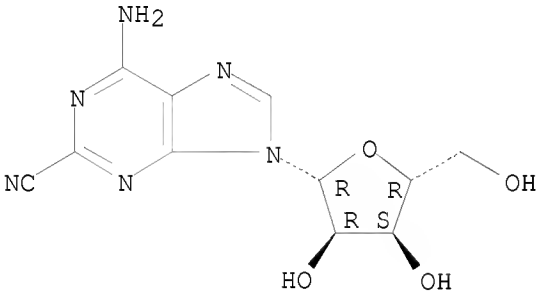


OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2008:1383562 CAPLUS
DN 149:555078
TI The Stille reaction
AU Farina, Vittorio; Krishnamurthy, Venkat; Scott, William J.
CS Boehringer Ingelheim Pharmaceuticals, Ridgefield, CT, USA
SO Organic Reactions (Hoboken, NJ, United States) (1997), 50, No pp. given

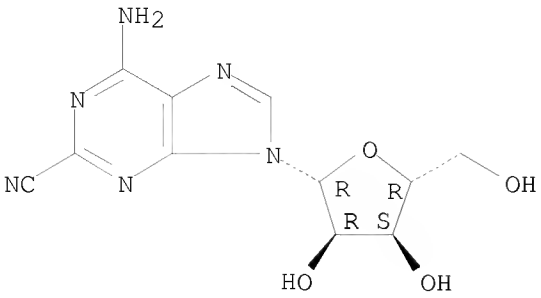
CODEN: ORHNBA
URL: http://www3.interscience.wiley.com/cgi-bin/mrwhome/107610747/HOME
PB John Wiley & Sons, Inc.
DT Journal; General Review; (online computer file)
LA English
OS CASREACT 149:555078
AB A review of the article The Stille reaction.
IT 79936-11-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(The Stille Reaction)
RN 79936-11-1 CAPLUS
CN Adenosine, 2-cyano- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 16 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2008:991314 CAPLUS
DN 149:200258
TI Cyanotributylstannane
AU Tanaka, Masato; Sakakura, Toshiyasu
CS Japan
SO e-EROS Encyclopedia of Reagents for Organic Synthesis (2001), No pp. given
Publisher: John Wiley & Sons, Ltd., Chichester, UK.
CODEN: 69KUHI
URL: http://www3.interscience.wiley.com/cgi-bin/mrwhome/104554785/HOME
DT Conference; General Review; (online computer file)
LA English
OS CASREACT 149:200258
AB A review of the article Cyanotributylstannane.
IT 79936-11-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(Cyanotributylstannane)
RN 79936-11-1 CAPLUS
CN Adenosine, 2-cyano- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 17 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2008:493012 CAPLUS
DN 148:509885
TI Compositions and methods for treating neurological disorders or damage
IN Diamandis, Phedias; Tyers, Mike; Dirks, Peter B.
PA Can.
SO Can. Pat. Appl., 3pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2606658	A1	20080413	CA 2007-2606658	20071012
	US 20090076019	A1	20090319	US 2007-871562	20071012
PRAI	US 2006-851615P	P	20061013		

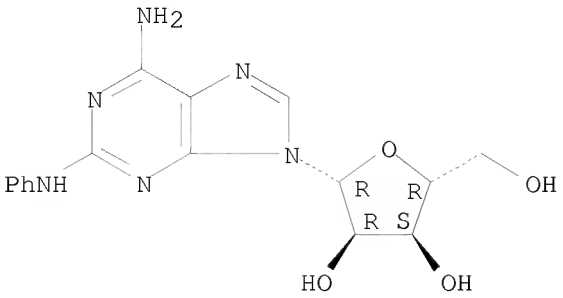
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention relates to a clonogenic neurosphere assay to carry out high throughput screens (HTS) to identify potent and/or selective modulators of proliferation, differentiation and/or renewal of neural precursor cells, neural progenitor cells and/or self-renewing and multipotent neural stem cells (NSCs). The invention also relates to compns. comprising the identified modulators and methods of using the modulators and compns., in particular to treat neurol. disorders (e.g. brain or CNS cancer) or damage.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(screening for compns. and methods for treating neurol. disorders or damage with modulators of neural stem cells)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



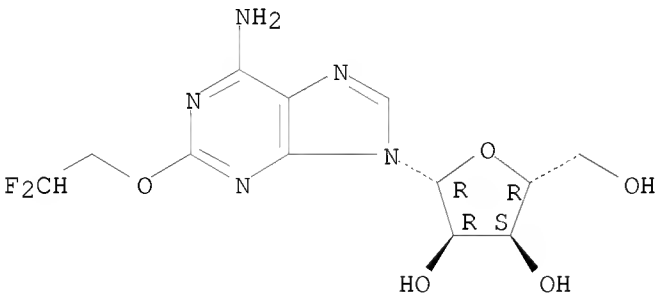
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 18 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2008:9613 CAPLUS
DN 148:106191
TI 2',3'-Methylidene acetal adenosine prodrugs of improved oral absorption and their use as therapeutic analgesic or antiinflammatory compounds
IN Savory, Edward Daniel
PA Biovitrum AB, Swed.
SO PCT Int. Appl., 64pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008000743	A2	20080103	WO 2007-EP56375	20070626
	WO 2008000743	A3	20080221		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	AU 2007263726	A1	20080103	AU 2007-263726	20070626
	CA 2657973	A1	20080103	CA 2007-2657973	20070626
	US 20080027081	A1	20080131	US 2007-823335	20070626
	US 7906518	B2	20110315		
	EP 2066685	A2	20090610	EP 2007-765638	20070626
	EP 2066685	B1	20110302		
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
	JP 2009541436	T	20091126	JP 2009-517173	20070626
	AT 500263	T	20110315	AT 2007-765638	20070626
	ES 2361886	T3	20110624	ES 2007-765638	20070626
	IN 2008KN04767	A	20090313	IN 2008-KN4767	20081125
	CN 101479290	A	20090708	CN 2007-80024647	20081229

PRAI SE 2006-1396 A 20060627
US 2006-837308P P 20060811
WO 2007-EP56375 W 20070626
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 148:106191; MARPAT 148:106191
AB The invention relates to a method of improving oral drug absorption of adenosine analogs by the use of 2',3'-methylidene acetal adenosine pro-drugs and to the use of these pro-drugs as medicaments. The invention further relates to compds. that are prodrugs of adenosine receptor agonists, and to their use as therapeutic compds., in particular as analgesic or anti-inflammatory compds., or as disease modifying antirheumatic drugs (DMARDs), and to methods of preventing, treating or ameliorating pain or inflammation using these compds. Thus, for a range of five 2-substituted adenosines of the current invention, the oral bioavailability in rats was found to increase on average from 19% to 53% and the oral half-life from 1.3 h to 3.2 h by employing a 2',3'-methylidene acetal prodrug strategy.
IT 864061-82-5
RL: BCP (Biochemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(2',3'-Methylidene acetal adenosine prodrugs of improved oral absorption and their use as therapeutic analgesic or antiinflammatory compds.)
RN 864061-82-5 CAPLUS
CN Adenosine, 2-(2,2-difluoroethoxy)- (CA INDEX NAME)

Absolute stereochemistry.

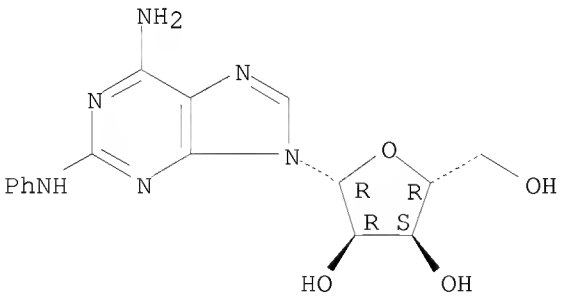


OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 19 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2007:1320679 CAPLUS
DN 148:135926
TI Effect of adenosine agonists on the proliferation and differentiation of chick embryo fibroblasts in three dimensional reconstituted tissue constructs
AU Malihi, Golrokh; Elson, Elliot; Mascarenhas, Francesca
CS Department of Biochemistry/Molecular Biophysics, School of medicine, Washington University, St. Louis, MO, 63110-8231, USA
SO Iranian Journal of Pharmacology & Therapeutics (2006), 5(2), 151-157
CODEN: IJPTDG; ISSN: 1735-2657
URL: http://ijpt.iums.ac.ir/index.php/ijpt/article/view/060502151/237
PB Razi Institute for Drug Research, Iran University of Medical Sciences and

Health Services
DT Journal; (online computer file)
LA English
AB Previous studies indicate that organ fibroblasts play an important role in wound healing, collagen production, remodeling processes and pathogenesis of progressive heart, lung, renal and hepatic fibrotic diseases. Several studies suggest a possible inhibitory role for adenosine in the regulation of fibroblast proliferation. The effect of adenosine A2 agonists on proliferation and differentiation of chick embryo skin/muscle fibroblasts was studied in collagen-based 3-dimensional tissue constructs and also in plated monolayer cells. Materials and Methods: Chick embryo primary fibroblasts were plated in sep. groups and were synchronized by growth arrest before stimulation by different doses of adenosine, and A2 receptor agonists, CV1808, NECA and an A2 receptor antagonist, CGS15943, and control, in the presence of serum or serum free medium. The cell counts for each treatment of monolayer fibroblasts were compared to determine fibroblast proliferation. Western blot anal., immunostaining and myofibroblast size measurements were conducted to measure the effect of adenosine on the fibroblast differentiation into myofibroblasts. Cell proliferation was also gauged with DNA assays in the 3-D constructs. Results: Adenosine agonists at low doses significantly reduced fibroblast proliferation in monolayer and 3-D cell culture in the presence of 5% Fetal Calf Serum (FCS) demonstrating a potential antifibrotic activity possibly by activation of the A2B receptor. Western blot anal. and immunostaining of cells revealed no significant inhibition of the expression of α-smooth muscle actin on a per cell basis by adenosine agonists. Cell size measurements indicated increased nos. of smaller fibroblasts suggesting that adenosine may inhibit the conversion of fibroblasts to myofibroblasts. Conclusion: This study suggests that agents that increase tissue cAMP levels may be of beneficial therapeutic value in organ tissue fibrosis.
IT 53296-10-9, CV1808
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine-5'N-ethylcarboxamide or CV1808 was associated with decrease in proliferation, differentiation and size in chick embryo fibroblast and can be useful in treatment of fibrosis)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

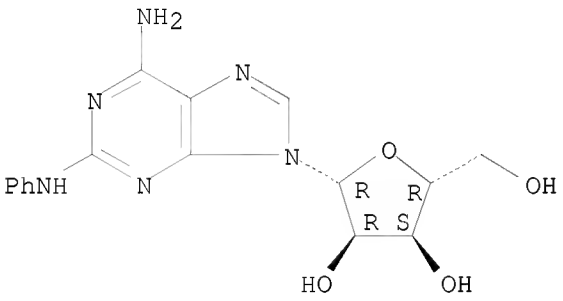
L4 ANSWER 20 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2007:873285 CAPLUS
DN 147:242695
TI Compounds useful as agonists of a2a adenosine receptors, cosmetic skin whitening compositions with a2a agonists and a method for using the same
IN Nip, John Chun-Sing; Bosko, Carol Annette; Rosa, Jose Guillermo; Harichian, Bijan; Santana, Isabel Cristina
PA Unilever PLC, USA
SO U.S. Pat. Appl. Publ., 8pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070183995	A1	20070809	US 2006-350658	20060209
	AU 2007214068	A1	20070816	AU 2007-214068	20070125
	WO 2007090553	A2	20070816	WO 2007-EP847	20070125
	WO 2007090553	A3	20071101		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	ZA 2008006447	A	20091230	ZA 2008-6447	20070125
	BR 2007006898	A2	20110412	BR 2007-6898	20070125
	AR 59370	A1	20080326	AR 2007-100527	20070208
	IN 2008MN01691	A	20081226	IN 2008-MN1691	20080807
	CN 101378725	A	20090304	CN 2007-80004724	20080807
	MX 2008010208	A	20081031	MX 2008-10208	20080808
	KR 2008108418	A	20081215	KR 2008-7019553	20080808
PRAI	US 2006-350658	A	20060209		
	WO 2007-EP847	W	20070125		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 147:242695
AB Compds. useful as agonists of A2A adenosine receptors are described. Also described is a cosmetically acceptable composition having an agonists of A2A adenosine receptors where the composition is suitable to apply to human skin to reduce the effects of melanin, resulting in skin whitening. Thus, solns. of the A2A adenosine receptor agonists 2-para(2-carboxyethyl)phenethylamino-5'-N-Et carboxamido adenosine and phenylaminoadenosinehaving, of a final concentration of 3 μM were prepared from a 10 mM DMSO stock solution and dosed on human skin equivalent (Melanoderm from Mattek). The colorimetric results showed that compns. with an agonist of A2A adenosine receptors could result in skin lightening.
IT 53296-10-9
RL: BSU (Biological study, unclassified); COS (Cosmetic use); BIOL

(Biological study); USES (Uses)
(compds. useful as agonists of a2a adenosine receptors, cosmetic skin whitening compns. with a2a agonists and a method for using the same)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

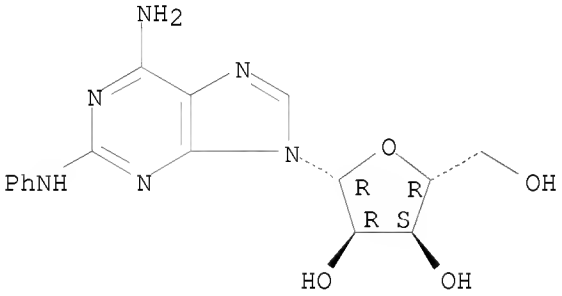
L4 ANSWER 21 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2007:870078 CAPLUS
DN 147:340476
TI Determination of adenosine effects and adenosine receptors in murine corpus cavernosum
AU Tostes, Rita C.; Giachini, Fernanda R. C.; Carneiro, Fernando S.; Leite, Romulo; Inscho, Edward W.; Webb, R. Clinton
CS Department of Pharmacology, Institute of Biomedical Sciences, University of Sao Paulo, Sao Paulo, Brazil
SO Journal of Pharmacology and Experimental Therapeutics (2007), 322(2), 678-685
CODEN: JPETAB; ISSN: 0022-3565
PB American Society for Pharmacology and Experimental Therapeutics
DT Journal
LA English
AB This study tested the hypothesis that adenosine, in murine corpora cavernosa, produces direct relaxation of smooth muscle cells and inhibition of contractile responses mediated by sympathetic nerve stimulation. Penes were excised from anesthetized male C57BL/6 mice, dissected, and cavernosal strips were mounted to record isometric force. Adenosine, 2-chloro-adenosine (stable analog of adenosine), and 2-phenylaminoadenosine (CV1808) (A2A/A2B agonist) produced concentration-dependent relaxations of phenylephrine-contracted tissues. Relaxation to 2-chloroadenosine was inhibited, in a concentration-dependent manner, by 2-(2-furanyl)-7-(2-phenylethyl)-7H-pyrazolo[4,3-e][1,2,4]triazolo [1,5-c]pyrimidin-5-amine (SCH58261; A2A antagonist; 10-9-10-6 M) and N-(4-acetylphenyl)-2-[4-(2,3,6,7-tetrahydro-2,6-dioxo-1,3-dipropyl-1H- purin-8-yl)phenoxy]acetamida (MRS1706; A2B antagonist; 10-8-10-6 M). The combination of both antagonists abrogated 2-chloroadenosine-induced relaxation. Elec. field stimulation (EFS; 1-32 Hz) of adrenergic nerves produced frequency-dependent contractions that were inhibited by compds. that increase adenosine levels, such as 5'-iodotubercidin (adenosine kinase inhibitor), erythro-9-(2-hydroxy-3-nonyl)adenine (adenosine deaminase inhibitor), and

dipyridamole (inhibitor of adenosine transport). The adenosine A1 receptor agonist N6-cyclopentyladenosine (C8031) right-shifted contractile responses to EFS, with a significant inhibitory effect at 10-6 M. Blockade of adenosine A1 receptors with 8-cyclopentyl-1,3-dipropylaxanthine (C101) (10-7 M) enhanced contractile responses to EFS and eliminated the inhibitory effects of 5'-iodotubercidin. Dipyridamole and 5'-iodotubercidin had no effect on adenosine-mediated relaxation. In summary, adenosine directly relaxes cavermosal smooth muscle cells, by the activation of A2A/A2B receptor subtypes. In addition, adenosine neg. modulates sympathetic neurotransmission, by A1 receptor subtype activation, in murine corpora cavernosa. Adenosine may subserve dual roles in modulating the physiol. mechanisms of erection in mice.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(effects of adenosine and adenosine receptors in murine corpus cavernosum)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2007:859948 CAPLUS
DN 148:45665
TI Effect of adenosine agonists on the proliferation and differentiation of chick embryo fibroblasts in three dimensional reconstituted tissue constructs
AU Malihi, Golrokh; Elson, Elliot; Mascarenhas, Francesca
CS Department of Biochemistry/Molecular Biophysics, School of Medicine, Washington University in St. Louis, St. Louis, MO, USA
SO Iranian Journal of Pharmacology & Therapeutics (2006), 5(2), 151-157
CODEN: IJPTDG; ISSN: 1735-2657
URL: http://ijpt.iums.ac.ir/index.php/ijpt/article/view/060502151/237
PB Razi Institute for Drug Research, Iran University of Medical Sciences and Health Services
DT Journal; (online computer file)
LA English
AB Previous studies indicate that organ fibroblasts play an important role in

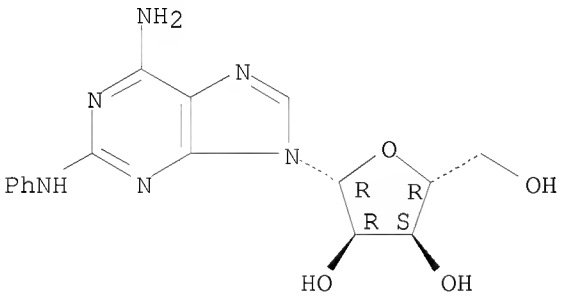
wound healing, collagen production, remodeling processes and pathogenesis of progressive heart, lung, renal and hepatic fibrotic diseases. Several studies suggest a possible inhibitory role for adenosine in the regulation of fibroblast proliferation. The effect of adenosine A2 agonists on proliferation and differentiation of chick embryo skin/muscle fibroblasts was studied in collagen-based 3-dimensional tissue constructs and also in plated monolayer cells. Materials and Methods: Chick embryo primary fibroblasts were plated in sep. groups and were synchronized by growth arrest before stimulation by different doses of adenosine, and A2 receptor agonists, CV1808, NECA and an A2 receptor antagonist, CGS15943, and control, in the presence of serum or serum free medium. The cell counts for each treatment of monolayer fibroblasts were compared to determine fibroblast proliferation. Western blot anal., immunostaining and myofibroblast size measurements were conducted to measure the effect of adenosine on the fibroblast differentiation into myofibroblasts. Cell proliferation was also gauged with DNA assays in the 3-D constructs. Results: Adenosine agonists at low doses significantly reduced fibroblast proliferation in monolayer and 3-D cell culture in the presence of 5% Fetal Calf Serum (FCS) demonstrating a potential antifibrotic activity possibly by activation of the A2B receptor. Western blot anal. and immunostaining of cells revealed no significant inhibition of the expression of a-smooth muscle actin on a per cell basis by adenosine agonists. Cell size measurements indicated increased nos. of smaller fibroblasts suggesting that adenosine may inhibit the conversion of fibroblasts to myofibroblasts. Conclusion: This study suggests that agents that increase tissue cAMP levels may be of beneficial therapeutic value in organ tissue fibrosis.

IT 53296-10-9, CV1808
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine A2 agonists like CV1808 increased cAMP production and inhibited proliferation of chick embryo fibroblast in 3-dimensional reconstituted tissue constructs)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 23 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 2007:705774 CAPLUS

DN 147:110249

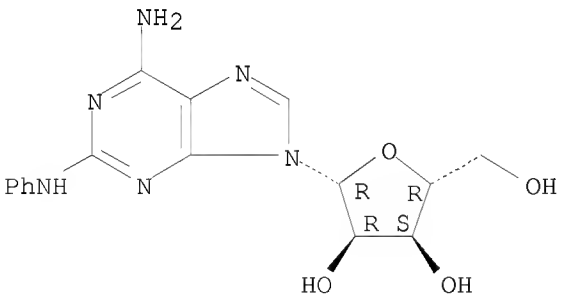
10/598,520

TI Agents for treating neurodegenerative diseases
IN Stockwell, Brent R.; Hoffstrom, Benjamin; Varma, Hemant
PA USA
SO U.S. Pat. Appl. Publ., 117pp., Cont.-in-part of US Ser. No. 498,110.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070149543	A1	20070628	US 2006-612286	20061218
	US 20050032124	A1	20050210	US 2004-767591	20040129
	US 20070027164	A1	20070201	US 2006-349653	20060207
	US 20070078144	A1	20070405	US 2006-498110	20060802
PRAI	US 2003-443728P	P	20030129		
	US 2003-457401P	P	20030325		
	US 2003-467290P	P	20030502		
	US 2003-482688P	P	20030625		
	US 2003-496209P	P	20030819		
	US 2004-767591	B2	20040129		
	US 2004-837360	A2	20040430		
	US 2006-349653	A2	20060207		
	US 2006-498110	A2	20060802		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 147:110249
AB The present invention relates to compds. effective in preventing neuronal cell death, which may be used in the treatment of neurodegenerative diseases. It is based, at least in part, on the discovery that particular compds. were effective in preventing neuronal death in model systems of Huntington's Disease.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(agents for treating neurodegenerative diseases)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



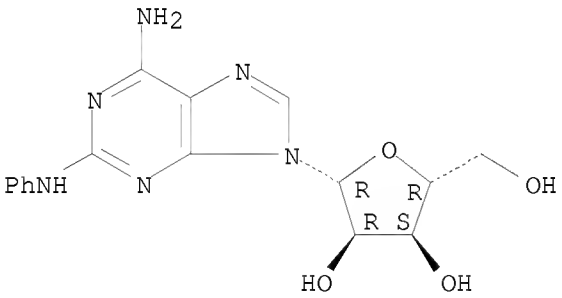
L4 ANSWER 24 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2007:643780 CAPLUS
DN 147:78751
TI Cosmetic composition containing a nonphosphate compound based on adenosine

IN Rolland, Anne; Catroux, Philippe
PA L'Oreal, Fr.
SO Fr. Demande, 20pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2894466	A1	20070615	FR 2005-53770	20051208
PRAI FR 2005-53770		20051208		

AB A cosmetic composition contains a nonphosphate compound based on adenosine and at least a vehicle in a quantity higher than 3% in weight, compared to the total weight of the composition The cosmetic is used for care of skin, more particularly wrinkled skin of the face. A cream contained adenosine 0.04, stearic acid 3.0, a mixture of glyceryl monostearate and polyethylene glycol stearate 2.5, PEG stearate 1.0, cyclopentasiloxane 10, silica 3.5, vegetable oils 7.0, synthetic oils 6.0, preservatives 1.2, siliongum 0.2, polyoxyethylene polydimethylsiloxane 1.0, Simugel-600 1.7, stearyl alc. 1, and water q.s. 100%.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(cosmetic composition containing nonphosphate compound based on adenosine)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2007:438825 CAPLUS
DN 146:427844
TI Cosmetic composition containing a non-phosphate compound based on adenosine and a polymer
IN Catroux, Philippe; Rolland, Anne
PA L'Oreal, Fr.
SO PCT Int. Appl., 25pp.
CODEN: PIXXD2
DT Patent
LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007042679	A2	20070419	WO 2006-FR2302	20061012
	WO 2007042679	A3	20070607		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	FR 2892017	A1	20070420	FR 2005-53131	20051014
PRAI	FR 2005-53131	A	20051014		
	US 2005-731261P	P	20051031		

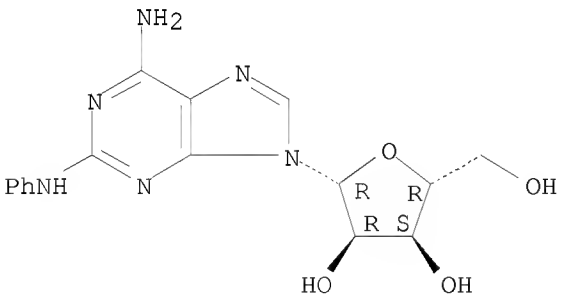
AB The invention concerns a cosmetic composition comprising in a physiol. acceptable medium: at least one non-phosphate compound based on adenosine and at least a polymer, said polymer being different from a copolymer comprising units derived from styrene and units derived from (meth)acrylate. The invention also concerns a cosmetic method for skin care, more particularly facial skin, in particular wrinkled skin which consists in applying a composition on said skin. A lotion contained Hostacerin AMPS 2.00, preservatives 0.85, adenosine 0.50, Hybridur 875 17.00, and water q.s. 100%/.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(cosmetic composition containing non-phosphate compound based on adenosine and
polymer)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 26 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 2007:384430 CAPLUS

DN 146:372825

TI Agents for treating neurodegenerative diseases
IN Stockwell, Brent R.; Hoffstrom, Benjamin; Varma, Hemant
PA Columbia University, USA
SO U.S. Pat. Appl. Publ., 99 pp., Cont.-in-part of U.S. Ser. No. 349,653.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 5

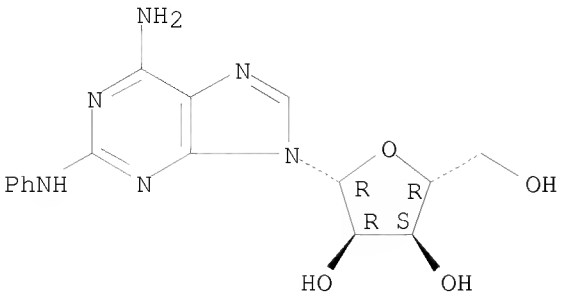
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070078144	A1	20070405	US 2006-498110	20060802
	US 20050032124	A1	20050210	US 2004-767591	20040129
	US 20070027164	A1	20070201	US 2006-349653	20060207
	US 20070149543	A1	20070628	US 2006-612286	20061218
	WO 2008016659	A2	20080207	WO 2007-US17221	20070802
	WO 2008016659	A3	20081113		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRAI	US 2003-443728P	P	20030129
	US 2003-457401P	P	20030325
	US 2003-467290P	P	20030502
	US 2003-482688P	P	20030625
	US 2003-496209P	P	20030819
	US 2004-767591	B2	20040129
	US 2004-837360	A2	20040430
	US 2006-349653	A2	20060207
	US 2006-498110	A2	20060802

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 146:372825
AB The present invention relates to compds. effective in preventing neuronal cell death, which may be used in the treatment of neurodegenerative diseases. It is based, at least in part, on the discovery that particular compds. were effective in preventing neuronal death in model systems of Huntington's Disease.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(agents for treating neurodegenerative diseases)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

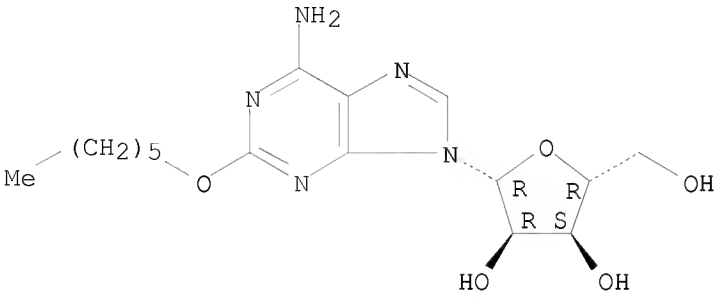
Absolute stereochemistry.



L4 ANSWER 27 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2007:245615 CAPLUS
DN 146:474750
TI Three-Dimensional Quantitative Structure-Activity Relationship of Nucleosides Acting at the A3 Adenosine Receptor: Analysis of Binding and Relative Efficacy
AU Kim, Soo-Kyung; Jacobson, Kenneth A.
CS Molecular Recognition Section Laboratory of Bioorganic Chemistry, National Institute of Diabetes and Digestive and Kidney Diseases (NIDDK), National Institutes of Health (NIH), Bethesda, MD, 20892, USA
SO Journal of Chemical Information and Modeling (2007), 47(3), 1225-1233
CODEN: JCISD8; ISSN: 1549-9596
PB American Chemical Society
DT Journal
LA English
AB The binding affinity and relative maximal efficacy of human A3 adenosine receptor (AR) agonists were each subjected to ligand-based three-dimensional quant. structure-activity relation anal. Comparative mol. field anal. (CoMFA) and comparative mol. similarity indexes anal. (CoMSIA) used as training sets a series of 91 structurally diverse adenosine analogs with modifications at the N6 and C2 positions of the adenine ring and at the 3', 4', and 5' positions of the ribose moiety. The CoMFA and CoMSIA models yielded significant cross-validated q2 values of 0.53 (r2 = 0.92) and 0.59 (r2 = 0.92), resp., and were further validated by an external test set (25 adenosine derivs.), resulting in the best predictive r2 values of 0.84 and 0.70 in each model. Both the CoMFA and the CoMSIA maps for steric or hydrophobic, electrostatic, and hydrogen-bonding interactions well reflected the nature of the putative binding site previously obtained by mol. docking. A conformationally restricted bulky group at the N6 or C2 position of the adenine ring and a hydrophilic and/or H-bonding group at the 5' position were predicted to increase A3AR binding affinity. A small hydrophobic group at N6 promotes receptor activation. A hydrophilic and hydrogen-bonding moiety at the 5' position appears to contribute to the receptor activation process, associated with the conformational change of transmembrane domains 5, 6, and 7. The 3D-CoMFA/CoMSIA model correlates well with previous receptor-docking results, current data of A3AR agonists, and the successful conversion of the A3AR agonist into antagonists by substitution (at N6) or conformational constraint (at 5'-N-methyluronamide).
IT 50257-95-9, 2-Hexyloxyadenosine
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(QSAR of nucleosides acting at A3 adenosine receptor)

RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

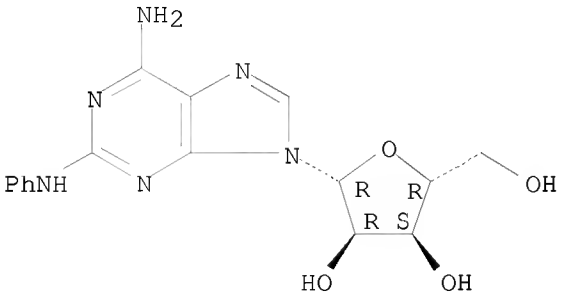
L4 ANSWER 28 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2007:119050 CAPLUS
DN 146:198709
TI Neuroprotective agents for treating neurodegenerative diseases
IN Stockwell, Brent R.; Hoffstrom, Benjamin; Varma, Hemant
PA Columbia University, USA
SO U.S. Pat. Appl. Publ., 83 pp., Cont.-in-part of U.S. Ser. No. 837,360.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20070027164	A1	20070201	US 2006-349653	20060207
	US 20050032124	A1	20050210	US 2004-767591	20040129
	US 20070078144	A1	20070405	US 2006-498110	20060802
	US 20070149543	A1	20070628	US 2006-612286	20061218
PRAI	US 2003-443728P	P	20030129		
	US 2003-457401P	P	20030325		
	US 2003-467290P	P	20030502		
	US 2003-482688P	P	20030625		
	US 2003-496209P	P	20030819		
	US 2004-767591	A2	20040129		
	US 2004-837360	A2	20040430		
	US 2006-349653	A2	20060207		
	US 2006-498110	A2	20060802		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 146:198709
AB The invention relates to compds. effective in preventing neuronal cell death, which may be used in the treatment of neurodegenerative diseases. It is based, at least in part, on the discovery that particular chemotherapeutic compds. were effective in preventing neuronal death in model systems of Huntington's Disease.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)
(agents for treating neurodegenerative diseases)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

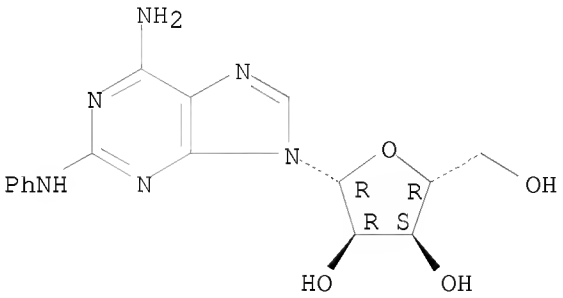
L4 ANSWER 29 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2006:854003 CAPLUS
DN 146:221613
TI Cloning and pharmacological characterization of the equine adenosine A2A receptor: a potential therapeutic target for the treatment of equine endotoxemia
AU Brandon, C. I.; Vandenplas, M.; Dookwah, H.; Linden, J.; Murray, T. F.
CS Departments of Physiology and Pharmacology, College of Veterinary Medicine, University of Georgia, Athens, GA, USA
SO Journal of Veterinary Pharmacology and Therapeutics (2006), 29(4), 243-253
CODEN: JVPTD9; ISSN: 0140-7783
PB Blackwell Publishing Ltd.
DT Journal
LA English
AB The aim of the current study was to clone the equine adenosine A2A receptor gene and to establish a heterologous expression system to ascertain its pharmacol. profile via radioligand binding and functional assays. An eA2A-R expression construct was generated by ligation of the eA2A cDNA into the pcDNA3.1 expression vector, and stably transfected into human embryonic kidney cells (HEK). Binding assays identified those clones expressing the eA2A-R, and equilibrium saturation isotherm expts. were utilized to determine dissociation consts. (KD), and receptor densities (Bmax) of
selected clones. Equilibrium competition binding revealed a rank order of agonist potency of ATL > CV-1808 > NECA > 2-CADO > CGS21680, and a rank order of antagonist potency as ZM241385>8-phenyltheophylline > p-sulfophenyltheophylline > caffeine. Furthermore, adenylate cyclase assays using selective A2A-R agonists revealed that the eA2A-R functionally coupled to Gαs as indicated by an increase in intracellular [3H]cAMP upon receptor activation. Finally, NF-κB reporter gene assays revealed a CGS21680 concentration-dependent inhibition of NF-κB activity. These results indicate that the heterologously expressed eA2A-R has a pharmacol. profile similar to that of other mammalian A2A receptors and thus can be utilized for further characterization of the eA2A-R to ascertain whether it can serve as a

suitable pharmacol. target for equine inflammatory disease.

IT 53296-10-9, CV-1808
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(binding potentials of equine adenosine A2A receptor were determined by using adenosine A2A receptor agonist CV-1808 in human embryonic kidney cells)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

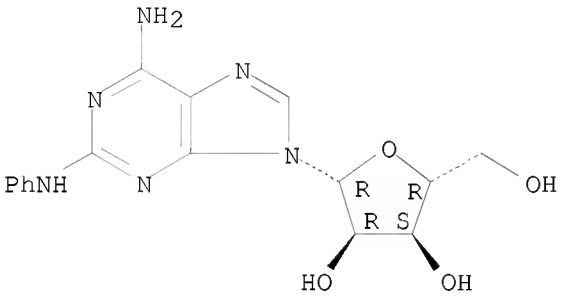


OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2006:756818 CAPLUS
DN 145:203066
TI Functional coupling of the Gαolf variant XLGαolf with the human adenosine A2A receptor
AU Ravyn, Vipa; Bostwick, J. Robert
CS Lead Discovery, AstraZeneca Pharmaceuticals, Wilmington, DE, USA
SO Journal of Receptors and Signal Transduction (2006), 26(4), 241-258
CODEN: JRSTCT
PB Taylor & Francis, Inc.
DT Journal
LA English
AB A recently identified novel Gαolf variant, XLGαolf, is shown to functionally couple to the human adenosine A2A receptor (A2AR). In Sf9 cells expressing A2AR, β1, and γ2, co-expression of XLGαolf increased NECA-induced [35S]GTPγS binding from approx. 130% to 300% of basal levels. Pharmacol. characteristics of A2AR ligands on these cells were evaluated by using [3H]ZM241385- and [35S]GTPγS-binding assays. The rank order of the equilibrium binding consts. (Kd or Ki) of adenosine receptor ligands were [3H]ZM241385 ≈ CGS15943 < MRS1220 < < CV1808 ≈ NECA < CGS21680 ≈ adenosine < IBMECA < HEMADO ≈ CPA ≈ CCPA. The rank order of EC50 values for agonists were CV1808 ≈ NECA < adenosine ≈ CGS26180 < IBMECA < HEMADO ≈ CPA ≈ CCPA. This pharmacol. is consistent with the literature for A2AR and suggests that Sf9 cells co-expressing A2AR, β1, γ2, and XLGαolf could serve as a heterologous expression system for A2AR drug screening.
IT 53296-10-9, CV1808

RL: BSU (Biological study, unclassified); PKT (Pharmacokinetics); BIOL (Biological study)
(functional coupling of Gαolf variant XLGαolf with the human adenosine A2A receptor)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



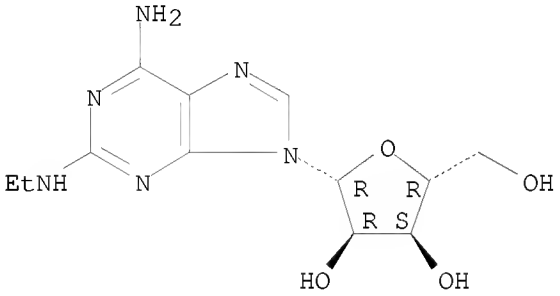
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2006:704048 CAPLUS
DN 145:283946
TI Development of off-line and on-line capillary electrophoresis methods for the screening and characterization of adenosine kinase inhibitors and substrates
AU Iqbal, Jamshed; Burbiel, Joachim C.; Mueller, Christa E.
CS Department of Pharmaceutical Chemistry Poppelsdorf, Pharmaceutical Institute, University of Bonn, Bonn, Germany
SO Electrophoresis (2006), 27(12), 2505-2517
CODEN: ELCTDN; ISSN: 0173-0835
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
AB Fast and convenient CE assays were developed for the screening of adenosine kinase (AK) inhibitors and substrates. In the first method, the enzymic reaction was performed in a test tube and the samples were subsequently injected into the capillary by pressure and detected by their UV absorbance at 260 nm. An MEKC method using borate buffer (pH 9.5) containing 100 mM SDS (Method: A) was suitable for separating alternative substrates (nucleosides). For the CE determination of AMP formed as a product of the AK reaction, a phosphate buffer (pH 7.5 or 8.5) was used and a constant current (95 μA) was applied (Method: B). The methods employing a fused-silica capillary and normal polarity mode provided good resolution of substrates and products of the enzymic reaction and a short anal. time of less than 10 min. To further optimize and miniaturize the AK assays, the enzymic reaction was performed directly in the capillary, prior to separation and quantitation of the product employing electrophoretically mediated microanal. (EMMA, Method: C). After hydrodynamic injection of a plug of reaction buffer (20 mM Tris-HCl, 0.2 mM MgCl2, pH 7.4), followed by a plug

containing the enzyme, and subsequent injection of a plug of reaction buffer containing 1 mM ATP, 100 μM adenosine, and 20 μM UMP as an internal standard (I.S.), as well as various concns. of an inhibitor, the reaction was initiated by the application of 5 kV separation voltage (neg. polarity) for 0.20 min to let the plugs interpenetrate. The voltage was turned off for 5 min (zero-potential amplification) and again turned on at a constant current of −60 μA to elute the products within 7 min. The method employing a polyacrylamide-coated capillary of 20 cm effective length and reverse polarity mode provided good resolution of substrates and products. Dose-response curves and calculated Ki values for standard antagonists obtained by CE were in excellent agreement with data obtained by the standard radioactive assay.

IT 31657-02-0, 2-Ethylaminoadenosine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(off-line and online capillary electrophoresis methods for screening and characterization of adenosine kinase inhibitors and substrates)
RN 31657-02-0 CAPLUS
CN Adenosine, 2-(ethylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

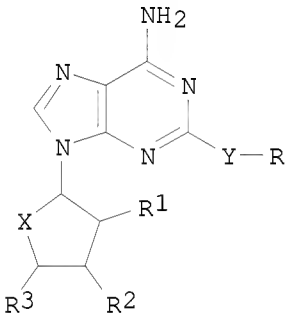
L4 ANSWER 32 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2006:365436 CAPLUS
DN 144:412837
TI Preparation of substituted adenine nucleosides as antibacterial agents
IN Cavero-Tomas, Marta; Gowravaram, Madhu; Huynh, Hoan; Ni, Haihong; Stokes, Suzanne
PA Astrazeneca AB, Swed.; Astrazeneca Uk Limited
SO PCT Int. Appl., 180 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006040558	A1	20060420	WO 2005-GB3934	20051013
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,				

LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,
SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,
YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

EP 1805196	A1	20070711	EP 2005-792667	20051013
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101072787	A	20071114	CN 2005-80042160	20051013
JP 2008516938	T	20080522	JP 2007-536258	20051013
AR 51393	A1	20070110	AR 2005-104326	20051014
US 20090048203	A1	20090219	US 2007-577278	20070413
IN 2007DN03360	A	20070831	IN 2007-DN3360	20070504
PRAI US 2004-619218P	P	20041015		
US 2005-663459P	P	20050318		
US 2005-699615P	P	20050715		
WO 2005-GB3934	W	20051013		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 144:412837; MARPAT 144:412837
GI

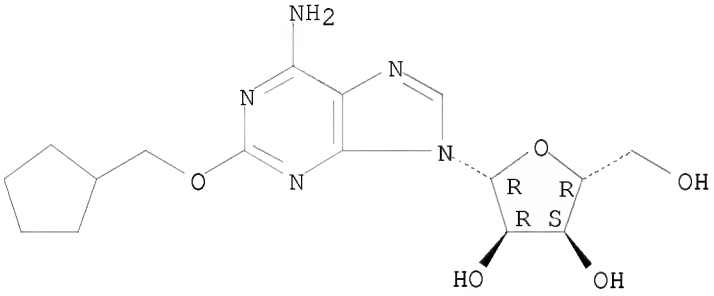


I

AB Adenine nucleosides I, wherein X is O, CH2; Y is O, S, CO, CH2, CH=CH, SO, SO2; Y and R taken together form heterocycle; R is alkyl, alkenyl, alkynyl, carbocycle, sulfonyl, acyl, heterocycle; R1-R3 are independently H, OH, CN, N3, alkyl,l carbocycle, halogen, acyl, O-acyl, sulfonyl, oxime, alkenyl, alkynyl, heterocycle, alkoxy, substituted amine; were prepared and their use in the treatment of bacterial infections is reported. Thus, 9-[3-bromo-3,5-dideoxy-5-fluoro-2-O-[(isopropylamino)carbonyl]-β-D-xylofuranosyl]-2-(cyclopentyl-oxy)-9H-purin-6-amine was prepared and tested in vitro as antibacterial agent. A method for inhibition of bacterial DNA ligase in a warm-blooded animal, such as a human, in need of such treatment which comprises administering to human an effective amount of title compds. The compds. described have a measured IC50 of 0.5-1.8 μM range in vitro against at least one isoenzyme (S. pneumoniae, S. aureus, H. influenzae, E. coli, or M. pneumoniae) of < 400 μM or the compds. inhibited the ligation reaction by >20 % at the limit of their solubility in the assay medium. A formulation intended for oral administration to

humans will generally contain, for example, from 0.5 mg to 2 g of active agent compounded with an appropriate and convenient amount of excipient which may vary from about 5 to about 98 percent by weight of the total composition
Dosage unit forms will generally contain about 1 mg to about 500 mg of an active ingredient.
IT 756818-76-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted adenine nucleosides as antibacterial agents)
RN 756818-76-5 CAPLUS
CN Adenosine, 2-(cyclopentylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 33 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2005:1004549 CAPLUS
DN 143:286636
TI Preparation of nucleosides as adenosine receptors and used for the treatment of pain and inflammation
IN Pritchard, Martyn; Ouzman, Jacqueline; Savory, Edward; Brown, Giles
PA Cambridge Biotechnology Limited, UK
SO PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005084653	A2	20050915	WO 2005-GB800	20050304
	WO 2005084653	A3	20060518		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

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            RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
            MR, NE, SN, TD, TG
     WO 2004079329         A2    20040916    WO 2004-GB902          20040305
     WO 2004079329         A3    20041209
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
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         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
             BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
             MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA,
             GN, GQ, GW, ML, MR, NE, SN, TD, TG
     AU 2005218997         A1    20050915    AU 2005-218997         20050304
     CA 2557285            A1    20050915    CA 2005-2557285        20050304
     EP 1749016            A2    20070207    EP 2005-717878         20050304
         R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
     CN 1946732            A     20070411    CN 2005-80007119       20050304
     BR 2005008488         A     20070731    BR 2005-8488           20050304
     JP 2007526291         T     20070913    JP 2007-501345         20050304
     NZ 549235             A     20100129    NZ 2005-549235         20050304
     MX 2006010075         A     20070410    MX 2006-10075          20060904
     NO 2006004365         A     20061122    NO 2006-4365           20060926
     KR 2007004792         A     20070109    KR 2006-7020304        20060929
     IN 2006CN03674        A     20070706    IN 2006-CN3674         20061005
     US 20080221060        A1    20080911    US 2007-598520         20071207
PRAI GB 2004-5009          A     20040305
     GB 2004-5012          A     20040305
     WO 2004-GB902         A     20040305
     GB 2004-12261         A     20040602
     GB 2004-12262         A     20040602
     GB 2004-13627         A     20040618
     GB 2004-19718         A     20040906
     GB 2004-20063         A     20040909
     GB 2004-20615         A     20040916
     GB 2003-5153          A     20030307
     WO 2005-GB800         W     20050304
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS   CASREACT 143:286636; MARPAT 143:286636
GI
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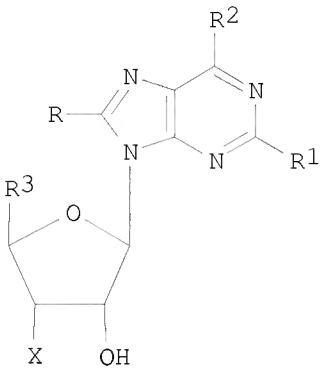


I

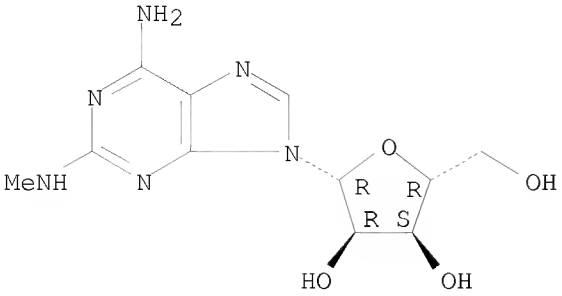
AB Nucleosides I, wherein X is H, OH; R is H, Me; R1 is H, alkoxy, OCH2-cyclopropyl, OCH2-cyclopentyl, phenoxy, OCH2CH2OH, OCH2CH2F2, (5-indanyl)oxy, alkylamino, cyclo-alkylamino, exo-norbornane, amino, phenylamino; R2 is NH2, CH2OH, NMe2, methylamino, isoamyl; R3 is CH2OH, amide, CH2NHCOPr-n, CH2NHCONHEt; were prepared and used for the treatment of pain and inflammation. Title nucleosides were prepared and used the treatment of pain associated with cancer, pancreatic pain, pain associated with HIV infection, chronic neuropathic pain, lower back pain, failed back surgery pain, back pain, post-operative pain, post phys. trauma pain, cardiac pain, chest pain, joint pain, neck pain, bowel pain, phantom limb pain, obstetric pain, acute herpes zoster pain, acute pancreatitis breakthrough pain, or for the prevention, treatment, or amelioration of neuropathic or other pain caused by, or associated with diabetic neuropathy, poly-neuropathy, fibromyalgia, myo-fascial pain syndrome, osteoarthritis, post herpetic neuralgia, rheumatoid arthritis, sciatica/lumbar radiculopathy, spinal stenosis, trigeminal neuralgia, renal colic, dysmenorrhea/endometriosis. Thus, I (R = H, R1 = OMe, R2 = NH1, R3 = CH2OH) was prepared and tested for the treatment of pain and inflammation.

IT 13364-95-9P 31657-02-0P 50257-95-9P
53296-10-9P 53296-19-8P 57972-89-1P
70255-72-0P 71231-79-3P 79936-11-1P
756818-72-1P 756818-74-3P 756818-76-5P
756818-77-6P 756818-78-7P 864061-82-5P
864061-83-6P 864061-92-7P 864061-93-8P
864061-94-9P 864061-95-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleosides as adenosine receptors and used for the treatment of pain and inflammation)

RN 13364-95-9 CAPLUS

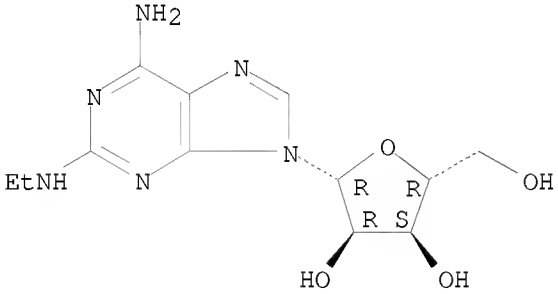
CN Adenosine, 2-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



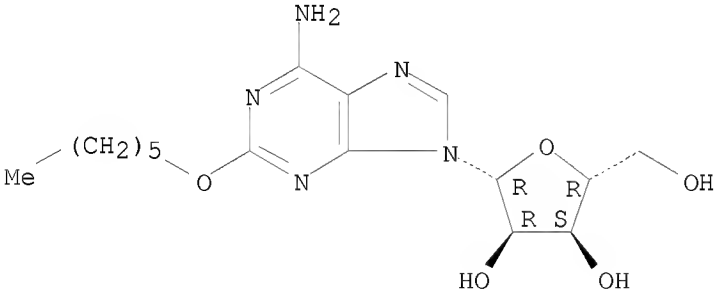
RN 31657-02-0 CAPLUS
CN Adenosine, 2-(ethylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



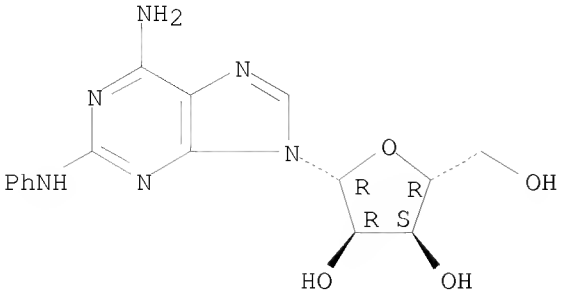
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



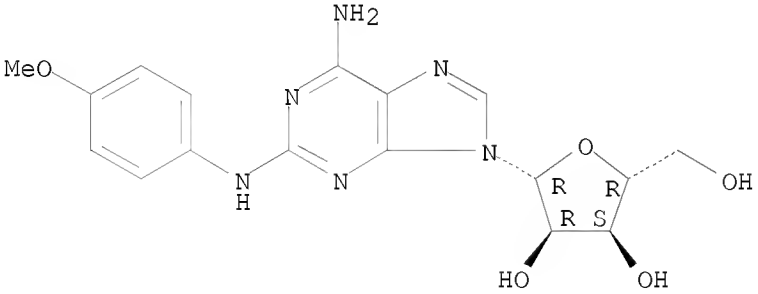
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



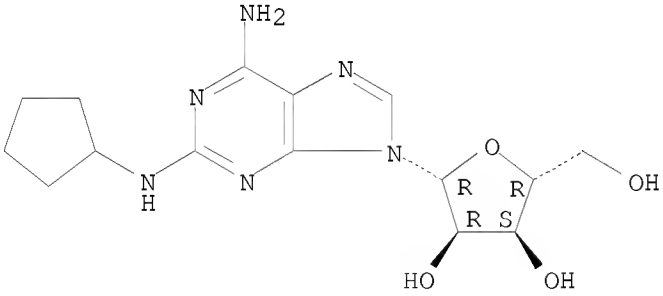
RN 53296-19-8 CAPLUS
CN Adenosine, 2-[(4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



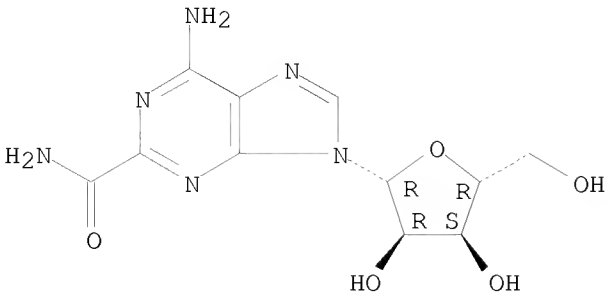
RN 57972-89-1 CAPLUS
CN Adenosine, 2-(cyclopentylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



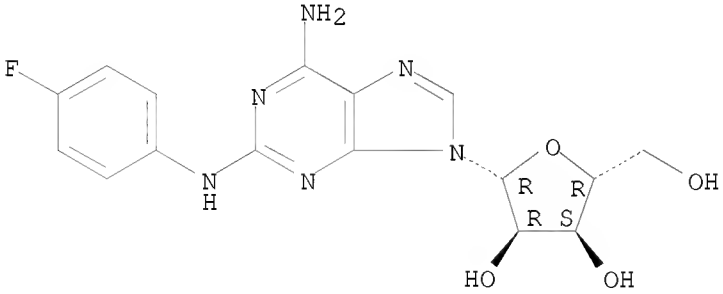
RN 70255-72-0 CAPLUS
CN Adenosine, 2-(aminocarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



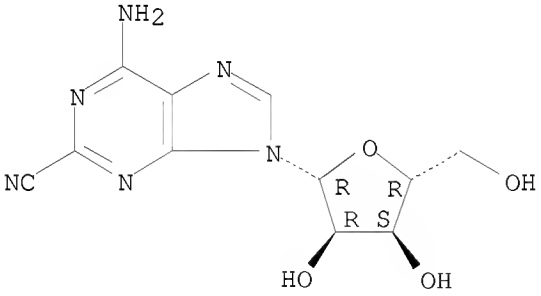
RN 71231-79-3 CAPLUS
CN Adenosine, 2-[(4-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



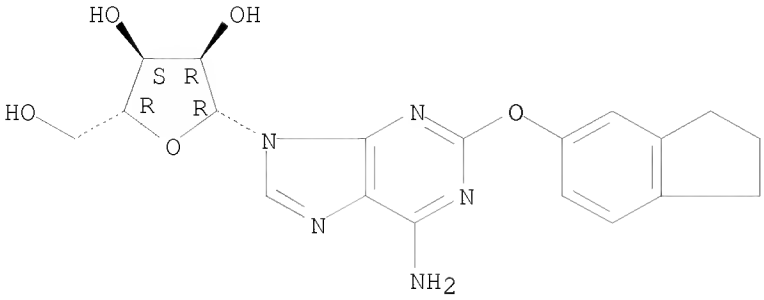
RN 79936-11-1 CAPLUS
CN Adenosine, 2-cyano- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



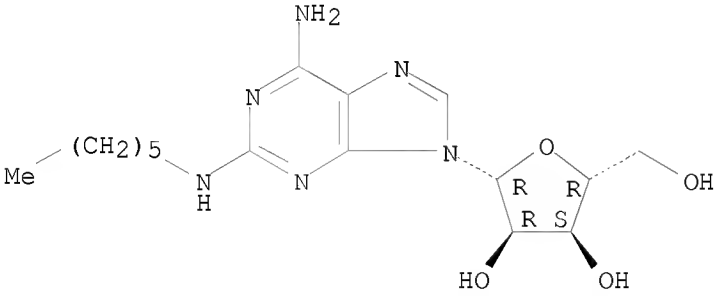
RN 756818-72-1 CAPLUS
CN Adenosine, 2-[(2,3-dihydro-1H-inden-5-yl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



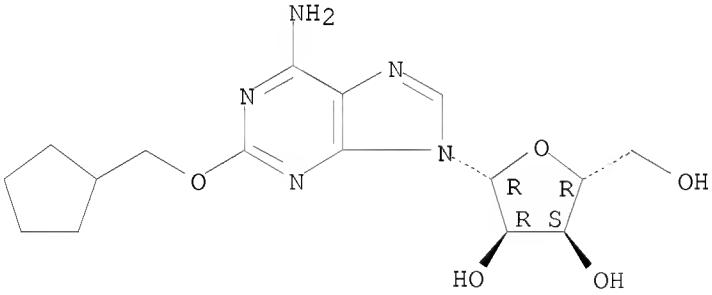
RN 756818-74-3 CAPLUS
CN Adenosine, 2-(hexylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



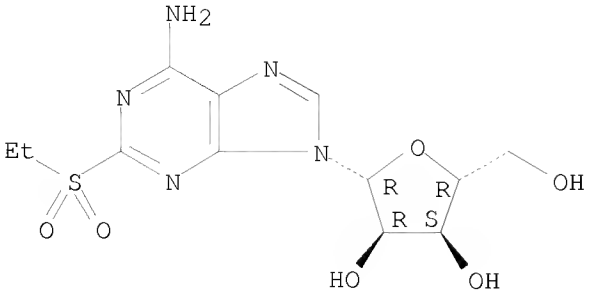
RN 756818-76-5 CAPLUS
CN Adenosine, 2-(cyclopentylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



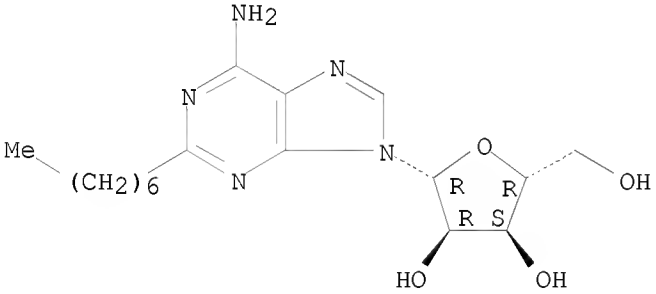
RN 756818-77-6 CAPLUS
CN Adenosine, 2-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



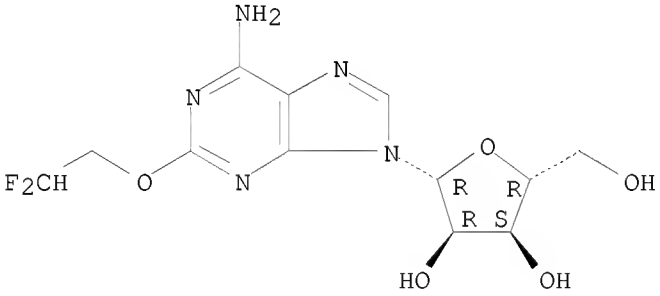
RN 756818-78-7 CAPLUS
CN Adenosine, 2-heptyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



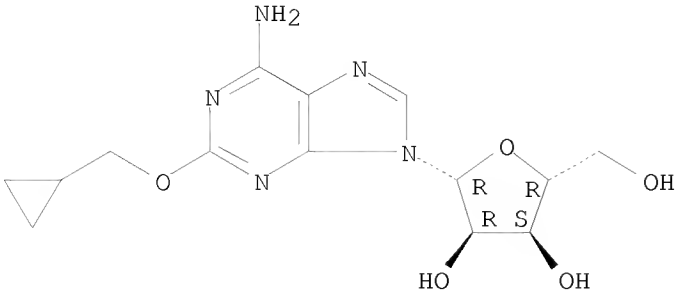
RN 864061-82-5 CAPLUS
CN Adenosine, 2-(2,2-difluoroethoxy)- (CA INDEX NAME)

Absolute stereochemistry.



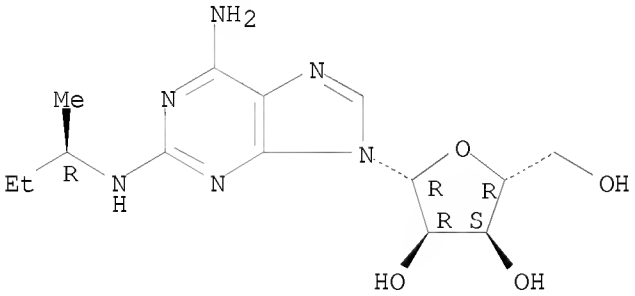
RN 864061-83-6 CAPLUS
CN Adenosine, 2-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



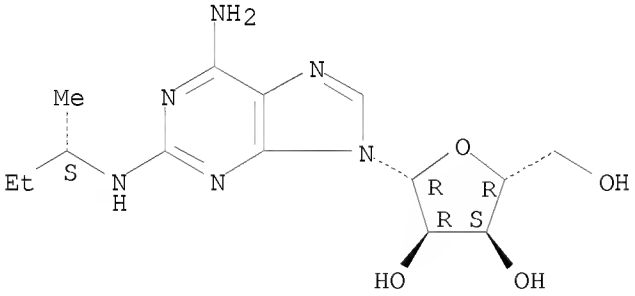
RN 864061-92-7 CAPLUS
CN Adenosine, 2-[[(1R)-1-methylpropyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



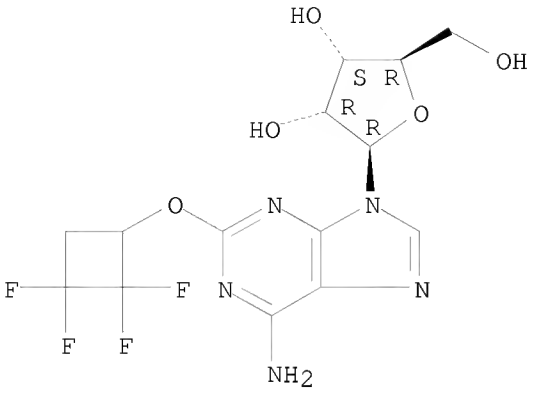
RN 864061-93-8 CAPLUS
CN Adenosine, 2-[[(1S)-1-methylpropyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



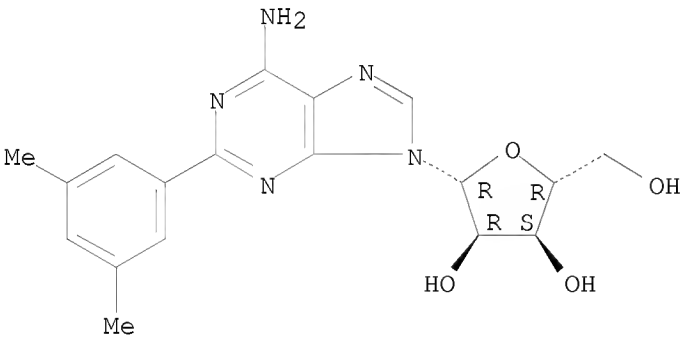
RN 864061-94-9 CAPLUS
CN Adenosine, 2-[(2,2,3,3-tetrafluorocyclobutyl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 864061-95-0 CAPLUS
CN Adenosine, 2-(3,5-dimethylphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 34 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2005:216597 CAPLUS
DN 142:291323
TI Compositions and methods for the treatment of severe acute respiratory syndrome (SARS)
IN Hardee, Greg; Dellamary, Luis
PA Isis Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 217 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005020885	A2	20050310	WO 2004-US16196	20040521
	WO 2005020885	A3	20050804		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG

PRAI US 2003-472774P P 20030521

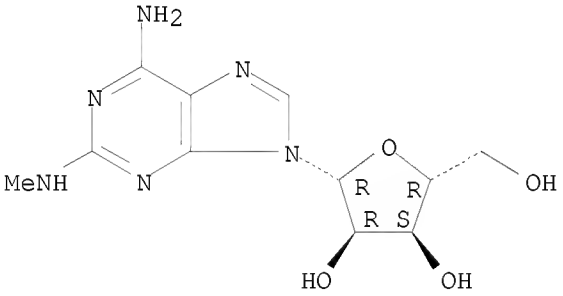
AB The invention provides compns. and methods for treating a coronavirus infection, especially a SARS CoV infection. The compns. comprise an antiviral nucleoside or mimetic thereof, or an antiviral antisense agent, in a form suitable for pulmonary or nasal delivery. The methods comprise administration to a patient in need thereof the effective amount of an antiviral composition by pulmonary or nasal instillation.

IT 13364-95-9
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. and methods for treatment of severe acute respiratory syndrome)

RN 13364-95-9 CAPLUS

CN Adenosine, 2-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

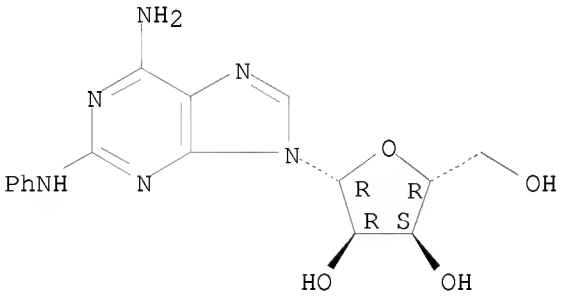
L4 ANSWER 35 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2005:44237 CAPLUS
DN 142:290603
TI A radial distribution function approach to predict A2B agonist effect of adenosine analogues
AU Gonzalez, Maykel Perez; Teran, Carmen; Fall, Yagamare; Teijeira, Marta; Besada, Pedro
CS Unit of Services, Department of Drug Design, Experimental Sugar Cane Station 'Villa Clara-Cienfuegos', Ranchuelo, Cuba
SO Bioorganic & Medicinal Chemistry (2005), 13(3), 601-608
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
AB The radial distribution function (RDF) approach has been applied to the

study of the A2B agonist effect of a set of 89 adenosine analogs reported with this activity. A model able to describe more than 70% of the variance in the exptl. activity was developed with the use of the mentioned approach. In contrast, none of the eleven different approaches including the use of Constitutional, Topol., Mol. walk count, BCUT, Galvez topol. charge indexes, 2D autocorrelations, Randic mol. profiles, Geometrical, 3D Morse, WHIM and GETAWAY descriptors was able to explain more than 47% of the variance in the mentioned property with the same number of descriptors.

IT 53296-10-9
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(radial distribution function approach to predict A2B agonist effect of adenosine analogs)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 36 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2005:34766 CAPLUS
DN 142:127629
TI Compositions and methods for use of a protease inhibitor and adenosine for preventing organ ischemia and reperfusion injury
IN Vinten-Johansen, Jakob
PA Emory University, USA
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005003150	A2	20050113	WO 2004-US21387	20040702
	WO 2005003150	A3	20051013		

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TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2531062	A1	20050113	CA 2004-2531062	20040702
EP 1638579	A2	20060329	EP 2004-756603	20040702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 20060205671	A1	20060914	US 2006-562757	20060328
PRAI US 2003-484484P	P	20030702		
WO 2004-US21387	W	20040702		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

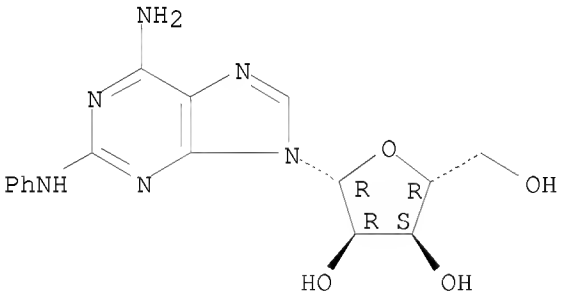
AB Methods and compns. including combined use of a serine protease inhibitor and adenosine or adenosine agonist when administered as a single pharmaceutical composition, concomitantly or sequentially in any order to a living subject for preventing organ ischemia or reperfusion injury. The methods and compns. disclosed herein can be used in such procedures as cardiac surgery, non-surgical cardiac revascularization, organ transplantation, perfusion, ischemia, reperfusion, ischemia-reperfusion injury, oxidant injury, cytokine induced injury, shock induced injury, resuscitations injury or apoptosis.

IT 53296-10-9, CV1808
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of a serine protease inhibitor and adenosine agonist for preventing organ ischemia and reperfusion injury in relation to alteration of G protein-coupled receptors and cAMP)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 37 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 2004:829441 CAPLUS

DN 141:420038

TI 2-Substituted adenosine derivatives: affinity and efficacy at four subtypes of human adenosine receptors

AU Gao, Zhan-Guo; Mamedova, Liaman K.; Chen, Peiran; Jacobson, Kenneth A.

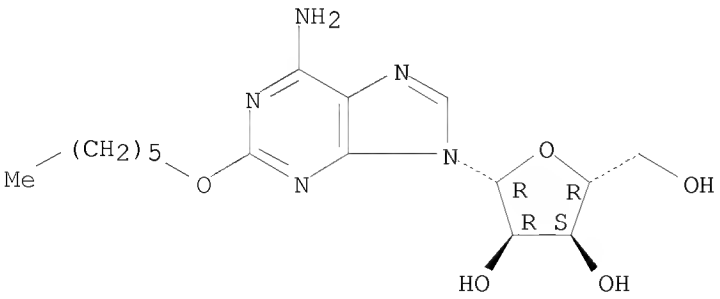
CS Molecular Recognition Section, Laboratory of Bioorganic Chemistry, National Institute of Diabetes and Digestive and Kidney Diseases, National Institutes of Health, Bethesda, MD, 20892, USA

SO Biochemical Pharmacology (2004), 68(10), 1985-1993
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier B.V.
DT Journal
LA English
AB The affinity and efficacy at four subtypes (A1, A2A, A2B and A3) of human adenosine receptors (ARs) of a wide range of 2-substituted adenosine derivs. were evaluated using radioligand binding assays and a cAMP functional assay in intact CHO cells stably expressing these receptors. Similar to previous studies of the N6-position, several 2-substituents were found to be critical structural determinants for the A3AR activation. The following adenosine 2-ethers were moderately potent partial agonists (Ki, nM): benzyl (117), 3-chlorobenzyl (72), 2-(3-chlorophenyl)ethyl (41), and 2-(2-naphthyl)ethyl (130). The following adenosine 2-ethers were A3AR antagonists: 2,2-diphenylethyl, 2-(2-norbornan)ethyl, R- and S-2-phenylbutyl, and 2-(2-chlorophenyl)ethyl. 2-(S-2-Phenylbutyloxy)adenosine as an A3AR antagonist right-shifted the concentration-response curve for the inhibition by NECA of cAMP accumulation with a KB value of 212 nM, which is similar to its binding affinity (Ki = 175 nM). These 2-substituted adenosine derivs. were generally less potent at the A1AR in comparison to the A3AR, but fully efficacious, with binding Ki values over 100 nM. The 2-phenylethyl moiety resulted in higher A3AR affinity (Ki in nM) when linked to the 2-position of adenosine through an ether group (54), than when linked through an amine (310) or thioether (1960). 2-[2-(1-Naphthyl)ethyloxy]adenosine (Ki = 3.8 nM) was found to be the most potent and selective (>50-fold) A2A agonist in this series. Mixed A2A/A3AR agonists have been identified. Interestingly, although most of these compds. were extremely weak at the A2BAR, 2-[2-(2-naphthyl)ethyloxy]adenosine (EC50 = 1.4 μM) and 2-[2-(2-thienyl)-ethyloxy]adenosine (EC50 = 1.8 μM) were found to be relatively potent A2B agonists, although less potent than NECA (EC50 = 140 nM).

IT 50257-95-9, 2-(Hexyloxy)adenosine
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(affinity and efficacy at four subtypes of human adenosine receptors of 2-substituted adenosine derivs.)

RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 36 THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (36 CITINGS)

RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 38 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2004:756969 CAPLUS
DN 141:254620
TI Identification of therapeutic compounds
IN Richardson, Peter
PA Cambridge Biotechnology Ltd., UK
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004079329	A2	20040916	WO 2004-GB902	20040305
	WO 2004079329	A3	20041209		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004217731	A1	20040916	AU 2004-217731	20040305
	AU 2004217731	B2	20090604		
	CA 2514338	A1	20040916	CA 2004-2514338	20040305
	EP 1604211	A2	20051214	EP 2004-717679	20040305
	EP 1604211	B1	20080430		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
	JP 2006519602	T	20060831	JP 2006-505911	20040305
	JP 4701330	B2	20110615		
	US 20070059773	A1	20070315	US 2004-547462	20040305
	AT 393917	T	20080515	AT 2004-717679	20040305
	PT 1604211	E	20080704	PT 2004-717679	20040305
	ES 2305741	T3	20081101	ES 2004-717679	20040305
	AU 2005218997	A1	20050915	AU 2005-218997	20050304
	CA 2557285	A1	20050915	CA 2005-2557285	20050304
	WO 2005084653	A2	20050915	WO 2005-GB800	20050304
	WO 2005084653	A3	20060518		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1749016	A2	20070207	EP 2005-717878	20050304
	R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

CN 1946732	A	20070411	CN 2005-80007119	20050304
BR 2005008488	A	20070731	BR 2005-8488	20050304
JP 2007526291	T	20070913	JP 2007-501345	20050304
SG 144146	A1	20080729	SG 2008-4350	20050304
NZ 549235	A	20100129	NZ 2005-549235	20050304
MX 2006010075	A	20070410	MX 2006-10075	20060904
NO 2006004365	A	20061122	NO 2006-4365	20060926
KR 2007004792	A	20070109	KR 2006-7020304	20060929
US 20080221060	A1	20080911	US 2007-598520	20071207
PRAI GB 2003-5153	A	20030307		
GB 2004-5009	A	20040305		
GB 2004-5012	A	20040305		
WO 2004-GB902	W	20040305		
GB 2004-12261	A	20040602		
GB 2004-12262	A	20040602		
GB 2004-13627	A	20040618		
GB 2004-19718	A	20040906		
GB 2004-20063	A	20040909		
GB 2004-20615	A	20040916		
WO 2005-GB800	W	20050304		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

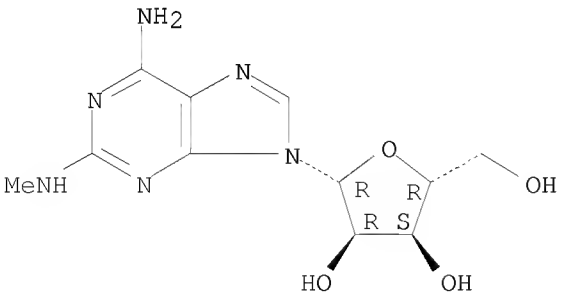
AB Methods for identifying potential therapeutic agents involve determining the affinity and/or efficacy of a test compound for an adenosine receptor at a relatively high pH and at a relatively low pH. Compds. with greater affinity and/or efficacy at the low pH are identified as potential therapeutic agents, in particular for the treatment of pain or inflammation.

IT 13364-95-9 31657-02-0 50257-95-9
53296-10-9, 2-Phenylaminoadenosine 53296-19-8
57972-89-1 71231-79-3 756818-72-1
756818-74-3 756818-76-5 756818-77-6
756818-78-7
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(identification of therapeutic compds.)

RN 13364-95-9 CAPLUS

CN Adenosine, 2-(methylamino)- (9CI) (CA INDEX NAME)

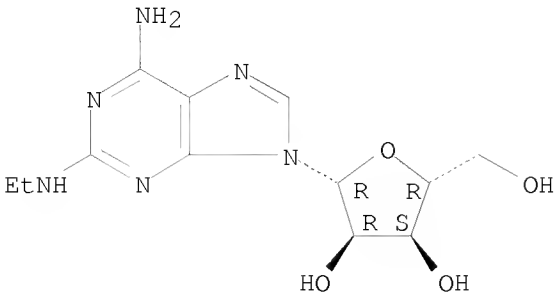
Absolute stereochemistry.



RN 31657-02-0 CAPLUS

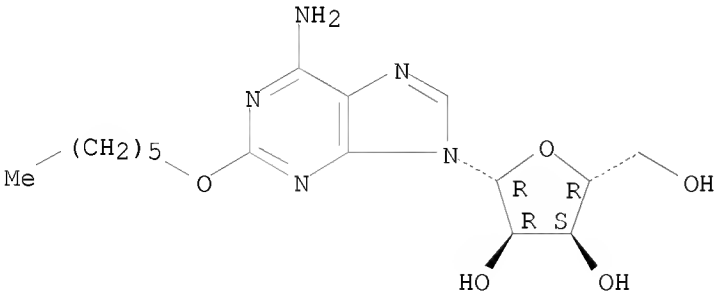
CN Adenosine, 2-(ethylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



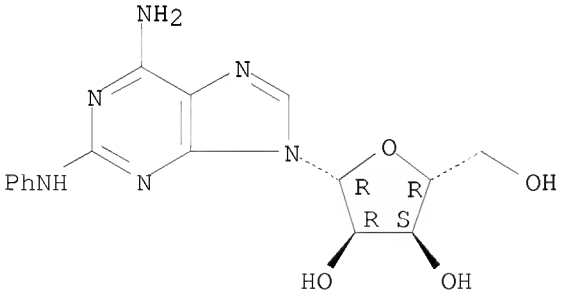
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



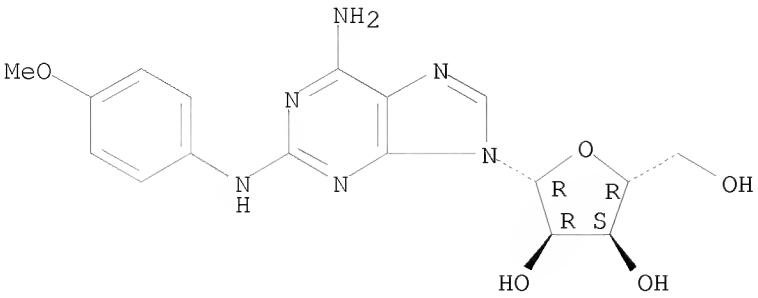
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



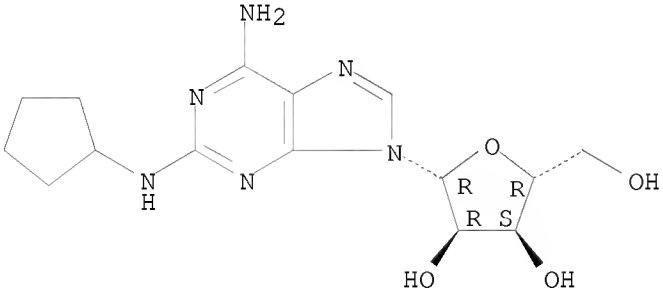
RN 53296-19-8 CAPLUS
CN Adenosine, 2-[(4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



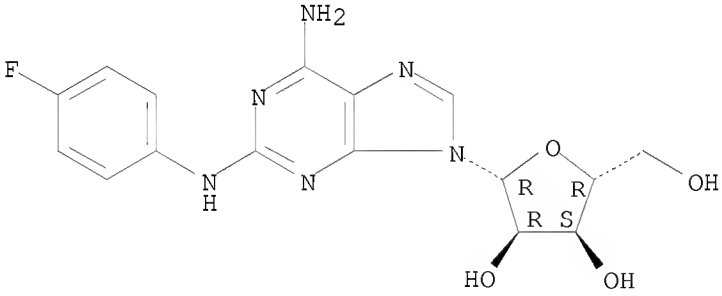
RN 57972-89-1 CAPLUS
CN Adenosine, 2-(cyclopentylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



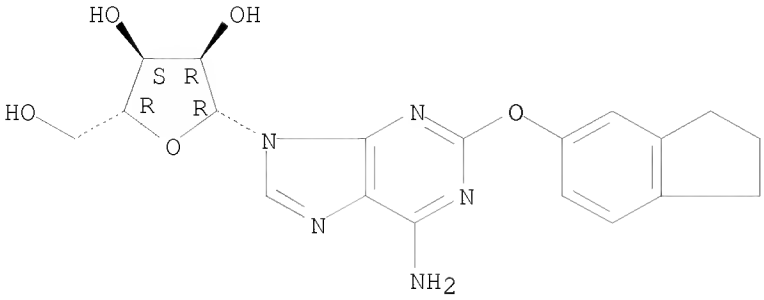
RN 71231-79-3 CAPLUS
CN Adenosine, 2-[(4-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



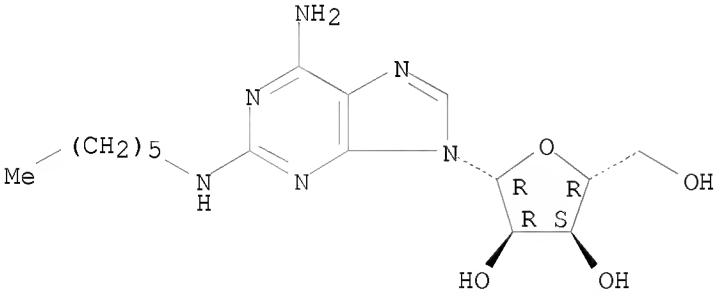
RN 756818-72-1 CAPLUS
CN Adenosine, 2-[(2,3-dihydro-1H-inden-5-yl)oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



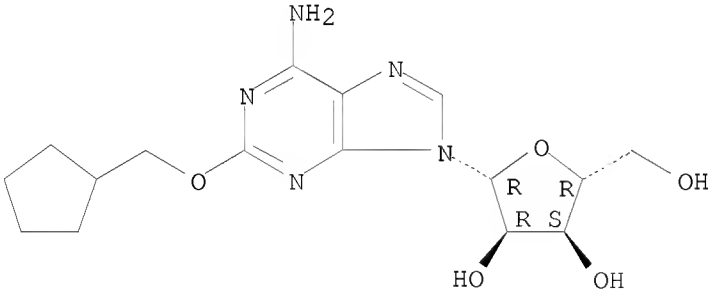
RN 756818-74-3 CAPLUS
CN Adenosine, 2-(hexylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



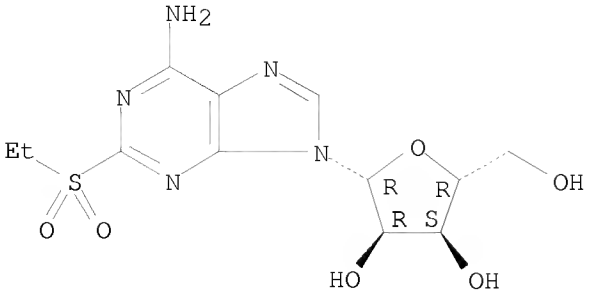
RN 756818-76-5 CAPLUS
CN Adenosine, 2-(cyclopentylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



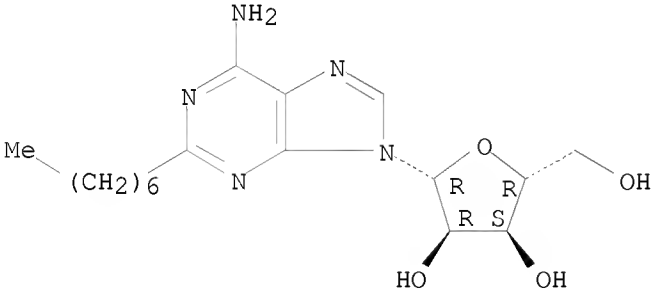
RN 756818-77-6 CAPLUS
CN Adenosine, 2-(ethylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 756818-78-7 CAPLUS
CN Adenosine, 2-heptyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 39 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2004:570030 CAPLUS
DN 141:99661
TI Identification of compounds suitable as agonists and/or antagonists of adenosine A2A receptor coupled to specific G proteins, and use of identified compounds in treatment of various disorders in mammals
IN Fredholm, Bertil B.; Kull, Bjoern
PA Actar Ab, Swed.
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004058974	A1	20040715	WO 2003-SE2086	20031229

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003291608 A1 20040722 AU 2003-291608 20031229

PRAI US 2002-436480P P 20021227

WO 2003-SE2086 W 20031229

AB The invention discloses a method of drug screening to select chemical compds. suitable as receptor agonists or antagonists that act on a receptor belonging to the family of G protein coupled receptors. The method involves constructing a biol. preparation comprising a receptor coupled to a specific G protein, bringing a compound in contact with said preparation, and studying the functional properties of said compound in biol. preparation The invention also discloses the use of identified compound as a drug for treatment of CNS disorders, cardiovascular disorders, inflammatory disorders, metabolic disorders, cancer and other hyperproliferative disorders in a mammal. Specifically, the invention discloses a novel approach to identify and test compds. based on changes in adenosine A2A receptor binding dependent on the nature of the coupled G protein. The invention related that this approach seemed feasible due to the evidence that G proteins, such as Gs, Gi or Golf, influence the binding properties of A2A receptors. The invention also related that a truly efficient drug mol., in addition to affinity towards A2A, can be specific towards the different G proteins associated with A2A. In the examples, the invention used transformed CHO cells expressing A2A receptors linked to Gs or Golf G proteins, and demonstrated the existence of G-protein-dependent ligand specificity. Specifically, the examples demonstrated that substance KF 17837 has higher affinity to the A2A-Golf complex in striatum than to A2A-Gs complex in leukocytes. The examples also showed how some compds. influence A2A receptors coupled to Golf more readily than A2A receptors coupled to Gs.

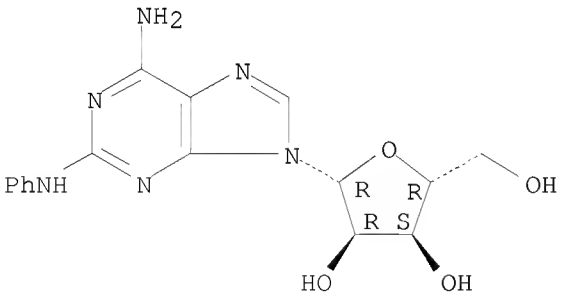
IT 53296-10-9

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(binding of chemical compds. to adenosine A2A receptors in membrane preparation
derived from pig brain (A2A receptors coupled to Golf) or from pig lymphocytes (A2A receptors coupled to Gs))

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

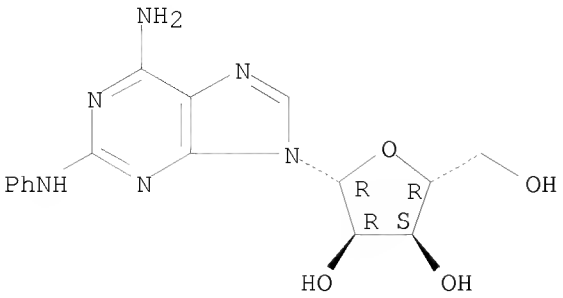


OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2004:567572 CAPLUS
DN 142:89098
TI WGA-Coated Yttrium Oxide Beads Enable an Imaging-Based Adenosine 2a Receptor Binding Scintillation Proximity Assay Suitable for High Throughput Screening
AU Bryant, Robert; Mcguinness, Debra; Turek-Etienne, Tammy; Guyer, Deborah; Yu, Liming; Howells, Leighton; Caravano, Joseph; Zhai, Ying; Lachowicz, Jean
CS Schering-Plough Research Institute, Kenilworth, NJ, USA
SO Assay and Drug Development Technologies (2004), 2(3), 290-299
CODEN: ADDTAR; ISSN: 1540-658X
PB Mary Ann Liebert, Inc.
DT Journal
LA English
AB Adenosine receptors belong to the superfamily of G protein-coupled receptors and are involved in a variety of physiol. functions. Traditionally, binding assays to detect adenosine 2a (A2a) antagonists and agonists have used filtration methods that are cumbersome to run and not amenable to HTS. We developed scintillation proximity assays (SPA) utilizing HEK293 RBHA2AM cell membranes, either wheat germ agglutinin (WGA)-coated yttrium silicate (YSi) or red-shifted yttrium oxide (YO) beads and the A2a-selective radioligand [3H]SCH 58261. Both beads gave windows (total binding/nonspecific binding) of >5 and Kd values of 2-3 nM for the radioligand, in agreement with results obtained by filtration. In contrast, WGA-polyvinyltoluene as well as other bead types had windows of <3 and significant radioligand binding to the uncoated beads. A 384-well WGA-YO bead SPA was optimized utilizing a LEADseeker imaging system and an automated trituration process for dispensing the dense yttrium-based beads. Signals were stable after 4 h, and Z' values were 0.7-0.8. The LEADseeker imaging assay tolerated 2% DMSO and generated IC50 values of 3-5 nM for the A2a antagonist CGS 15943, comparable to that obtained by the filtration method. A number of adenosine and xanthine analogs were identified as hits in the Library of Pharmacol. Active Compds. (LOPAC). This imaging-based A2a SPA enables HTS and is a major improvement over the filtration method.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: ANT (Analyte); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study)
(wheat germ agglutinin-coated yttrium oxide beads enable imaging-based adenosine 2a receptor binding scintillation proximity assay suitable for high throughput screening)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



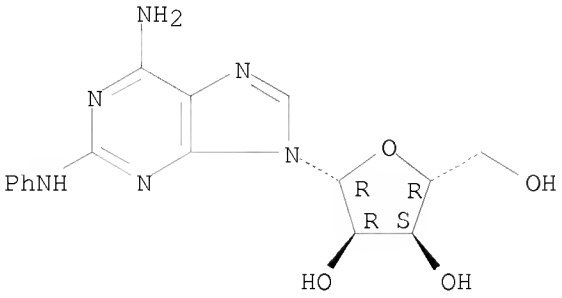
OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 41 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2004:432750 CAPLUS
DN 141:11973
TI Use of adenosine or its analogue in cosmetics for smoothing wrinkles
IN Galey, Jean Baptiste
PA L'Oreal, Fr.
SO Fr. Demande, 17 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2847469	A1	20040528	FR 2002-14828	20021126
	FR 2847469	B1	20060407		
	EP 1424064	A1	20040602	EP 2003-292633	20031022
	EP 1424064	B1	20070606		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	AT 363888	T	20070615	AT 2003-292633	20031022
	ES 2287432	T3	20071216	ES 2003-292633	20031022
	US 20040146474	A1	20040729	US 2003-701495	20031106
PRAI	FR 2002-14828	A	20021126		
	US 2002-432634P	P	20021212		

AB A cosmetic method to reduce the wrinkles of the face and/or relax the skin, comprises topical application of a composition containing, adenosine or its analogs on the skin. A cosmetic composition contained adenosine 0.10, stearic acid 3.00, a mixture of glyceryl mono-stearate and polyethylene glycol stearate 2.50, polyethylene glycol stearate 1.00, cyclopentadimethylsiloxane 10.00, excipients 3.00, vegetable oils 7.00, synthetic oil 6.00, preservative 1.20, polyoxyethylene methoxy dimethylsiloxane (16 EO) 1.00, silicone gum 0.20, acrylic copolymer in inverse emulsion (Simulgel 600) 1.700, stearyl alc. 1.00, and water q.s. 100%.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(use of adenosine or its analog in cosmetics for smoothing wrinkles)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

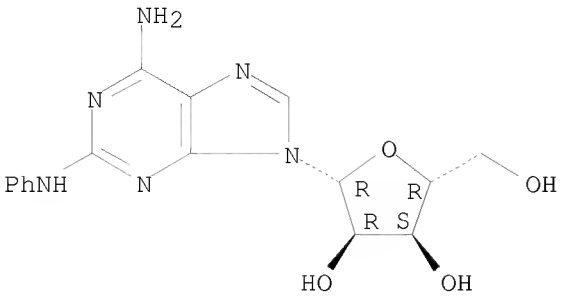
Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2004:406955 CAPLUS
DN 141:64408
TI A TOPS-MODE approach to predict affinity for A1 adenosine receptors. 2-(Arylamino)adenosine analogues
AU Perez Gonzalez, Maykel; Teran Moldes, Maria del Carmen
CS Experimental Sugar Cane Station "Villa Clara-Cienfuegos", Services Unit, Drug Design Department, Ranchuelo, 53100, Cuba
SO Bioorganic & Medicinal Chemistry (2004), 12(11), 2985-2993
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
AB The TOPol. Sub-Structural Mol. Design (TOPS-MODE) approach has been applied to the study of the affinity of A1 adenosine receptor of different 2-(arylamino)adenosine analogs. A model able to describe closed to 79% of the variance in the values for binding expts. of 32 analogs of these compds. through multilinear regression anal. (MRA) was developed with the use of the mentioned approach. In contrast, no one of seven different approaches, including the use of Constitutional, Topol., Mol. walk counts, BCUT, Randic Mol. profiles, Geometrical, and RDF descriptors was able to explain more than 70% of the variance in the mentioned property with the same number of descriptors. In addition, the TOPS-MODE approach permitted to find the contribution of different fragments to the biol. property giving to the model a straightforward structural interpretability.
IT 53296-10-9
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(TOPS-MODE approach to predict affinity for A1 adenosine receptors, studied using 2-(arylamino)adenosine analogs)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 43 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2003:796432 CAPLUS
DN 139:302061
TI Synergy of dopamine D2 and adenosine A2 receptors activates protein kinase A (PKA) signaling via β/γ dimers, and use in the treatment of drug abuse and drug withdrawal
IN Gordon, Adrienne S.; Diamond, Ivan F.; Yao, Lina
PA The Regents of the University of California, USA
SO PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003082211	A2	20031009	WO 2003-US9629	20030327
	WO 2003082211	A3	20041216		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003241281	A1	20031013	AU 2003-241281	20030327
	US 20090137662	A1	20090528	US 2007-550331	20070222
PRAI	US 2002-368417P	P	20020327		
	WO 2003-US9629	W	20030327		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

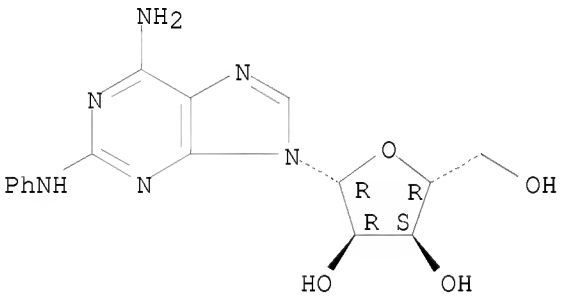
AB The invention pertains to the discovery that a dopamine receptor agonist can activate PKA signaling and/or can act synergistically with an adenosine receptor to activate such signaling. In various embodiments, the invention exploits the synergy between the dopamine receptor pathway and an adenosine receptor pathway to provide methods of mitigating one or more symptoms produced by the chronic consumption of a substance of abuse or to mitigate one or more physiol. and/or behavioral symptoms associated with cessation of chronic consumption of a substance of abuse. In certain embodiments, the methods involve administering to a mammal an effective

amount of an adenosine receptor antagonist and an effective amount of a dopamine receptor antagonist, where the effective amount of the adenosine receptor antagonist is lower than the effective amount of an adenosine receptor antagonist administered without the dopamine receptor antagonist.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synergy of dopamine D2 and adenosine A2 receptors activates protein kinase A signaling via β/γ dimers, and use in treatment of drug abuse and drug withdrawal)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

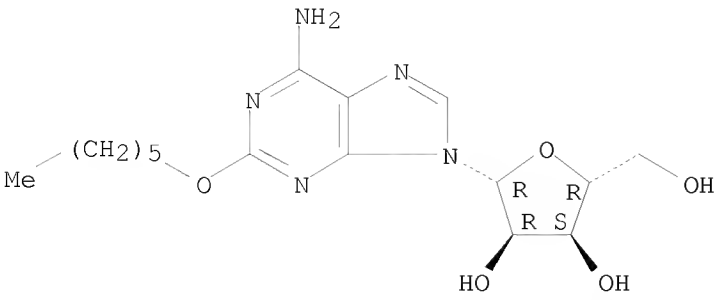


L4 ANSWER 44 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2003:251382 CAPLUS
DN 139:223688
TI Allosteric interactions and QSAR: on the role of ligand hydrophobicity
AU Hansch, Corwin; Garg, Rajni; Kurup, Alka; Mekapati, Suresh Babu
CS Department of Chemistry, Pomona College, Claremont, CA, 91711, USA
SO Bioorganic & Medicinal Chemistry (2003), 11(9), 2075-2084
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
AB A study of a very large database of QSAR (9100) has uncovered a few unusual examples where as one increases the hydrophobicity of the members of a set of congeners, activity decreases until at a certain point, activity begins to increase. Obviously a change in mechanism is involved. The only way we have found to rationalize this unusual event is by a change in the structure of the receptor. We have found this to occur with Hb, a substance first used to define allosteric reactions.

IT 50257-95-9
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(A1-adenoreceptor activity prolongation; parabolic relationship between ligand hydrophobicity and activity in QSAR studies in relation to allosteric interactions)

RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

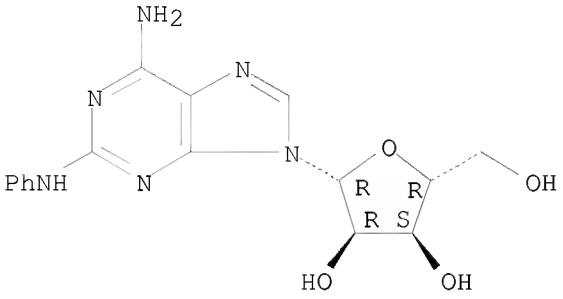
L4 ANSWER 45 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2002:906668 CAPLUS
DN 137:380042
TI Methods and formulations for increasing the affinity of A1 adenosine receptor ligands for the A1 adenosine receptor using glycolipids
IN Wilson, Constance Neely
PA Endacea Inc., USA
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002095391	A1	20021128	WO 2002-US16218	20020523
	W: AU, CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	CA 2441801	A1	20021128	CA 2002-2441801	20020523
	AU 2002311987	A1	20021203	AU 2002-311987	20020523
	EP 1390740	A1	20040225	EP 2002-739334	20020523
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2005518331	T	20050623	JP 2002-591814	20020523
	US 20040121406	A1	20040624	US 2003-475925	20031024
PRAI	US 2001-293362P	P	20010524		
	WO 2002-US16218	W	20020523		

AB Glycolipids are useful for enhancing the affinity of A1 adenosine receptor ligands for the A1 adenosine receptor. Glycolipids are accordingly useful in diagnostic and therapeutic methods that require the delivery or administration of A1 adenosine ligands.
IT 53296-10-9, CV 1808
RL: BSU (Biological study, unclassified); DGN (Diagnostic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(A1 adenosine receptor ligand; methods and formulations for increasing the affinity of A1 adenosine receptor ligands for A1 adenosine receptor using glycolipids in relation to diagnostic and therapeutic uses)
RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

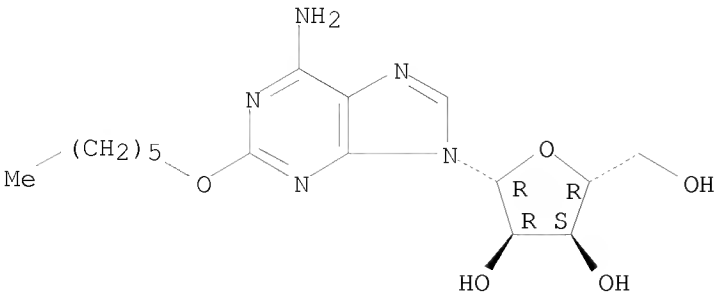
Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 46 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2002:623386 CAPLUS
DN 138:51514
TI Adenosine A2A receptor agonists: CoMFA-based selection of the most predictive conformation
AU Doytchinova, I.; Valkova, I.; Natcheva, R.
CS Department of Chemistry, Faculty of Pharmacy, Medical University-Sofia, Sofia, 1000, Bulg.
SO SAR and QSAR in Environmental Research (2002), 13(2), 227-235
CODEN: SQERED; ISSN: 1062-936X
PB Taylor & Francis Ltd.
DT Journal
LA English
AB A step-wise comparative mol. field anal. (CoMFA)-based procedure was applied to a series of 51 2-oxyadenosines in order to select the most predictive conformation for binding to A2A adenosine receptor (AR). The highest correlation and predictive power were found for conformers with side chain at 2nd position oriented in the direction opposite to the exocyclic amino group on the adenine ring (torsion N1C2OR = 120°) and fully extended. The interaction of ligand and receptor is under steric and electrostatic control. The steric contribution is of a greater importance for the predictivity than the electrostatic one. Hydrophobicity of the compds. investigated does not affect significantly either the affinity to A2A AR, nor the predictivity of the models.
IT 50257-95-9
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(step-wise comparative mol. field anal. of 2-oxyadenosine derivs. conformation and binding to adenosine A2A adenosine receptor)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.

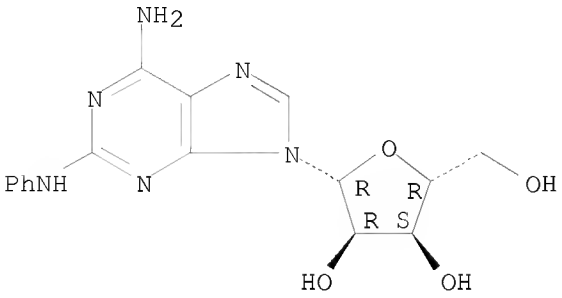


OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 47 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2002:290506 CAPLUS
DN 137:28514
TI Tonic activity of the rat adipocyte A1-adenosine receptor
AU Liang, Hui-Xiu; Belardinelli, Luiz; Ozeck, Mark J.; Shryock, John C.
CS Division of Cardiovascular Medicine, Department of Medicine, University of Florida, Gainesville, FL, 32610, USA
SO British Journal of Pharmacology (2002), 135(6), 1457-1466
CODEN: BJPCBM; ISSN: 0007-1188
PB Nature Publishing Group
DT Journal
LA English
AB Adipocyte A1-adenosine receptors (A1 AdoR) tonically inhibit adenylyl cyclase and lipolysis. Three potential explanations for tonic activity of A1AdoR of rat epididymal adipocytes were investigated: high affinity of adenosine for the receptor, efficient coupling of receptor activation to response, and spontaneous activity of the receptor in the absence of agonist. The affinity of adenosine for the adipocyte A1AdoR was determined as 4.6 μM by anal. of effects of an irreversible receptor antagonist on agonist concentration-response relationships. In contrast, the potency of adenosine to decrease cAMP in isolated adipocytes was 1.4 nM. Occupancy by agonist of the A1AdoR was efficiently coupled to functional response (decrease of adipocyte cAMP content). Activation by adenosine of less than 1% of A1AdoRs caused a near-maximal decrease of cAMP in adipocytes. Thus the receptor reserve for adenosine to decrease cAMP content of adipocytes was greater than 99%. Affinities and receptor reserves for other A1AdoR agonists were determined Agonists appeared to differ more in their affinity for the receptor than in their intrinsic efficacy to activate it. A1AdoRs were inactive in the absence of agonist. It is concluded that adipocyte A1AdoR are tonically activated by endogenous adenosine at nanomolar concns. The expression of a high d. of A1AdoR that are efficiently coupled to a functional response enables the adipocyte to respond with high sensitivity to the low-affinity agonist, adenosine. Adipocytes may be a model for cells whose functions are tonically modulated by adenosine present in the interstitium of well-oxygenated tissues.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(A1 adenosine receptor agonist; tonic activity of rat adipocyte A1-adenosine receptor in regulation of adenylate cyclase and lipolysis)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



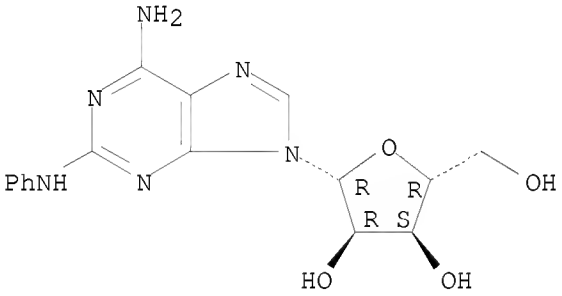
OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 48 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2001:780668 CAPLUS
DN 135:335153
TI Treatment of neurodegenerative disease
IN Bamdad, R. Shoshanna; Bamdad, Cynthia C.
PA Minerva Biotechnologies Corporation, USA
SO PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001078709	A2	20011025	WO 2001-US12484	20010412
	WO 2001078709	A3	20030417		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2404858	A1	20011025	CA 2001-2404858	20010412
	AU 2001053597	A	20011030	AU 2001-53597	20010412
	US 20030060487	A1	20030327	US 2001-835099	20010412
	EP 1328261	A2	20030723	EP 2001-927116	20010412
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2003530432	T	20031014	JP 2001-576010	20010412
PRAI	US 2000-196497P	P	20000412		
	US 2000-214221P	P	20000623		
	US 2000-248890P	P	20001115		

WO 2001-US12484 W 20010412
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 135:335153
AB The invention relates to treatments for peptide aggregation associated with disease states such as neurodegenerative disease, particularly physiol. associated with Alzheimer's Disease, and non-neurodegenerative disease aggregation. Other aspects of the invention also provides a variety of novel assays for screening candidate drugs. Yet another aspects of the present invention also provides a series of compns. useful for treatment of neurol. disease as determined from these assays. These compns. can be packaged in kits. Other aspects of the invention also relate to the use of these compns. for the treatment and/or prevention of patients susceptible to or exhibiting of a disease characteristic of fibril formation or aberrant protein aggregation. Examples are given for monitoring drug activity as a function of time for drug profiling and cell-based screening assay for candidate drugs for affecting aggregate formation at a variety of stages of biochem. progression.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of neurodegenerative disease)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



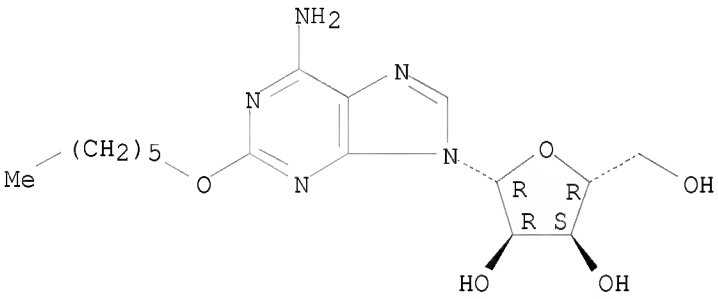
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 49 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2001:657146 CAPLUS
DN 136:175
TI CoMFA study on adenosine A2A receptor agonists
AU Doytchinova, Irini; Valkova, Iva; Natcheva, Roumiana
CS Department of Chemistry, Faculty of Pharmacy, Medical University - Sofia, Sofia, 1000, Bulg.
SO Quantitative Structure-Activity Relationships (2001), 20(2), 124-129
CODEN: QSARDI; ISSN: 0931-8771
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
AB A step-wise CoMFA-based procedure was applied to a series of 51 C2-oxyadenosines to select the most predictive conformation for binding to A2A adenosine receptor. The highest correlation and predictive power was

found for conformers with the side chain at the 2-position oriented in the direction opposite to the exocyclic amino group on the adenine ring (torsion N1C2OR = 120°) and fully extended. The interaction of ligand and receptor is under steric and electrostatic control. The steric contribution is of greater importance for the predictivity than the electrostatic one. Hydrophobicity of the compds. investigated does not affect significantly either the affinity to A2A adenosine receptor, nor the predictivity of the models.

IT 50257-95-9
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(CoMFA study on adenosine A2A receptor agonists)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 50 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2001:597739 CAPLUS
DN 135:162508
TI Adenosine A2a receptor antagonist for treating and preventing hepatic fibrosis, cirrhosis and fatty liver
IN Cronstein, Bruce N.; Chan, Edwin
PA New York University, USA
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001058241	A2	20010816	WO 2001-US4341	20010212
	WO 2001058241	A9	20021017		
	W: AU, CA, JP				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
	CA 2398908	A1	20010816	CA 2001-2398908	20010212
	CA 2398908	C	20091215		
	AU 2001038124	A	20010820	AU 2001-38124	20010212
	US 20020002145	A1	20020103	US 2001-780365	20010212
	US 6555545	B2	20030429		

	JP 2004502640	T	20040129	JP 2001-557366	20010212
	AU 2001238124	B2	20060525	AU 2001-238124	20010212
	EP 1272897	B1	20080507	EP 2001-910529	20010212
	R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR				
	AT 394104	T	20080515	AT 2001-910529	20010212
	PT 1272897	E	20080818	PT 2001-910529	20010212
	ES 2307593	T3	20081201	ES 2001-910529	20010212
	AU 2006203699	A1	20060921	AU 2006-203699	20060825
	AU 2006203699	B2	20100204		
PRAI	US 2000-181546P	P	20000210		
	AU 2001-238124	A3	20010212		
	WO 2001-US4341	W	20010212		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

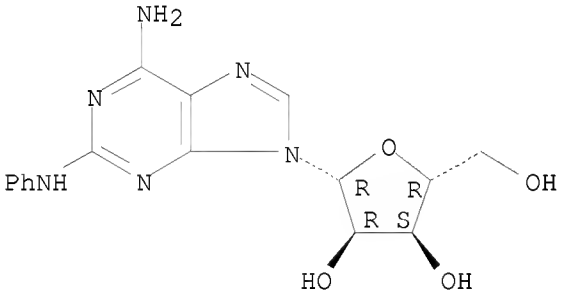
AB Adenosine A2a receptor antagonists such as CGS-21680 or adenosine derivs are used for treating and preventing hepatic fibrosis, cirrhosis and fatty liver. The adenosine A2a receptor antagonist CGS-21680 increased collagen production by rHSC.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine A2a receptor antagonists for treating and preventing hepatic fibrosis, cirrhosis and fatty liver)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 51 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 2001:39215 CAPLUS

DN 134:216814

TI Design, Synthesis, and Evaluation of Novel A2A Adenosine Receptor Agonists

AU Rieger, Jayson M.; Brown, Milton L.; Sullivan, Gail W.; Linden, Joel; Macdonald, Timothy L.

CS Departments of Chemistry and Medicine, University of Virginia, Charlottesville, VA, 22904-4319, USA

SO Journal of Medicinal Chemistry (2001), 44(4), 531-539
CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

OS CASREACT 134:216814

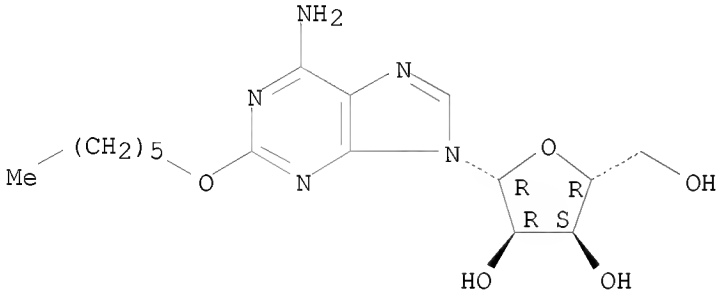
AB The authors have been interested in the design, synthesis, and evaluation

of novel adenosine A2A agonists. Through the use of comparative mol. field anal. (CoMFA) the authors have generated a training model that includes 78 structurally diverse A2A agonists and correlated their affinity for isolated rat brain receptors with differences in their structural and electrostatic properties. The authors validated this model by predicting the activity of a test set that included 24 addnl. A2A agonists. Our CoMFA model, which incorporates the physiochem. property of dipole and selects against A1 receptor activity, generated a correlated final model (r2 = 0.891) that provides for enhanced A2A selectivity and predictability. Synthesis, pharmacol. evaluation, and modeling of four novel ligands further validate the utility and predictive power (r2 = 0.626) of the CoMFA model.

IT 50257-95-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(design and synthesis and evaluation of novel A2A adenosine receptor agonists)

RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 66 THERE ARE 66 CAPLUS RECORDS THAT CITE THIS RECORD (66 CITINGS)
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

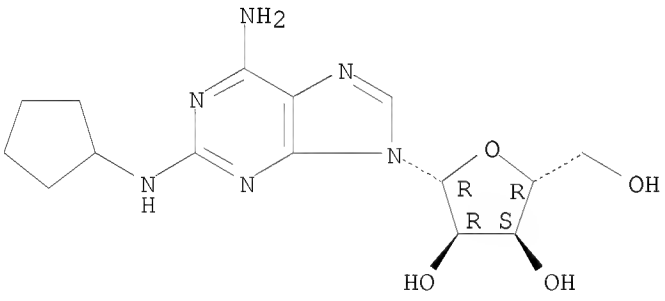
L4 ANSWER 52 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2000:720701 CAPLUS
DN 134:65798
TI Adenosine Analogues as Inhibitors of Trypanosoma brucei Phosphoglycerate Kinase: Elucidation of a Novel Binding Mode for a 2-Amino-N6-Substituted Adenosine
AU Bressi, Jerome C.; Choe, Jungwoo; Hough, Melinda T.; Buckner, Frederick S.; Van Voorhis, Wesley C.; Verlinde, Christophe L. M. J.; Hol, Wim G. J.; Gelb, Michael H.
CS Departments of Chemistry Biochemistry Medicine and Biological Structure, University of Washington, Seattle, WA, 98195, USA
SO Journal of Medicinal Chemistry (2000), 43(22), 4135-4150
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB As part of a project aimed at structure-based design of adenosine analogs as drugs against African trypanosomiasis, N6-, 2-amino-N6-, and

N2-substituted adenosine analogs were synthesized and tested to establish structure-activity relationships for inhibiting Trypanosoma brucei glycosomal phosphoglycerate kinase (PGK), glyceraldehyde-3-phosphate dehydrogenase (GAPDH), and glycerol-3-phosphate dehydrogenase (GPDH). Evaluation of x-ray structures of parasite PGK, GAPDH, and GPDH complexed with their adenosyl-bearing substrates led the authors to generate a series of adenosine analogs which would target all three enzymes simultaneously. There was a modest preference by PGK for N6-substituted analogs bearing the 2-amino group. The best compound in this series, 2-amino-N6-[2''-(p-hydroxyphenyl)ethyl]adenosine (I), displayed a 23-fold improvement over adenosine with an IC50 of 130 μM. 2-[[2''-(P-Hydroxyphenyl)ethyl]amino]adenosine was a weak inhibitor of T. brucei PGK with an IC50 of 500 μM. To explore the potential of an additive effect that having the N6 and N2 substitutions in one mol. might provide, the best ligands from the two series were incorporated into N6,N2-disubstituted adenosine analogs to yield N6-(2''-phenylethyl)-2-[(2''-phenylethyl)amino]adenosine as a 30 μM inhibitor of T. brucei PGK which is 100-fold more potent than the adenosine template. In contrast, these series gave no compds. that inhibited parasitic GAPDH or GPDH more than 10-20% when tested at 1.0 mM. A 3.0 Å x-ray structure of a T. brucei PGK/I complex revealed a binding mode in which the nucleoside analog was flipped and the ribosyl moiety adopted a syn conformation as compared with the previously determined binding mode of ADP. Mol. docking expts. using QXP and SAS program suites reproduced this "flipped and rotated" binding mode.

IT 57972-89-1P 313477-36-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(adenosine analogs as inhibitors of Trypanosoma brucei phosphoglycerate kinase and elucidation of a novel binding mode for a 2-amino-substituted adenosine)

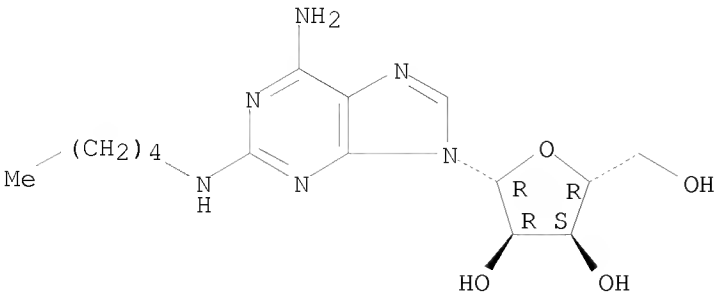
RN 57972-89-1 CAPLUS
CN Adenosine, 2-(cyclopentylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313477-36-0 CAPLUS
CN Adenosine, 2-(pentylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 40 THERE ARE 40 CAPLUS RECORDS THAT CITE THIS RECORD (40 CITINGS)
RE.CNT 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

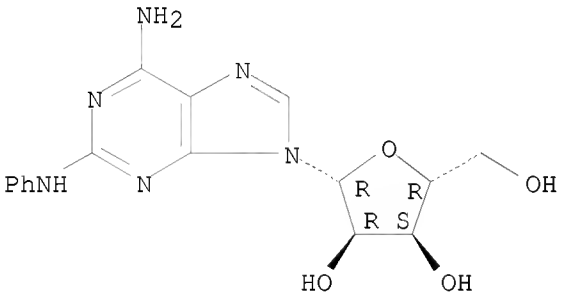
L4 ANSWER 53 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2000:573631 CAPLUS
DN 133:182707
TI Hair growth stimulants containing purinoceptor stimulants and their screening method
IN Nakaya, Yutaka; Arase, Seiji; Imamura, Koji
PA Taisho Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000047172	A1	20000817	WO 2000-JP694	20000209
	W: AU, CA, CN, KR, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	JP 2000297015	A	20001024	JP 2000-34181	20000210
	JP 4465779	B2	20100519		
	JP 2009142281	A	20090702	JP 2009-423	20090105
PRAI	JP 1999-33502	A	19990210		
	JP 1999-33503	A	19990210		
	JP 1999-33504	A	19990210		
	JP 1999-33505	A	19990210		
	JP 2000-34181	A3	20000210		

OS MARPAT 133:182707
AB Disclosed are excellent hair growth stimulants having novel function mechanisms different from the conventional hair growth stimulants and a method for screening the same. The hair growth stimulants contain as the active ingredient compds. exerting an effect of stimulating purine receptors (adenosine receptor, ATP receptor, etc.), an effect of potentiating the above effect, and an effect of liberating compds. having an effect of stimulating purine receptors (adenosine, adenosine derivs., adenosine metabolites, etc.) from cells. The screening method comprises adding a test substance to cells which have been transformed with an ABC transporter gene and a purine derivative receptor gene and using the calcium influx at this point as an indication. A hair gel containing N6-(L-2-phenylisopropyl)adenosine 0.5, polyethyleneglycol monostearate 1, 1,3-butylene glycol 7, carboxyvinyl polymer 1.5, diisopropanol amine q.s.,

ethanol 40, and water q.s. to 100 % was prepared
IT 53296-10-9, 2-Phenylaminoadenosine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(hair growth stimulants containing purinoceptor stimulants and their screening method)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

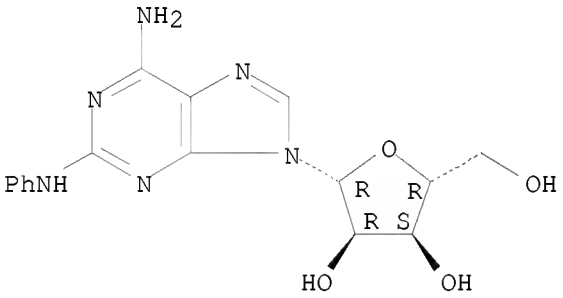
L4 ANSWER 54 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2000:405019 CAPLUS
DN 133:115443
TI Thermodynamically distinct high and low affinity states of the A1 adenosine receptor induced by G protein coupling and guanine nucleotide ligation states of G proteins
AU Lorenzen, Anna; Guerra, Laura; Campi, Franca; Lang, Heidrun; Schwabe, Ulrich; Borea, Pier Andrea
CS Pharmakologisches Institut der Universitat Heidelberg, Heidelberg, D-69120, Germany
SO British Journal of Pharmacology (2000), 130(3), 595-604
CODEN: BJPCBM; ISSN: 0007-1188
PB Nature Publishing Group
DT Journal
LA English
AB 1 The influence of the receptor-G protein coupling state and the guanine nucleotide ligation state of the G protein on the binding mechanism of A1 adenosine receptor ligands has been investigated in [3H]-1,3-dipropyl-8-cyclopentylxanthine ([3H]-DPCPX) binding studies in rat brain membranes. Thermodn. parameters of binding of A1 adenosine receptor ligands of different intrinsic activities were determined in the absence or presence of GDP and compared to the binding mechanism after receptor-G protein uncoupling. 2 In agreement with previous studies, it was found that xanthine and non-xanthine antagonists showed an enthalpy- or enthalpy- and entropy-driven binding mechanism under all conditions. 3 In contrast to antagonists, the binding mechanism of agonists was strongly affected by the G protein coupling state or the absence or presence of guanine nucleotides. Binding of full and partial agonists to the

high-affinity state of the A1 receptor was entropy-driven in the absence of GDP, and a good correlation between intrinsic activities and the contribution of entropy was observed In the absence of GDP, binding of full and partial agonists and antagonists to the high affinity state of the receptor was thermodynamically discriminated. In contrast, no such discrimination was found in the presence of GDP. 4 The binding mechanism of agonists to the low-affinity state of the receptor was identical to that of antagonists only after uncoupling of the receptor from G proteins by pretreatment with N-ethylmaleimide or guanosine-5'-(γ-thio)-triphosphate (GTPγS). 5 These results indicate the existence of two thermodynamically distinct high- and low-affinity states of the A1 adenosine receptor.

IT 53296-10-9, CV 1808
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(thermodynamically distinct high and low affinity states of A1 adenosine receptor induced by G protein coupling and guanine nucleotide ligation states of G proteins)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (13 CITINGS)
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

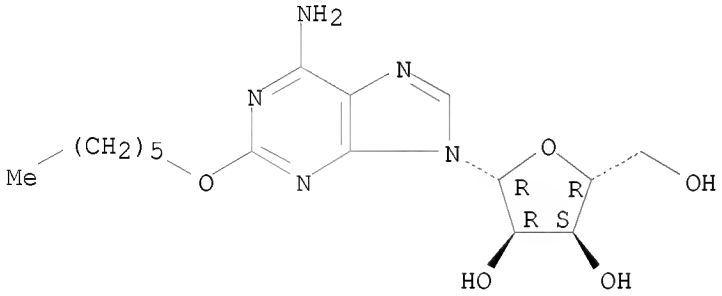
L4 ANSWER 55 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2000:258227 CAPLUS
DN 133:37724
TI Molecular modeling of 2-alkyloxy- and 2-aralkyloxy-adenosine A1- and A2-agonists
AU Matova, Mariana M.; Nacheva, Rumiana N.; Boicheva, Sirma V.
CS Department of Chemistry and Biochemistry, Faculty of Medicine, Medical University, Sofia, 1431, Bulg.
SO Drug Design and Discovery (2000), 16(4), 255-270, 5 plates
CODEN: DDDIEV; ISSN: 1055-9612
PB Harwood Academic Publishers
DT Journal
LA English
AB The C2-region of adenosine A1- and A2-receptors by a mol. modeling technique has been extended and applied to a series of 2-substituted adenosines reported by Olsson, et al. The similarity and dissimilarity of the structure maps obtained by mol. modeling have been used as a basis for

the mapping of the analyzed receptor domain. The proposed model of the C2-region of the A1-receptor consists of a narrow and sterically limited area that interacts well electrostatically with small and electron rich moieties. Olsson's provisional model of the C2-region of the A2-receptor has been extended with two subsites, as well as with a forbidden area near the C2-position of the purine ring. The conformational anal. performed in the study does not support the hypothesis of Olsson et al. that adenosine C2 substituents may partly occupy the same receptor domain as the N6 substituents of the A1-receptor. The occupation of the cycloalkyl subsite increases the receptor selectivity while the occupation of the other subsite by aryl rings, fixed at a parallel position to the purine system, highly enhances the receptor affinity.

IT 50257-95-9
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(mol. modeling of 2-alkyloxy- and 2-aralkyloxy-adenosine A1- and A2-agonists)

RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.

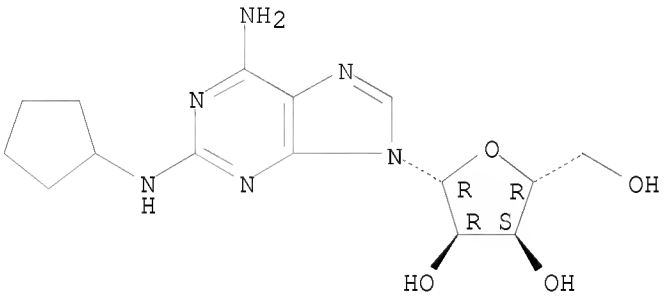


OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 56 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 2000:177183 CAPLUS
DN 132:322070
TI The discovery and synthesis of highly potent A2a receptor agonists
AU Keeling, Suzanne E.; Albinson, F. David; Ayres, Barry E.; Butchers, Peter R.; Chambers, C. Lynn; Cherry, Peter C.; Ellis, Frank; Ewan, George B.; Gregson, Michael; Knight, John; Mills, Keith; Ravenscroft, Paul; Reynolds, Linda H.; Sanjar, Shahin; Sheehan, Michael J.
CS Medicinal Sciences, Glaxo Wellcome Medicines Research Centre, Stevenage, SG1 2NY, UK
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(4), 403-406
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB A series of N6,2-disubstituted adenosine analogs have been synthesized and their functional activity measured against A2a and A1 receptors. Examples of compds. with both a lipophilic N6-substituent and amino-functionalized

2-position were highly active at the A2a receptor on the human neutrophil.
IT 57972-89-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(discovery and synthesis of highly potent A2a receptor agonists)
RN 57972-89-1 CAPLUS
CN Adenosine, 2-(cyclopentylamino)- (9CI) (CA INDEX NAME)

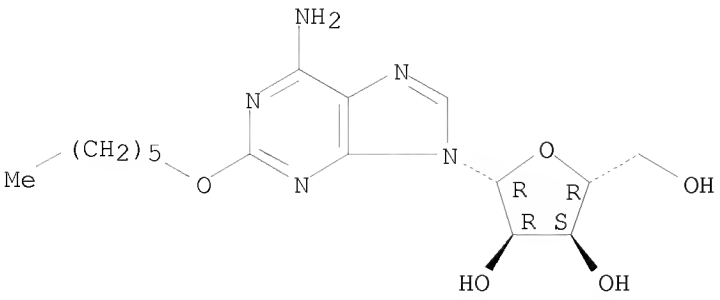
Absolute stereochemistry.



OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 57 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1999:173299 CAPLUS
DN 130:276244
TI Using theoretical descriptors in a correlation analysis of adenosine activity
AU Famini, George R.; Loumbev, Valery P.; Frykman, Eric K.; Wilson, Leland Y.
CS Development Engineering Center, Edgewood Research, Aberdeen, MD, 21010, USA
SO Quantitative Structure-Activity Relationships (1998), 17(6), 558-564
CODEN: QSARDI; ISSN: 0931-8771
PB Wiley-VCH Verlag GmbH
DT Journal
LA English
AB A theor. linear solvation energy relationship (TLSER) is used as a model for relating 2 guinea pig heart muscle activities to a set of computationally derived mol. descriptors for a set of 24 2-alkoxy and 25 2-aryloxy adenosines. The resulting equations are consistent with the structure activity relationship (SAR) study showing an increase in activity at 1 site with increase in substituent size and a hydrophobicity index.
IT 50257-95-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(using theor. descriptors in a correlation anal. of adenosine activity)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

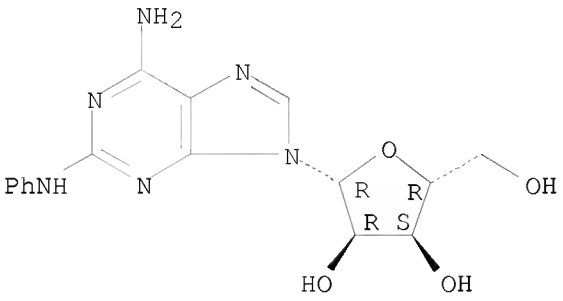
L4 ANSWER 58 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1999:27719 CAPLUS
DN 130:90521
TI Methods for the inhibition of neuronal activity and treatment of pain syndromes or epilepsy by local delivery of adenosine
IN Mohler, Hanns; Boison, Detlev
PA Switz.
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9858653	A1	19981230	WO 1998-IB973	19980623
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 6110902	A	20000829	US 1997-881038	19970623
	AU 9876711	A	19990104	AU 1998-76711	19980623
	EP 996453	A1	20000503	EP 1998-924521	19980623
	EP 996453	B1	20040428		
	R: CH, DE, GB, LI				
PRAI	US 1997-881038	A	19970623		
	WO 1998-IB973	W	19980623		

AB The invention relates to the treatment of conditions associated with neuronal activity. Specifically, the invention is drawn to methods and compns. for administering adenosine to inhibit pain syndromes or epilepsy in a patient.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine local delivery method for inhibition of neuronal activity

and treatment of pain syndromes or epilepsy)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

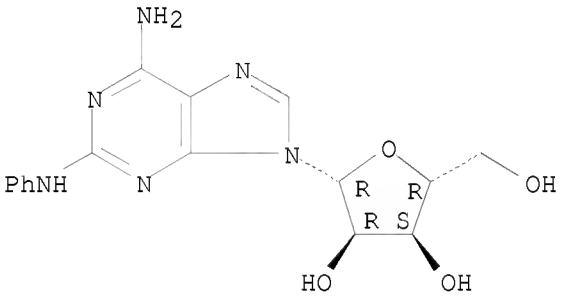


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 59 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1998:810073 CAPLUS
DN 130:177447
TI Differences in the order of potency for agonists but not antagonists at human and rat adenosine A2A receptors
AU Kull, Bjorn; Arslan, Guilia; Nilsson, Christer; Owman, Christer; Lorenzen, Anna; Schwabe, Ulrich; Fredholm, Bertil B.
CS Department of Physiology and Pharmacology, Section of Molecular Neuropharmacology, Karolinska Institutet, Stockholm, S-171 77, Swed.
SO Biochemical Pharmacology (1999), 57(1), 65-75
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier Science Inc.
DT Journal
LA English
AB To examine possible species differences in pharmacol., rat adenosine A2A receptors were studied in PC12 (pheochromocytoma) cells, and human receptors in Chinese hamster ovary (CHO) cells transfected with the cloned human A2A receptor cDNA. Using [3H]-5-amino-7-(2-phenylethyl)-2-(2-furyl)pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidine ([3H]-SCH 58261) as radioligand, the estimated Bmax (maximal binding) was 538 and 2085 fmol/mg in CHO and PC12 cells, resp. The Kd (dissociation constant) values for [3H]-SCH 58261 were 1.05 and 5.6 nM in the 2 cell types, resp. The order of potency of antagonists and most agonists was the same in both cell types, but 2-phenylaminoadenosine and 2-chloroadenosine were relatively less potent in PC12 cells than in CHO cells. In the functional assay, using cAMP accumulation, all agonists tested were more potent in CHO than in PC12 cells, but this could not be readily explained by differences in adenylyl cyclase or in the expression of G proteins. As in the case of binding, the relative agonist potencies were similar for most compds., but 2-phenylaminoadenosine and 2-chloroadenosine were more potent at human A2A receptors in CHO cells than predicted from the data obtained on rat A2A receptors in PC12 cells. The antagonists were approx. equipotent in the 2 cells. These results show that, despite only small differences in receptor amino acid sequences and no difference in antagonist pharmacol., the relative order of potency of receptor agonists can differ between

species homologues of the adenosine A2A receptor.
IT 53296-10-9, CV 1808
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(species differences in potency at human and rat adenosine A2A receptors of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)
RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 60 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1998:789051 CAPLUS
DN 130:29255
TI Medicinal composition for prevention or treatment of hepatopathy
IN Ozaki, Takayuki; Hirata, Yoshihisa; Tada, Shin-ichi
PA Nippon Shinyaku Co., Ltd., Japan
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9852611	A1	19981126	WO 1998-JP2223	19980520
	W: AT, AU, BR, CA, CH, CN, DE, DK, ES, GB, HU, ID, IL, JP, KR, MX, NO, NZ, PT, RU, SE, UA, US, VN, AM, AZ, BY, KG, KZ, MD, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9874495	A	19981211	AU 1998-74495	19980520
	EP 983768	A1	20000308	EP 1998-921742	19980520
	R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
PRAI	JP 1997-133480	A	19970523		
	JP 1997-192555	A	19970717		
	WO 1998-JP2223	W	19980520		

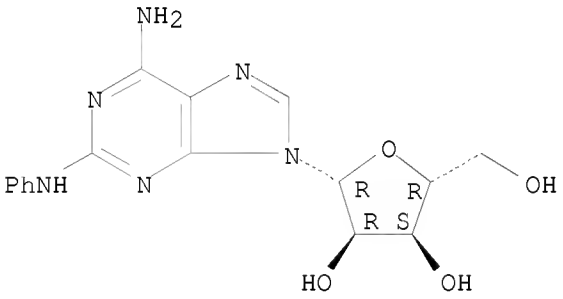
OS MARPAT 130:29255
AB A medicinal composition containing an adenosine A2 receptor agonist as an active
ingredient, is effective in the prevention or treatment of hepatopathy.

1-[6-Amino-2-[[2-[4-(2-carboxyethyl)phenyl]ethyl]amino]-9H-purine-9-yl]-1-deoxy-N-ethyl-β-D-ribofuranuronamide was i.p. or orally administered to mice to show inhibitory activities against con A-induced liver damages. Tablet formulations containing the active ingredients are also provided.

IT 53296-10-9, 2-(Phenylamino)adenosine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine A2 receptor agonists for treatment of hepatopathy)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

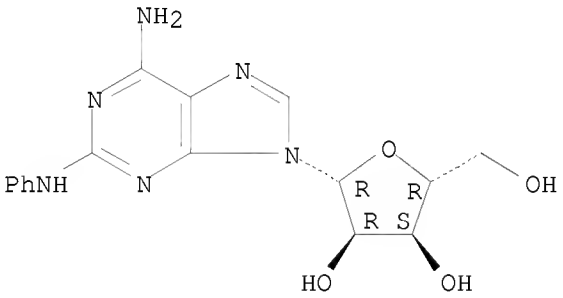
L4 ANSWER 61 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1998:727900 CAPLUS
DN 130:90482
TI Activation of various subtypes of G-protein α subunits by partial agonists of the adenosine A1 receptor
AU Lorenzen, Anna; Lang, Heidrun; Schwabe, Ulrich
CS INSTITUTE OF PHARMACOLOGY, UNIVERSITY OF HEIDELBERG, HEIDELBERG, 69120, Germany
SO Biochemical Pharmacology (1998), 56(10), 1287-1293
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier Science Inc.
DT Journal
LA English
AB The activation of different G protein subtypes by the rat adenosine A1 receptor initiated by stimulation with the full agonist 2-chloro-N6-cyclopentyladenosine (CCPA) and by six structurally distinct partial agonists of this receptor was investigated. Endogenous G protein α subunits in rat cortical membranes were inactivated by N-ethylmaleimide (NEM). Activation of rat recombinant myristoylated αo, αi1, αi2 and αi3 by partial agonists in comparison to the full agonist was assessed by guanosine-5'-(γ-[35S]thio)triphosphate ([35S]GTPγS) binding after reconstitution of G protein α subunits with the adenosine A1 receptor in N-ethylmaleimide-treated membranes. 2-Chloro-N6-cyclopentyladenosine and 3'-deoxy-N6-cyclopentyladenosine (3'-d-CPA), the partial agonist with the highest intrinsic activity, were

significantly more potent in activation of αi subtypes than αo. In contrast, 5'-methylthioadenosine (MeSA), 2'-deoxy-2-chloroadenosine (cladribine), 2'-deoxy-N6-cyclopentyladenosine (2'-d-CPA), 2-phenylaminoadenosine (CV 1808) and C8-aminopropyl-N6-cyclopentyladenosine (C8-aminopropyl-CPA) did not exhibit higher potency for Go or any Gi subtype. All partial agonists, although carrying structurally different modifications, showed higher relative intrinsic activities in activation of Gi than of Go, indicating that Gi-coupled pathways may be activated selectively via the A1 receptor by partial agonists, but not Go-mediated responses.

IT 53296-10-9, CV 1808
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(activation of various subtypes of G-protein α subunits by partial agonists of the adenosine A1 receptor)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 62 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1998:493732 CAPLUS
DN 129:131238
OREF 129:26693a,26696a
TI Screening method for agents for treatment of eye disorders
IN Trier, Klaus
PA Aps, Klaus Trier, Den.
SO PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9830900	A2	19980716	WO 1998-DK1	19980105
	WO 9830900	A3	19981210		

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,

	UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2276287	A1	19980716	CA 1998-2276287	19980105
	CA 2276287	C	20071030		
	AU 9853121	A	19980803	AU 1998-53121	19980105
	IN 1998CA00024	A	20051111	IN 1998-CA24	19980106
	US 6710051	B1	20040323	US 1999-341169	19990706
	US 20040013609	A1	20040122	US 2003-464750	20030619
PRAI	DK 1997-9	A	19970106		
	DK 1997-823	A	19970707		
	DK 1997-1383	A	19971201		
	WO 1998-DK1	W	19980105		
	US 1999-341169	A3	19990706		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 129:131238

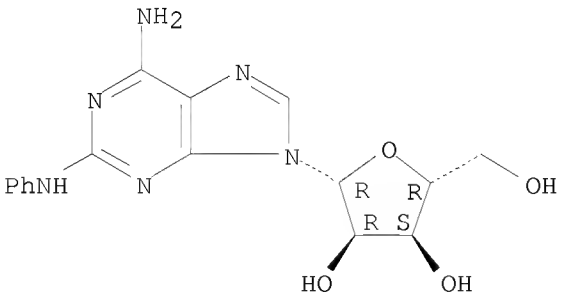
AB A method is provided for identification of substances which are applicable for treatment or prevention of an insufficient longitudinal growth of the eye (hypermetropia) or for treatment or prevention of an excessive longitudinal growth of the eye (myopia); substances identified by the method for treating or preventing conditions related to the longitudinal growth of the eye; substances and mixts. of substances for the preparation of a pharmaceutical composition for the treatment or prevention of abnormal growth of the axial length of the eye. The identification involves measuring the effect of the substances on the retinal pigment epithelium of the eye, e.g. by detecting the metabolic effect of the substance on the retinal epithelium, the effect on the standing potential or the effect on the proteoglycans of the scleral tissue of the eye, by way of EOG examination, by way on the size of the so-called c-wave in ERG-recordings, or by the state of the Ca2+-channels or on the [3H]-ryanodine receptors of the retinal pigment epithelium.

IT 53296-10-9, CV 1808
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(screening method for agents for treatment of eye disorders)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

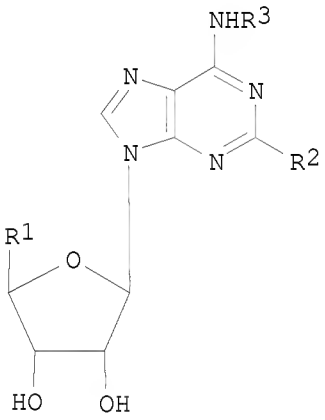
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 63 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1998:441960 CAPLUS
DN 129:109311
OREF 129:22461a,22464a
TI Preparation of nucleoside uronamides as A3 adenosine receptor agonists
IN Jacobson, Kenneth A.; Gallo-Rodriguez, Carola; Van Galen, Philip J. M.; Von Lubitz, Dag K. J. E.; Jeong, Heaok Kim
PA United States Dept. of Health and Human Services, USA
SO U.S., 54 pp., Cont.-in-part of U. S. Ser. No. 163,324, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5773423	A	19980630	US 1994-274628	19940713
	US 5688774	A	19971118	US 1995-396111	19950228
PRAI	US 1993-91109	B2	19930713		
	US 1993-163324	B2	19931206		
	US 1994-274628	A2	19940713		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 129:109311
GI



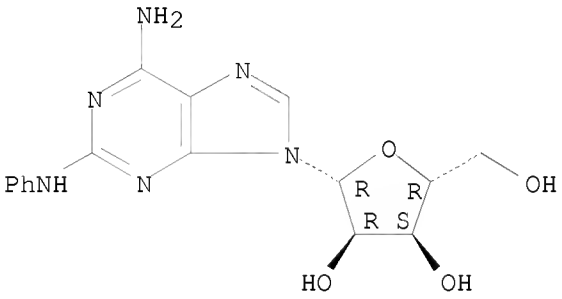
I

AB The present invention provides N6-benzyladenosine-5'-N-uronamide and related substituted compds. I (R1 = amide; R2 = halo, amino, alkenyl, alkynyl, thio, alkylthio; R3 = S-1-phenylethyl, Bn, phenylethyl), particularly those containing substituents on the benzyl and/or uronamide groups, and modified xanthine ribosides, as well as pharmaceutical compns. containing such compds. The present invention also provides a method of selectively activating an A3 adenosine receptor in a mammal, which method comprises acutely or chronically administering to a mammal in need of selective activation of its A3 adenosine receptor a therapeutically effective amount of a compound which binds with the A3 receptor so as to stimulate an A3 receptor-dependent response. Thus,

N6-(3-iodobenzyl)adenosine was prepared tested for its affinity in binding at rat brain A1, A2, A3 adenosine receptors (Ki = 9.5-220.0 nM).

IT 53296-10-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside uronamides as A3 adenosine receptor agonists)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

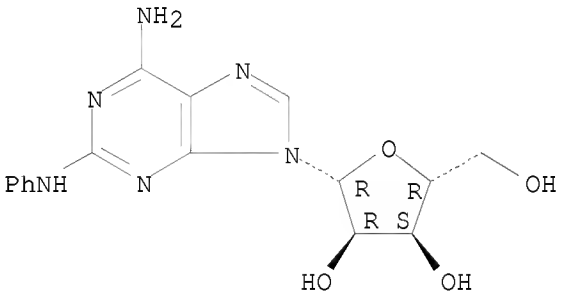
L4 ANSWER 64 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1998:413029 CAPLUS
DN 129:145069
OREF 129:29475a,29478a
TI Pharmacological classification of adenosine receptors in the sinoatrial and atrioventricular nodes of the guinea pig
AU Meester, B. J.; Shankley, N. P.; Welsh, N. J.; Wood, J.; Meijler, F. L.; Black, J. W.
CS Rayne Institute, Analytical Pharmacology, King's College School of Medicine and Dentistry, London, SE5 9NU, UK
SO British Journal of Pharmacology (1998), 124(4), 685-692
CODEN: BJPCBM; ISSN: 0007-1188
PB Stockton Press
DT Journal
LA English
AB The effects of seven agonist and three antagonist adenosine receptor ligands were compared on the guinea pig sinoatrial (SA) node (isolated right atrium) and atrioventricular (AV) node (perfused whole heart). Single agonist concentration-effect curves were obtained to 5'-N-ethylcarboxamidoadenosine, R(-)-N6-(2-phenylisopropyl)adenosine (R-PIA), N6-cyclohexyladenosine, 2-chloroadenosine, S(+)-N6-(2-phenylisopropyl)adenosine (L-PIA), 2-phenylaminoadenosine (CV 1808) and N6-aminoadenosine. Adenosine and/or NECA curves were obtained in the absence and presence of the antagonists 8-cyclopentyl-1,3-dipropylxanthine, CGS 15943 and N-0861. A formal comparison of the agonist and antagonist potency data was made by fitting the data to a straight line using a least squares procedure based on principal components anal. to account for the variance on both axes. The

antagonist affinity ests. made on the two assays did not deviate significantly from the line of identity. The agonist p[A]50 data obtained on the two assays did not deviate from the line of identity, indicating that there were no significant differences in potencies between the two assays. The p[A]50 ratio of R-PIA and S-PIA was 1.24 in the SA node and 1.36 in the AV node, indicating no difference in the stereoselectivity of the PIA isomers between the two tissues. The agonist potency and antagonist affinity data obtained are consistent with previous findings showing that the AV and SA node data are pharmacol. indistinguishable and belong to the adenosine A1-receptor class. No evidence for the reported A3-receptor was found.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(adenosine receptor pharmacol. classification in sinoatrial and atrioventricular nodes of guinea pigs)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

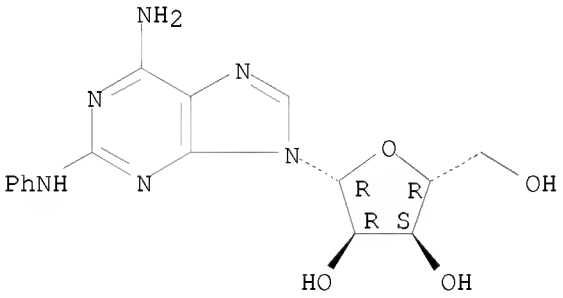
L4 ANSWER 65 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1998:329095 CAPLUS
DN 129:75990
OREF 129:15525a,15528a
TI A functional screening of adenosine analogs at the adenosine A2B receptor: a search for potent agonists
AU De Zwart, Maarten; Link, Regina; Von Frijtag Drabbe Kunzel, Jacobien K.; Cristalli, Gloria; Jacobson, Kenneth A.; Townsend-Nicholson, Andrea; Ijzerman, Ad P.
CS Division of Medicinal Chemistry, Leiden/Amsterdam Center for Drug Research, Leiden University, Leiden, 2300 RA, Neth.
SO Nucleosides & Nucleotides (1998), 17(6), 969-985
CODEN: NUNUD5; ISSN: 0732-8311
PB Marcel Dekker, Inc.
DT Journal
LA English
AB Various adenosine analogs were tested at the adenosine A2B receptor. Agonist potencies were determined by measuring the cAMP production in Chinese Hamster Ovary cells expressing human A2B receptors. 5'-N-Substituted

carboxamidoadenosines were most potent. 5'-N-Ethylcarboxamidoadenosine (NECA) was most active with an EC50 value of 3.1 μM. Other ribose modified derivs. displayed low to negligible activity. Potency was reduced by substitution on the exocyclic amino function (N6) of the purine ring system. The most active N6-substituted derivative N6-methyl-NECA was 5 fold less potent than NECA. C8- and most C2-substituted analogs were virtually inactive. 1-Deaza-analogs had a reduced potency, 3- and 7-deazaanalogues were not active.

IT 53296-10-9
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(functional screening of adenosine analogs at adenosine A2B receptor: search for potent agonists)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 45 THERE ARE 45 CAPLUS RECORDS THAT CITE THIS RECORD (46 CITINGS)
RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

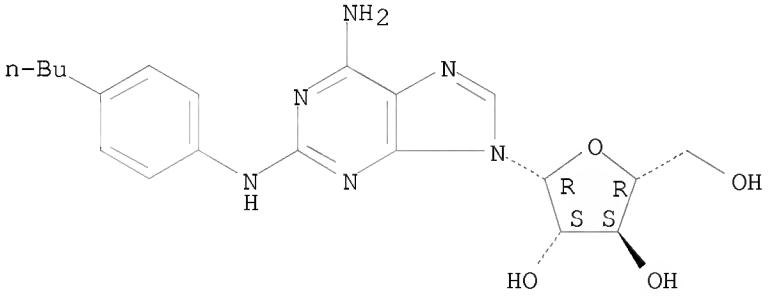
L4 ANSWER 66 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1998:193066 CAPLUS
DN 128:257648
OREF 128:51007a,51010a
TI Synthetic nucleosides and nucleotides. 40. Selective inhibition of eukaryotic DNA polymerase α by 9-(β-D-arabinofuranosyl)-2-(p-n-butylanilino)adenine 5'-triphosphate (BuAaraATP) and its 2'-up azido analog: synthesis and enzymic evaluations
AU Tomikawa, Aki; Sato-Kiyotaki, Kunie; Ohtsuki, Chizuru; Hirai, Toshiaki; Yamaguchi, Toyofumi; Kawaguchi, Takeo; Yoshida, Shonen; Saneyoshi, Mineo
CS Dep. Biol. Sci., Teikyo Univ. Sci. Technol., Yamanashi, 409-01, Japan
SO Nucleosides & Nucleotides (1998), 17(1-3), 487-501
CODEN: NUNUD5; ISSN: 0732-8311
PB Marcel Dekker, Inc.
DT Journal
LA English
OS CASREACT 128:257648
AB Starting from 2',3',5'-tri-O-acetyl-2-iodoadenosine, 9-(β-D-arabinofuranosyl)-2-(p-n-butylanilino)adenine and its 2'(S)-azido counterparts were synthesized in seven steps. These exhibited only moderate growth-inhibitory effects against mouse leukemic P388 cells

(IC50 = 13-24 μM), although 5'-triphosphate derivs. showed strong and selective inhibitory action on calf thymus DNA polymerase α, but not on β- and ε-polymerases from eukaryotes.

IT 169687-98-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and enzymic evaluations of (arabinofuranosyl)(p-butylanilino)adenine triphosphate and its azido analog)

RN 169687-98-3 CAPLUS
CN 9H-Purine-2,6-diamine, 9-β-D-arabinofuranosyl-N2-(4-butylphenyl)- (CA INDEX NAME)

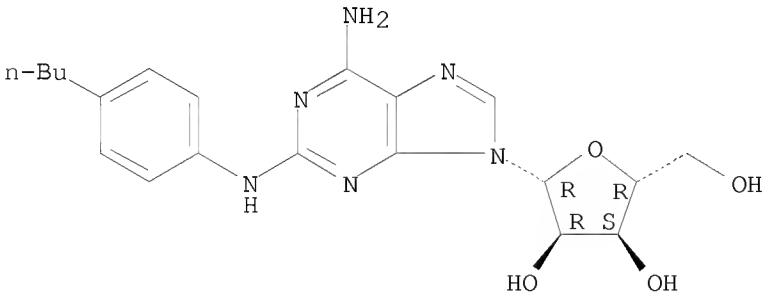
Absolute stereochemistry.



IT 169687-92-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and enzymic evaluations of (arabinofuranosyl)(p-butylanilino)adenine triphosphate and its azido analog)

RN 169687-92-7 CAPLUS
CN Adenosine, 2-[(4-butylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

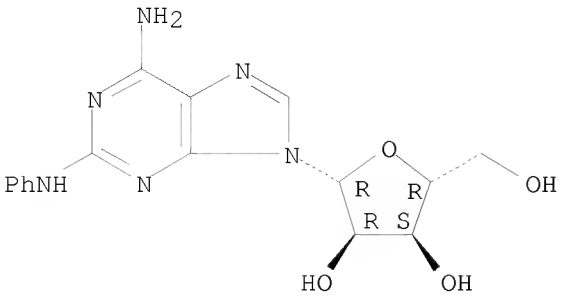
L4 ANSWER 67 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1997:576691 CAPLUS
DN 127:243272
OREF 127:47336a
TI Method and composition using purines and other compounds for inhibiting cellular irreversible changes due to stress
IN Miller, Guy; Lou, Lillian; Nakamura, John
PA Galileo Laboratories, Inc., USA
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9730713	A1	19970828	WO 1997-US2945	19970220
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5801159	A	19980901	US 1996-607022	19960223
	CA 2247461	A1	19970828	CA 1997-2247461	19970220
	AU 9719749	A	19970910	AU 1997-19749	19970220
	EP 935466	A1	19990818	EP 1997-907855	19970220
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2000506834	T	20000606	JP 1997-530408	19970220
	NO 9803823	A	19981001	NO 1998-3823	19980820
PRAI	US 1996-607022	A	19960223		
	WO 1997-US2945	W	19970220		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 127:243272
AB Formulations of naturally occurring physiol. acceptable compds. and their derivs. are provided for treatment of cellular stress, particularly hypoxia. By administering the formulations, comprising for the most part purines, sugars, amino acids and physiol. acceptable derivs. thereof, by themselves or in combination with each other and with other compds., particularly electron acceptor compds., the time to irreversible cellular changes, particularly mortality, can be greatly extended.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(purines and other compds. for inhibition of cellular irreversible changes due to stress)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

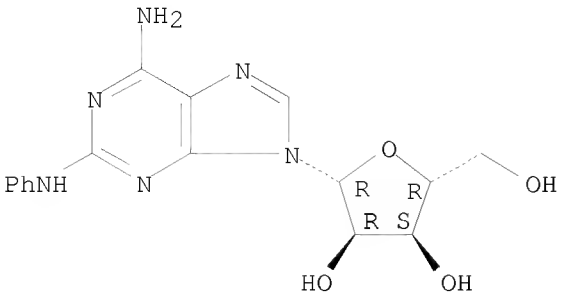
Absolute stereochemistry.



OSC.G 11 THERE ARE 11 CAPLUS RECORDS THAT CITE THIS RECORD (11 CITINGS)
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 68 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1997:534191 CAPLUS
DN 127:200473
OREF 127:38779a,38782a
TI Adenosine A3 receptors promote degranulation of rat mast cells both in vitro and in vivo
AU Reeves, J. J.; Jones, C. A.; Sheehan, M. J.; Vardey, C. J.; Whelan, C. J.
CS Medicines Research Center, Glaxo Wellcome Research Development Ltd., Stevenage, SG1 2NY, UK
SO Inflammation Research (1997), 46(5), 180-184
CODEN: INREFB; ISSN: 1023-3830
PB Birkhaeuser
DT Journal
LA English
AB The effects were investigated of adenosine receptor agonists and antagonists on 5-HT release from rat isolated pleural mast cells and on plasma protein extravasation in the skin of conscious rats. In isolated mast cells, each adenosine agonist enhanced DNP-induced 5-HT release, N6-(3-iodobenzyl)-5-(N-methyl-carboxamidoadenosine) (IB-MECA), being the most potent agonist. The adenosine A1/A2 antagonist, 8-phenyltheophylline (8-PT), had no effect on the response to IB-MECA. 3-(4-Amino-iodobenzyl)-8-[4-[[[carboxy]methyl]oxy]phenyl]-1-propylxanthine (I-ABOPX) inhibited (pA2 6.2) the IB-MECA responses. In the skin of conscious rats, intradermal IB-MECA produced a marked blood plasma protein extravasation (PPE) which was mimicked by N6-2-(4-aminophenyl)-ethyladenosine (APNEA). The PPE produced by IB-MECA was not affected by either 8-PT or CGS15943A, but was virtually abolished by cyproheptadine and in rats pre-treated with Compound 48/80. Thus, stimulation of adenosine A3 receptors both enhances degranulation in vitro and directly produces degranulation of rat mast cells in vivo.
IT 53296-10-9, CV-1808
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(effect on antigen-induced release of 5-HT from mast cells)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

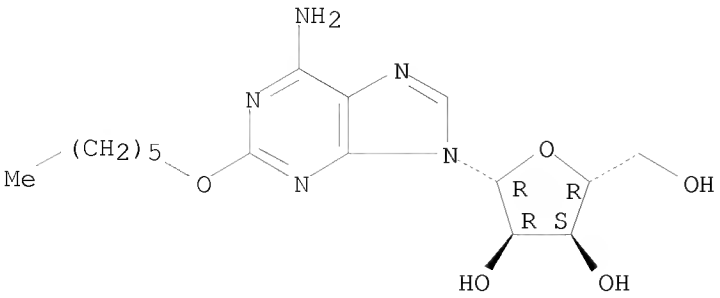
Absolute stereochemistry.



OSC.G 51 THERE ARE 51 CAPLUS RECORDS THAT CITE THIS RECORD (51 CITINGS)

L4 ANSWER 69 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1997:478039 CAPLUS
DN 127:171088
OREF 127:32965a,32968a
TI QSAR analysis of 2-alkyloxy and 2-aralkyloxy adenosine A1- and A2-agonists
AU Matova, M.; Nacheva, R.; Boicheva, S.
CS Department of Chemistry and Biochemistry, Faculty of Medicine, Medical University, Sofia, 1431, Bulg.
SO European Journal of Medicinal Chemistry (1997), 32(6), 505-513
CODEN: EJMCA5; ISSN: 0223-5234
PB Elsevier
DT Journal
LA English
AB A quant. structure-activity relationship (QSAR) anal. of a series 2-alkyloxy-, 2-aryloxy- and 2-aralkyloxy-adenosines has been performed. Various theor. 3-D electronic and topol. descriptors encoding their mol. structure were estimated and the structure-activity correlations were evaluated. A cluster anal. of the affinity consts. of the compds. was carried out, and according to the obtained results the QSAR anal. was developed at two levels. The results of this investigation allowed a distinction to be made between A1- and A2-receptor selectivity of the compds. due to structural reasons. It was shown that small and less lipophilic substituents may enhance the A1-receptor selectivity of the compds. Hydrophobic and bulky cycloalkyl substituents greatly enhance A2-receptor selectivity. The more lipophilic and rigid aromatic substituents increase the affinity, but decrease selectivity at both receptors. Adenosine agonist activity is also determined by the electron-donating properties of the purine ring and of certain atoms in this aromatic system: the N6 atom in A1-selective ligands and the N1, N7, C2, C5, C6, C8 atoms in A2-selective ligands appear to constitute part of the pharmacophore of the mols.
IT 50257-95-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(QSAR anal. of 2-alkyloxy and 2-aralkyloxy adenosine A1- and A2-agonists)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

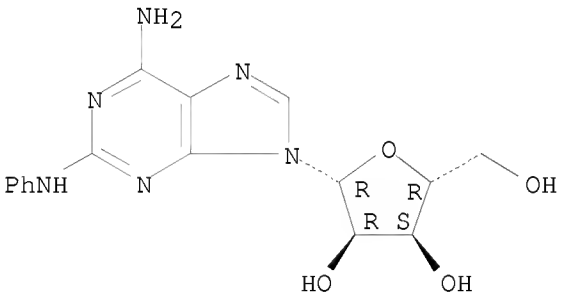
L4 ANSWER 70 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1997:366482 CAPLUS
DN 127:76385
OREF 127:14461a,14464a
TI Characterization of human A2A adenosine receptors with the antagonist radioligand [3H]-SCH 58261
AU Dionisotti, Silvio; Ongini, Ennio; Zocchi, Cristina; Kull, Bjorn; Arslan, Giulia; Fredholm, Bertil B.
CS Schering-Plough Research Institute, Milan, I-20132, Italy
SO British Journal of Pharmacology (1997), 121(3), 353-360
CODEN: BJPCBM; ISSN: 0007-1188
PB Stockton
DT Journal
LA English
AB The authors have characterized the binding of the new potent and selective antagonist radioligand [3H]-5-amino-7-(2-phenylethyl)-2-(2-furyl)-pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidine, [3H]-SCH 58261, to human cloned A2A adenosine receptors. In Chinese hamster ovary (CHO) cells transfected with the human cloned A2A receptor, [3H]-SCH 58261 specific binding (about 70%) was rapid, saturable, reversible and proportional to protein concentration The kinetic KD value was 0.75 nM. Saturation expts. showed that [3H]-SCH 58261 labeled a single class of recognition sites with high affinity (KD = 2.3 nM) and limited capacity (apparent Bmax = 526 fmol mg-1 protein). Competition expts. revealed that binding of 0.5 nM [3H]-SCH 58261 was displaced by adenosine receptor agonists with the following order of potency: 2-hexynyl-5'-N-ethylcarboxamidoadenosine (2HE-NECA) > 5'-N-ethylcarboxamidoadenosine (NECA) = 2-phenylaminoadenosine (CV 1808) > 2-[4-(2-carboxyethyl)-phenethylamino]-5'-N-ethylcarboxamidoadenosine (CGS 21680) > R-N6-phenylisopropyladenosine (R-PIA) ≥ N6-cyclohexyladenosine (CHA) >S-N6-phenylisopropyladenosine (S-PIA). Adenosine receptor antagonists inhibited [3H]-SCH 58261 binding with the following order: 5-amino-9-chloro-2-(2-furyl)-[1,2,4]-triazolo[1,5-c] quinazoline (CGS 15943) > SCH 58261 > xanthine amine congener (XAC) > (E,18%-Z,82%)7-methyl-8-(3,4-dimethoxystyryl)-1,3-dipropylxanthine (KF 17837S) > 8-cyclopentyl-1,3-dipropylxanthine (DPCPX) > theophylline. Affinity values and rank order of potency of both receptor agonists and antagonists were similar to those previously obtained in human platelet and rat striatal membranes, except for CV 1808 which was more potent than CGS 21680. SCH 58261 was a competitive antagonist at inhibiting

NECA-induced cAMP accumulation in CHO cells transfected with human A2A receptors. Good agreement was found between binding and functional data. Thus, the new antagonist radioligand is preferable to the receptor agonist radioligand [3H]-CGS 21680 hitherto used to examine the pharmacol. of human cloned A2A adenosine receptors.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(characterization of human A2A adenosine receptors with antagonist radioligand [3H]-SCH 58261)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 36 THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (36 CITINGS)
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

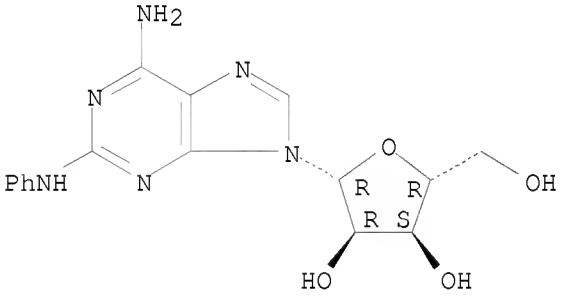
L4 ANSWER 71 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1997:293390 CAPLUS
DN 127:1181
OREF 127:287a,290a
TI Binding of [125I]AB-MECA to the human cloned adenosine A3 receptor using the Semliki Forest virus expression system
AU Patel, M.; Harris, C.; Lundstrom, K.
CS Department of Receptor Pharmacology, Glaxo-Wellcome Medicines Research Centre, Herts, UK
SO Drug Development Research (1997), 40(1), 35-40
CODEN: DDREDK; ISSN: 0272-4391
PB Wiley-Liss
DT Journal
LA English
AB The cDNA for the human adenosine A3 receptor was introduced into the pSFV1 vector, and the in vitro transcribed RNA was electroporated into baby hamster kidney (BHK) cells with pSFV-Helper RNA. This protocol resulted in packaging of a high titer Semliki Forest Virus (SFV)-A3 virus stock. Infection of confluent Chinese hamster ovary (CHO) cells with the SFV-A3 virus stock resulted in an expression of human adenosine A3 receptors that was twofold more than that obtained with usual transfection methods (as determined by radioligand binding studies). The binding of [125I]N6-(4-amino-3-iodobenzyl)adenosine-5'-N-methyl-uronamide ([125I]AB-MECA) was specific and saturable (pKd = 8.8; Bmax = 0.5 pmol

mg-1 protein). Adenosine receptor ligands were evaluated for their binding affinities at the human cloned adenosine A3 receptor. The rank order of affinities of the ligands were: CGS 15943 > IB-MECA > APNEA > ligands with selectivity for adenosine A1, A2A, and A2B receptors. However, the A1 selective ligand, GR79236, had little or no affinity for the human adenosine A3 receptor. In conclusion, the SFV expression system can be used to express the human cloned adenosine A3 receptor at high levels in CHO cells. This study has examined the binding affinities at the human cloned adenosine A3 receptor, of an extensive range of ligands for the adenosine family of receptors. Furthermore, CGS 15943 has been identified as a ligand with high affinity at the human cloned adenosine A3 receptor.

IT 53296-10-9, CV 1808
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(binding of [125I]AB-MECA to human cloned adenosine A3 receptor using Semliki Forest virus expression system)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



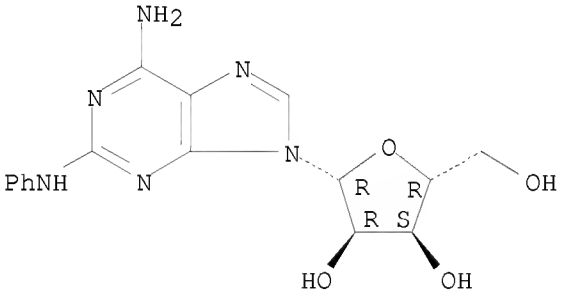
OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 72 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1997:107356 CAPLUS
DN 126:113152
OREF 126:21729a,21732a
TI A method for measuring the adenosine A2a receptor binding activity of compounds of pharmacological interest by the use of the tritiated ligand [3H]-SCH 58261
IN Zocchi, Cristina; Baraldi, Pier Giovanni; Cacciari, Barbara; Dionisotti, Silvio; Ongini, Ennio
PA Schering-Plough S.P.A., Italy; Zocchi, Cristina; Baraldi, Pier Giovanni; Cacciari, Barbara; Dionisotti, Silvio; Ongini, Ennio
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI WO 9638728 A1 19961205 WO 1996-EP2348 19960601
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA
AU 9661238 A 19961218 AU 1996-61238 19960601
PRAI IT 1995-MI1155 A 19950602
WO 1996-EP2348 W 19960601
AB The invention relates to a method for evaluating the adenosine A2a receptor binding affinity of compds. of pharmacol. interest. Moreover, the invention relates to reagents and a kit particularly suitable for the above mentioned purpose. Tritiation of SCH 58261 is described, as are results of binding competition expts.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(tritiated SCH 58261 preparation for adenosine A2a receptor binding activity determination for compds. of pharmacol. interest)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

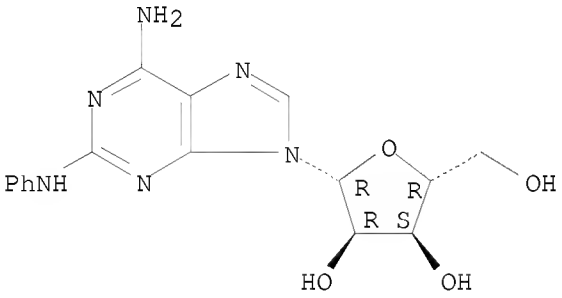
L4 ANSWER 73 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1996:760265 CAPLUS
DN 126:54832
OREF 126:10679a,10682a
TI Comparison of nucleoside transport binding sites in rabbit iris-ciliary body and cultured rabbit nonpigmented ciliary epithelial cells
AU Williams, Evan F.; Chu, Teh-Ching; Socci, Robin R.; Brown, Lester G.; Walker, Cassandra E.; Manor, Errol L.
CS Dept. of Pharmacology and Toxicology, Morehouse School of Medicine, Atlanta, GA, USA
SO Journal of Ocular Pharmacology and Therapeutics (1996), 12(4), 461-469
CODEN: JOPTFU; ISSN: 1080-7683
PB Liebert
DT Journal
LA English
AB The iris-ciliary body (ICB) is a site of action for topically applied antiglaucoma drugs. Moreover, adenosine has been implicated as a

modulator of aqueous humor dynamics. The present study compared the binding of a nucleoside transporter probe, [3H]nitrobenzylthioinosine ([3H]NBMPR), by homogenates prepared from rabbit ICB and a cultured rabbit nonpigmented ciliary epithelial cell line (NPE) to determine whether NPE can be used as an exptl. model to study the nucleoside transporter. Linear transformation of the saturation binding data revealed that [3H]NBMPR bound to a homogeneous population of binding sites with similar binding affinities in NPE and ICB (Kd 0.3 and 0.6 nM, resp.). However, the maximal binding capacity in NPC (Bmax 288 fmol/mg protein) was significantly higher than that in ICB (Bmax 154 fmol/mg protein). Selected inhibitors of the nucleoside transport system and structural analogs of adenosine inhibited the binding in both homogenate prepns. with a similar rank order of potency: S-(p-nitrobenzyl)-6-thioinosine > dipyridamole > 2-phenylaminoadenosine > N6-cyclohexyladenosine > R- > S-(+)-N6-(2-phenylisopropyl)adenosine > 2-chloroadenosine > 5'-(N-ethylcarboxamido)adenosine. The results suggest that NPE is a model which could be used for characterizing the nucleoside transporter in ICB and for the screening of nucleoside transport inhibitors as potential antiglaucoma drugs.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(nucleoside transport binding sites in iris-ciliary body and nonpigmented ciliary epithelial cells characterized by use of)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 74 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1996:306232 CAPLUS
DN 125:1181
OREF 125:267a,270a
TI Interaction of full and partial agonists of the A1 adenosine receptor with receptor/G protein complexes in rat brain membranes
AU Lorenzen, Anna; Guerra, Laura; Vogt, Heidrun; Schwabe, Ulrich
CS Ist. Farmacologia, Univ. Ferrara, Ferrara, I-44100, Italy
SO Molecular Pharmacology (1996), 49(5), 915-926
CODEN: MOPMA3; ISSN: 0026-895X
PB Williams & Wilkins
DT Journal
LA English
AB Full and partial agonists of the A1 adenosine receptor were characterized

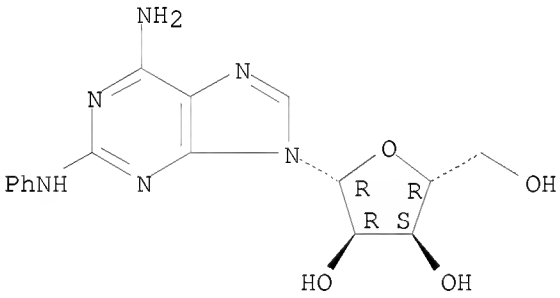
with respect to their influence on G protein activation and their thermodn. parameters of receptor binding in rat brain membranes. G protein activation was determined through measurement of [35S]guanosine-5'-(γ-thio)-triphosphate ([35S]GTP[S]) binding, and receptor binding was studied under identical conditions through the displacement of [3H]-1,3-dipropyl-8-cyclopentylxanthine ([3H]DPCPX) in equilibrium binding studies. The intrinsic activity in stimulating [35S]GTP[S] binding did not correlate with the affinity of the ligands. 5'-Deoxy-5'-methylthioadenosine, 2-phenylaminoadenosine, and 2-chloro-2'-deoxyadenosine were identified as partial A1 agonists in the G protein activation assay. Depending on the temperature, these ligands showed agonistic and antagonistic properties to varying extents. EC50 values for G protein stimulation and KH and KL values of the partial agonists decreased when the incubations were performed at lower temps., indicating a mainly enthalpy-driven process of interaction with the receptor. Thermodn. parameters of receptor binding of the partial agonists resembled the characteristics of the antagonist DPCPX more closely than those of the agonist 2-chloro-N6-cyclopentyladenosine. In addition, partial agonists detected fewer A1 adenosine receptors in the high affinity state binding of [35S]GTP[S] is probably the consequence of an impaired ability of the partial agonists to release GDP from the G protein, as was shown by an impaired release of prebound [35S]GDP[S] from the membranes.

IT 53296-10-9, CV 1808
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(interaction of full and partial agonists of A1 adenosine receptor with receptor/G protein complexes in rat brain membranes)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 64 THERE ARE 64 CAPLUS RECORDS THAT CITE THIS RECORD (64 CITINGS)

L4 ANSWER 75 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1996:269910 CAPLUS

DN 124:333784

OREF 124:61713a,61716a

TI Pharmacological and biochemical characterization of purified A2a adenosine receptors in human platelet membranes by [3H]-CGS 21680 binding

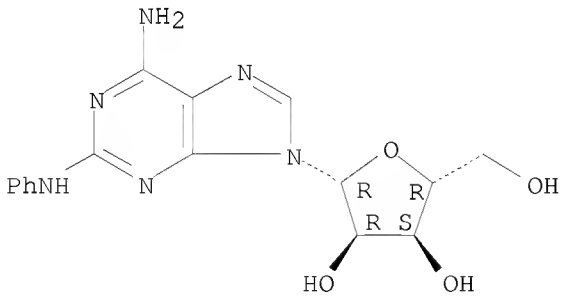
AU Varani, Katia; Gessi, Stefania; Dalpiaz, Alessandro; Borea, Pier Andrea

CS Institute of Pharmacology, University of Ferrara, Ferrara, 44100, Italy

SO British Journal of Pharmacology (1996), 117(8), 1693-701
CODEN: BJPCBM; ISSN: 0007-1188

PB Stockton
DT Journal
LA English
AB The binding properties of human platelet A2a adenosine receptors, assayed with the A2a-selective agonist, [3H]-2-[p-(2-carboxyethyl)-phenethylamino]-5'-N-ethylcarboxamidoadenosine ([3H]-CGS 21680), are masked by a non-receptorial component, the adenotin site. To sep. A2a receptors from adenotin sites, human platelet membranes were solubilized with 1% 3-[(3-cholamidopropyl)dimethylammonio]-1-propane sulfonate (CHAPS). The soluble platelet extract was precipitated with polyethylene glycol (PEG) and the fraction enriched in adenosine receptors was isolated from the precipitate by differential centrifugation. The present paper describes the binding characteristics of the selective A2a agonist, [3H]-CGS 21680, to this purified platelet membrane preparation In addition, receptor affinity and potency of several adenosine agonists and antagonists were determined in binding and adenylyl cyclase studies. Saturation expts. revealed a single class of binding site with Kd and Bmax values of 285 nM and 2.07 pmol/mg of protein resp. Adenosine receptor ligands competed for the binding of 50 nM [3H]-CGS 21680 to purified protein, showing a rank order of potency consistent with that typically found for interactions with the A2a adenosine receptors. In the adenylyl cyclase assay the compds. examined exhibited a rank order of potency very close to that observed in binding expts. Thermodn. data indicated that [3H]-CGS 21680 binding to the purified receptor is totally entropy-driven in agreement with results obtained in rat striatal A2a adenosine receptors. It is concluded that in the purified platelet membranes there is a CGS 21680 binding site showing the characteristic properties of the A2a receptor. This makes it possible to use this compound for reliable radioligand binding studies on the A2a adenosine receptor of human platelets.
IT 53296-10-9, CV 1808
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(adenosine A2a receptors of human platelet membranes solubilization and characterization)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

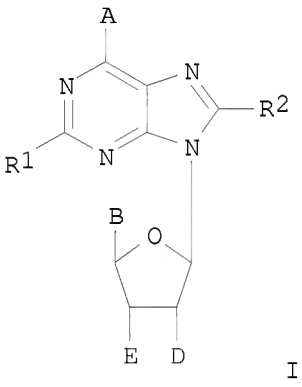


OSC.G 47 THERE ARE 47 CAPLUS RECORDS THAT CITE THIS RECORD (47 CITINGS)

L4 ANSWER 76 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1996:239903 CAPLUS
DN 124:279179
OREF 124:51395a,51398a
TI Ribosylpurine derivatives for treatment of cerebrovascular disorders by vascular permeability enhancer inhibition
IN Nagaoka, Akinobu; Imamoto, Tetsuji; Asano, Tsuneo; Sugiura, Yoshihiro; Goto, Giichi
PA Takeda Chemical Industries, Ltd., Japan
SO Can. Pat. Appl., 52 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI CA 2150780	A1	19951203	CA 1995-2150780	19950601
EP 704215	A2	19960403	EP 1995-108322	19950530
EP 704215	A3	19980401		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08048631	A	19960220	JP 1995-134618	19950601
US 5604210	A	19970218	US 1995-456723	19950601
PRAI JP 1994-120947	A	19940602		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 124:279179
GI



I

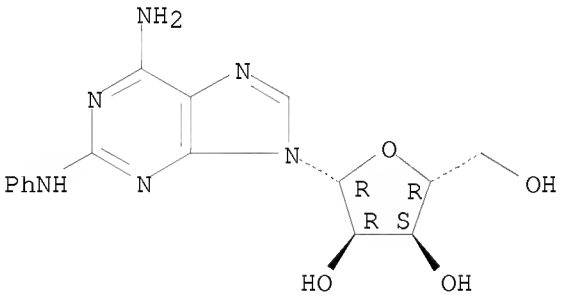
AB A composition is disclosed for preventing or treating brain edema, intracranial hemorrhage, and cerebral infarction by inhibiting a vascular permeability enhancer. The composition comprises I [A = halo, XR3 (X = O, S, NH, NHNH; R3 = H, acyl, (substituted) hydrocarbyl, (substituted) aromatic heterocyclyl), Y:R4 (Y = N:, NHN:; R4 = (substituted) divalent hydrocarbyl); R1 = H, halo, (substituted) hydrocarbyl, (substituted) heterocyclyl, ZR5 (Z = O, S, NH; R5 = H, (substituted) hydrocarbyl, (substituted) aromatic heterocyclyl); R2 = H, halo, (substituted) hydrocarbyl, (substituted) heterocyclyl; B = WR6 (W = CH2, C:O, C:S; R6 = OH, (substituted) alkoxy, acyloxy, alkylsulfinyl, alkylsulfonyl, O-phosphono, amino, or B together with E form cyclic phosphoric ester); D, E = H, (substituted) amino, azido, halo, (protected) OH] or a pharmaceutically acceptable salt

thereof. Inhibitory activity of 42 compds. to a vascular permeability enhancer was determined 2',3'-O-(1-ethoxyethylidene)adenosine-5'-(N-ethylcarboxyamide) was shown to have efficacy in preventing stroke in an animal model. Tablet and injection formulations of 6-[2-(9H-purin-6-yl)hydrazino]nebularine are included.

IT 53296-10-9 70590-23-7 70590-29-3
71231-81-7 74615-32-0 74615-39-7
75106-29-5 75106-32-0 75106-33-1
102711-94-4 102711-99-9 102712-00-5
169687-92-7 175552-71-3 175552-74-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(ribosylpurine derivs. for treatment of cerebrovascular disorders by vascular permeability enhancer inhibition)

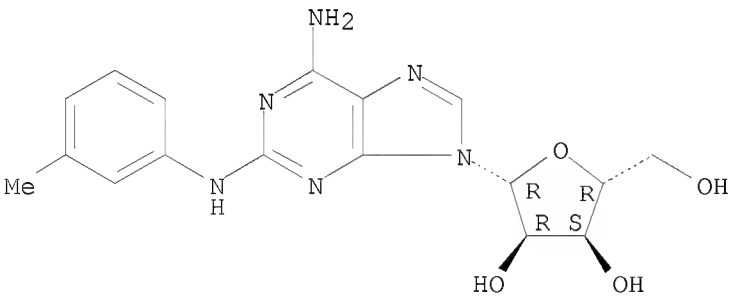
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



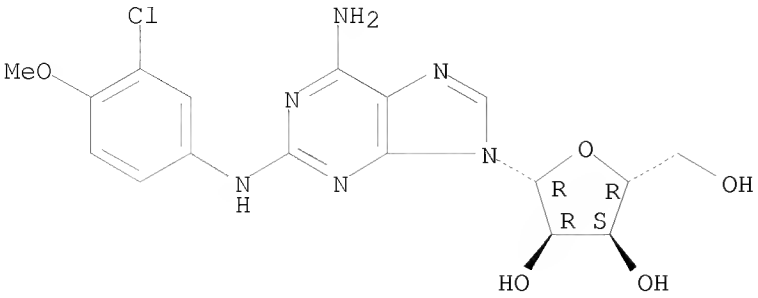
RN 70590-23-7 CAPLUS
CN Adenosine, 2-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



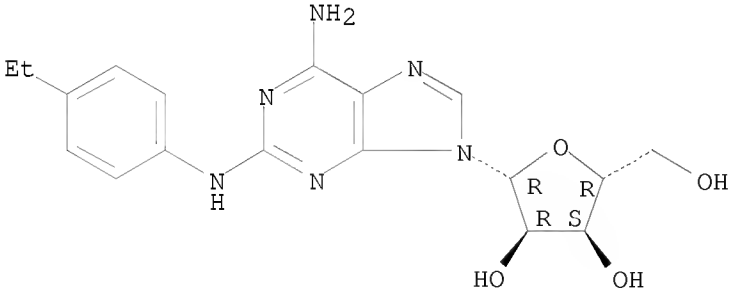
RN 70590-29-3 CAPLUS
CN Adenosine, 2-[(3-chloro-4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



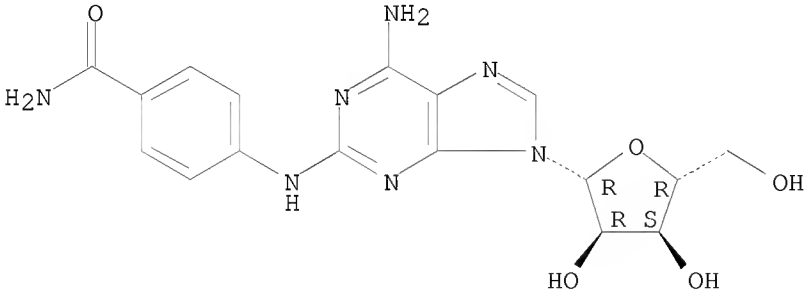
RN 71231-81-7 CAPLUS
CN Adenosine, 2-[(4-ethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



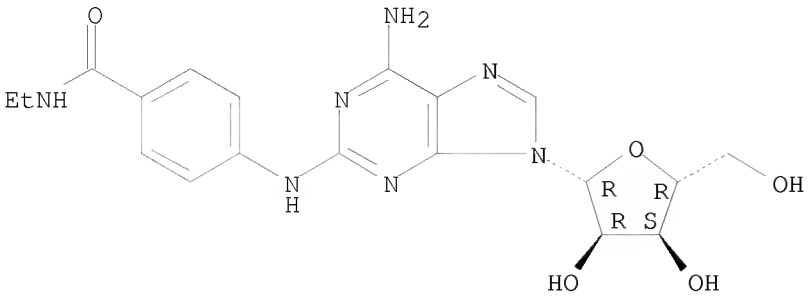
RN 74615-32-0 CAPLUS
CN Adenosine, 2-[[4-(aminocarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



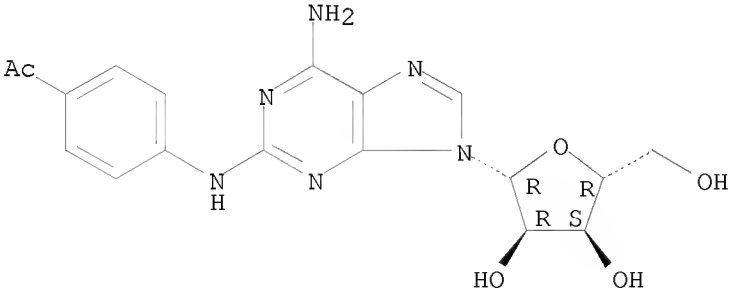
RN 74615-39-7 CAPLUS
CN Adenosine, 2-[[4-[(ethylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



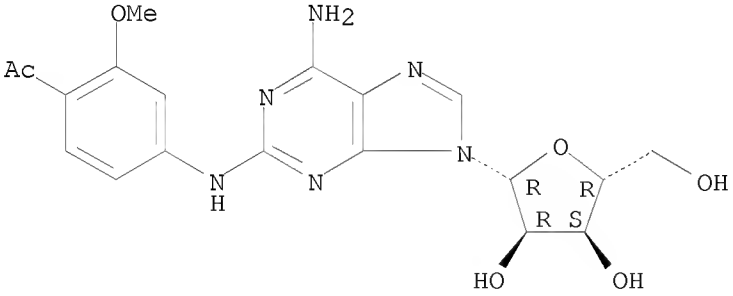
RN 75106-29-5 CAPLUS
CN Adenosine, 2-[(4-acetylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



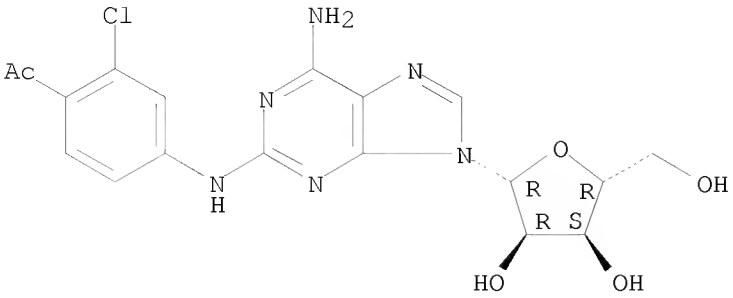
RN 75106-32-0 CAPLUS
CN Adenosine, 2-[(4-acetyl-3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



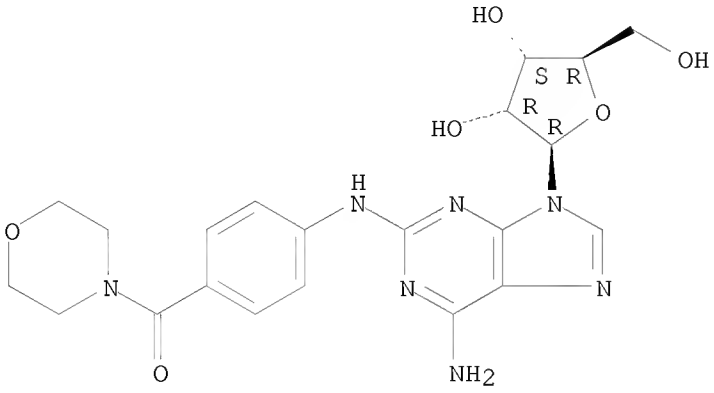
RN 75106-33-1 CAPLUS
CN Adenosine, 2-[(4-acetyl-3-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



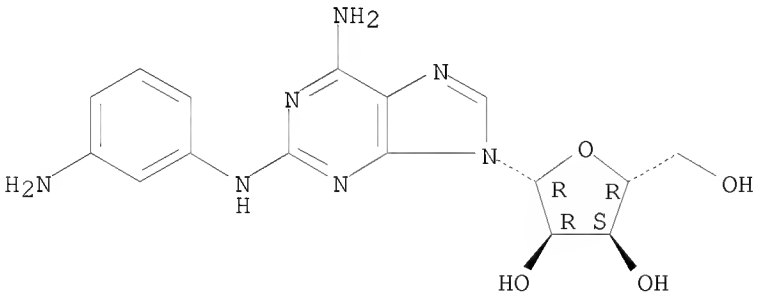
RN 102711-94-4 CAPLUS
CN Adenosine, 2-[[4-(4-morpholinylcarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



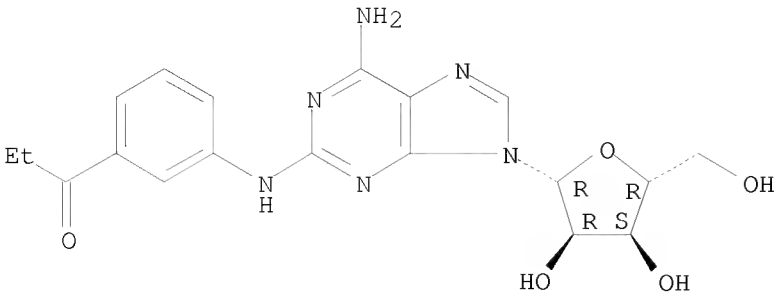
RN 102711-99-9 CAPLUS
CN Adenosine, 2-[(3-aminophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



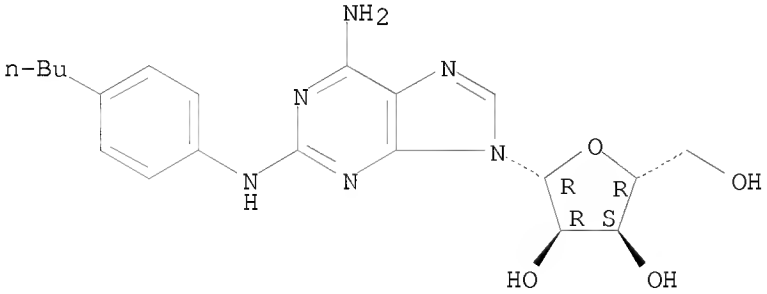
RN 102712-00-5 CAPLUS
CN Adenosine, 2-[[3-(1-oxopropyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



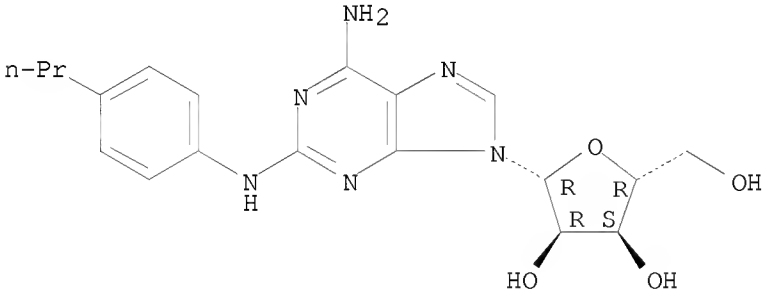
RN 169687-92-7 CAPLUS
CN Adenosine, 2-[(4-butylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



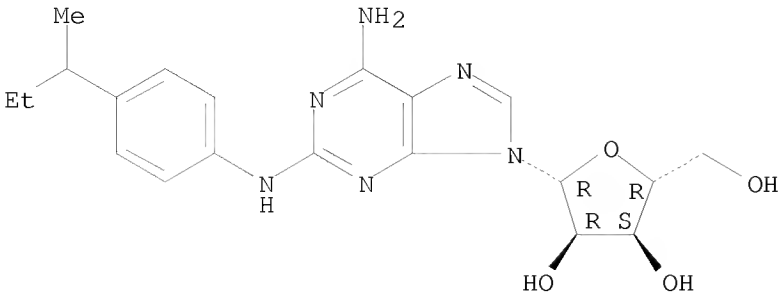
RN 175552-71-3 CAPLUS
CN Adenosine, 2-[(4-propylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175552-74-6 CAPLUS
CN Adenosine, 2-[[4-(1-methylpropyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

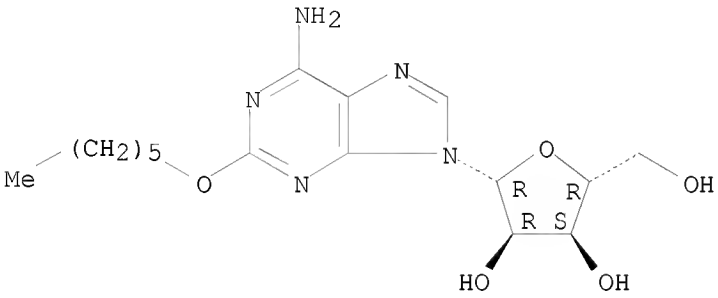


OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 77 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1996:187715 CAPLUS
DN 124:279743
OREF 124:51535a,51538a
TI Functional characterization of adenosine A2 receptors in Jurkat cells and PC12 cells using adenosine receptor agonists
AU van der Ploeg, Ingeborg; Ahlberg, Susanne; Parkinson, Fiona E.; Olsson, Ray A.; Fredholm, Bertil B.
CS Department Physiology Pharmacology, Karolinska Institute, Stockholm, S-171 77, Swed.
SO Naunyn-Schmiedeberg's Archives of Pharmacology (1996), 353(3), 250-60
CODEN: NSAPCC; ISSN: 0028-1298
PB Springer
DT Journal
LA English
AB The effect of several adenosine analogs on cAMP accumulation was examined in the rat pheochromocytoma cell PC12 and in the human T-cell leukemia cell Jurkat, selected as prototypes of cells predominantly expressing adenosine A2A or A2B receptors. Using the reverse transcription-polymerase chain reaction it was, however, demonstrated that the Jurkat cell and the PC12 cell express both A2A and A2B receptor mRNA, albeit in different relative proportions. In PC12 cells, the concentration required for half-maximal response (EC50) for the full agonist NECA was 30 times lower than in Jurkat cells. There was no significant difference in the pA2 for the antagonist CGS 15943 between the two cell types. In the presence of forskolin (1 μM in PC12 cells; 10 μM in Jurkat cells) the EC50 value for NECA was reduced two-to sixfold. Forskolin also increased the maximal cAMP accumulation twofold in PC12 cells and sevenfold in Jurkat cells. A series of 2-substituted adenosine analogs CV 1808, CV 1674, CGS 21680, and four 2-substituted isoguanosines, SHA 40, SHA 91, SHA 118, and SHA 125, all raised cAMP accumulation in PC12 cells, but had minimal or no effect in Jurkat cells. In the PC12 cells the addition of forskolin (1 μM) reduced the EC50 by a factor of 2 (CV 1808) to 12 (SHA 125). In Jurkat cells all the analogs gave a significant, but submaximal, cAMP response in the presence of forskolin (10 μM), but they were essentially inactive in its absence. The results show that a series of 2-substituted adenosine analogs can be used to discriminate between A2A and A2B receptors. The two receptor subtypes appear to coexist, even in clonal cells selected for typical pharmacol. A2 receptor pharmacol. can therefore be complex.
IT 50257-95-9, 2-Hexyloxyadenosine 53296-10-9, CV 1808
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

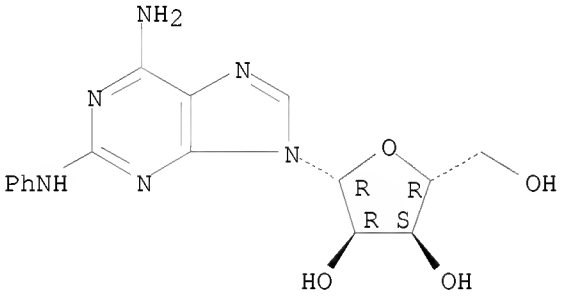
study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(adenosine A2 receptor subtype functional characterization in Jurkat cells and PC12 cells using adenosine receptor agonists)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 44 THERE ARE 44 CAPLUS RECORDS THAT CITE THIS RECORD (44 CITINGS)

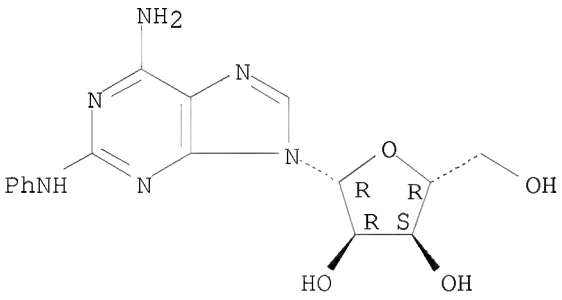
L4 ANSWER 78 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1996:162066 CAPLUS
DN 124:221345
OREF 124:40737a,40740a
TI Pharmacological probes for A1 and A2 adenosine receptors in vivo in feline pulmonary vascular bed
AU Neely, Constance Fisher; Matot, Idit
CS Sch. Med., Univ. Pennsylvania, Philadelphia, PA, 19104, USA
SO American Journal of Physiology (1996), 270(2, Pt. 2), H610-H619
CODEN: AJPHAP; ISSN: 0002-9513
PB American Physiological Society
DT Journal
LA English
AB Under conditions of controlled pulmonary blood flow and constant left atrial pressure, adenosine produces dose-dependent, tone-dependent responses in the pulmonary vascular (PV) bed of intact-chest, spontaneously breathing

cats. The potency profile for adenosine receptor agonists to produce vasoconstriction at low baseline PV tone is 5'-(N-ethylcarboxamido)adenosine ≥ CGS-21680 ≥ 2-chloroadenosine (2-CADO) ≥ [R]-N6-(2-phenylisopropyl)adenosine (R-PIA) ≥ N6-cyclopentyladenosine > adenosine >> CV-1808. After an increase in PV tone with the use of an intralobar infusion of the thromboxane mimic U-46619, the potency profile for adenosine receptor agonists to produce vasodilation at elevated PV tone is 2-CADO ≥ CV-1808 ≥ CGS-21680 > F-PIA ≥ adenosine. The selective A1 adenosine receptor antagonists xanthine amine congener (XAC) and 8-cyclopentyl-1,3-dipropylxanthine (DP-CPX) significantly antagonize the vasoconstrictor responses of adenosine and R-PIA at low baseline PV tone while having less effect on the vasodilator responses of adenosine, 2-CADO, and R-PIA at elevated PV tone. DPCX antagonizes the vasoconstrictor responses of CGS-21680 at low baseline PV tone. The nonselective A1 and A2 adenosine receptor antagonist BWA-1433U significantly antagonizes the vasoconstrictor responses of R-PIA and vasodilator responses of adenosine, 2-CADO, and R-PIA. These data support that adenosine produces vasoconstriction at low baseline PV tone and vasodilation at elevated PV tone in the feline PV bed by acting on A1 and A2 adenosine receptors, resp. Compared with the adenosine receptor agonists tested in this in vivo model, R-PIA and CV-1808 are the most selective adenosine receptor agonists for A1 and A2 adenosine receptors, resp., in the feline PV bed. R-PIA, CV-1808, DPCPX, and XAC may be used in this in vivo model to define the roles of A1 and A2 adenosine receptors in acute lung injury and pathophysiol. changes in the pulmonary vasculature associated with pulmonary hypertension and edema formation in the same animal model.

IT 53296-10-9, CV-1808
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(pharmacol. probes for A1 and A2 adenosine receptors in vivo in feline pulmonary vascular bed)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

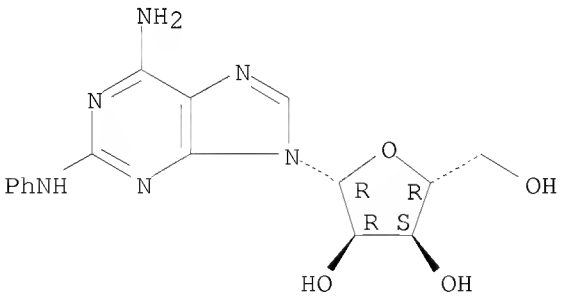


OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L4 ANSWER 79 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1995:706870 CAPLUS
DN 123:102310
OREF 123:17911a,17914a

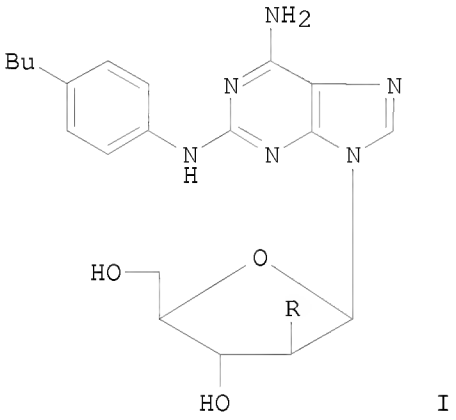
TI Therapeutic aspects of adenosine in relation to its anti-TNF properties.
AU Giroud, Jean-Paul; Lian Chen, Yan; Le Vraux, Valerie; Chauvelot-Moachon, Laurence
CS Departement de Pharmacologie, Hopital Cochin, Paris, 75679/14, Fr.
SO Bulletin de l'Academie Nationale de Medecine (Paris) (1995), 179(1), 79-101
CODEN: BANMAC; ISSN: 0001-4079
PB Academie Nationale de Medecine
DT Journal
LA French
AB Expts. tested the hypothesis that the antiinflammatory properties of adenosine occur via a down-regulation of tumor necrosis factor (TNF). Adenosine receptor agonists (ARA) and agents potentiating endogenous adenosine (APA) were evaluated for their effects on TNF production by endotoxin-stimulated human monocytes. Addnl., one of the most potent agonists, (R)-phenylisopropyladenosine (R-PIA), was tested in 2 exptl. models of acute-phase response: endotoxin shock and carrageenan-induced plantar edema. Several ARA and APA inhibited monocyte TNF production in a concentration-dependent manner. R-PIA and other ARA were active at micromolar concns. This property is pharmacol. relevant, since rats receiving a LD of endotoxin were protected by R-PIA, and the endotoxin-induced increase in serum TNF levels was abolished by pretreatment with R-PIA. Inhibitory effects on serum TNF production were obtained with similar concns. of dexamethasone and 100-fold higher concns. of pentoxifylline. R-PIA was also active on carrageenan-induced edema. The antiedema properties of R-PIA were associated with a marked reduction of locally produced TNF and were also observed after the administration of dexamethasone, pentoxifylline and a neutralizing anti-TNF antibody. The results indicate that adenosine is a potent inhibitor of TNF production induced by different stimuli. This property could lead to therapeutic applications in inflammatory diseases and other conditions in which TNF is known to play a pathogenic or aggravating role.
IT 53296-10-9, CV 1808
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(pharmacol. effects of adenosine and adenosine agonists in relation to inhibition of tumor necrosis factor production)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 80 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1995:631030 CAPLUS
DN 123:286460
OREF 123:51354h,51355a
TI Synthesis and biological activities of sugar-modified 2-(p-n-butylanilino)-2'-deoxyadenosine analogs
AU Yamaguchi, Toyofumi; Kunie, Sato; Saneyoshi, Mineo
CS Department Biological Sciences, Nishi-Tokyo University, Yamanashi, 409-01, Japan
SO Nucleosides & Nucleotides (1995), 14(3-5), 529-32
CODEN: NUNUD5; ISSN: 0732-8311
PB Dekker
DT Journal
LA English
GI



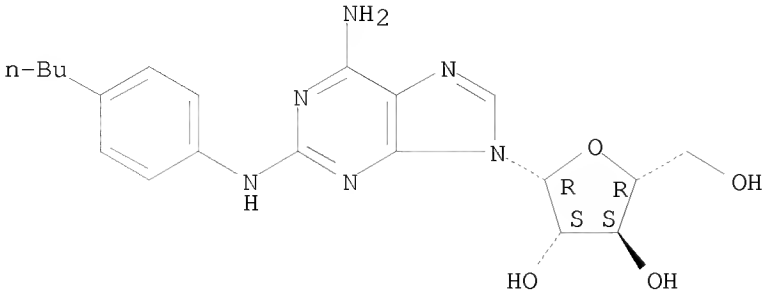
I

AB Several sugar-modified 2-(p-n-butylanilino)-2'-deoxyadenosine analogs including arabino and 2'(R)-azido-2'-deoxy analogs I (R = H, OH, N3, R1 = H) and their 5'-triphosphates were synthesized. These nucleosides thus obtained exhibited moderate cytotoxicity against P-388 leukemic cells in culture (IC50 = 13-24 μM). In contrast to above results, the 5'-triphosphates have been shown to exert strong and selective inhibitory effects on mammalian DNA polymerase α (Ki = 0.02-0.04 μM).

IT 169687-98-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antitumor and antiviral activities of anilinodeoxyadenosines)

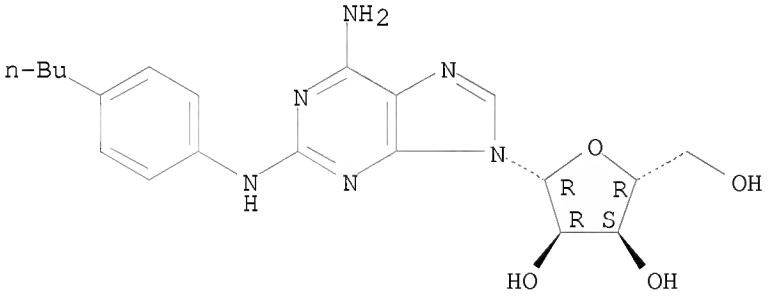
RN 169687-98-3 CAPLUS
CN 9H-Purine-2,6-diamine, 9-β-D-arabinofuranosyl-N2-(4-butylphenyl)- (CA INDEX NAME)

Absolute stereochemistry.



IT 169687-92-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antitumor and antiviral activities of anilinodeoxyadenosines)
RN 169687-92-7 CAPLUS
CN Adenosine, 2-[(4-butylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

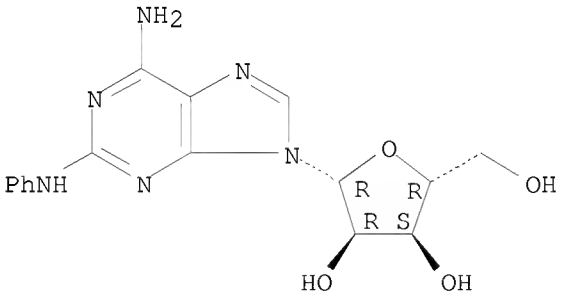
L4 ANSWER 81 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1995:590191 CAPLUS
DN 123:52110
OREF 123:9283a,9286a
TI Structure-activity relationship for the binding of nucleoside ligands to adenosine kinase from Toxoplasma gondii
AU Iltzsch, Max H.; Uber, Sheri S.; Tankersley, Kevin O.; el Kouni, Mahmoud H.
CS Dept. Biol. Sci., Univ. Cincinnati, Cincinnati, OH, 45221, USA
SO Biochemical Pharmacology (1995), 49(10), 1501-12
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier
DT Journal
LA English
AB One hundred and twenty-eight purine nucleoside analogs were evaluated as ligands of Toxoplasma gondii adenosine kinase (EC 2.7.1.20) by examining their ability to inhibit this enzyme in vitro. Inhibition was quantified by determining apparent Ki (appKi) values for those compds. that inhibited this enzyme by greater than 10% at a concentration of 1 mM. Two compds., N6-(p-methoxybenzoyl)adenosine and 7-iodo-7-deazaadenosine

(iodotubercidin), were found to bind to the enzyme (appKi = 3.9 and 1.6 μM, resp.) better than adenosine. On the basis of these data, a structure-activity relationship for the binding of ligands to T. gondii adenosine kinase was formulated using adenosine as a reference compound It was concluded that the following structures features of purine nucleoside analogs are required or strongly preferred for binding: (1) "pyridine-type" endocyclic nitrogens at the 1- and 3-positions; (2) an exocyclic hydrogen at the 2-position; (3) 6-position exocyclic substituents in the lactim tautomeric form; (4) a "pyridine-type" endocyclic nitrogen at the 7-position or hydrophobic exocyclic substituents attached to an endocyclic carbon at the 7-position; (5) an endocyclic methine or "pyridine-type" nitrogen at the 8-position; (6) an endocyclic nitrogen at the 9-position; (7) a pentose or "pentose-like" (e.g. hydroxylated cyclopentene) moiety attached to the 9-position nitrogen; (8) hydroxyl groups at the 2'- and 3'-positions in a ribose configuration; (9) a hydroxymethyl or Me (i.e. 5'-deoxy) group at the 5'-position; (10) a β-D-nucleoside configuration; and (11) an anti conformation around the N-glycosidic bond. In addition, there appears to be a "pocket" in the catalytic site of T. gondii adenosine kinase, adjacent to the 6-position of adenosine, that can accommodate large (preferably unsatd. or aromatic) substituents (e.g. phenyl). These findings provide the basis for the rational design of addnl. ligands of T. gondii adenosine kinase.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(structure-activity relationship for the binding of nucleoside ligands to adenosine kinase from Toxoplasma gondii)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

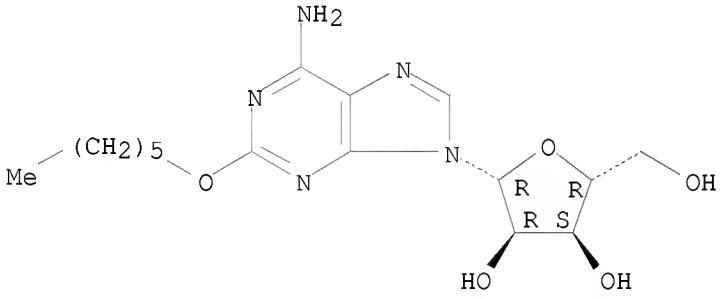


OSC.G 40 THERE ARE 40 CAPLUS RECORDS THAT CITE THIS RECORD (40 CITINGS)

L4 ANSWER 82 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1995:405318 CAPLUS
DN 122:255527
OREF 122:46321a,46324a
TI A theoretical structure-activity relationship study of 2-alkoxy-adenosines: selective agonists at the coronary artery A2-adenosine receptor
AU Ojha, T. N.; SIngh, P.; Tiwari, Susheela; Sharma, R. C.

CS Department of Chemistry, SK Government College, Sikar, 332 001, India
SO Indian Journal of Biochemistry & Biophysics (1995), 32(1), 60-2
CODEN: IJBBBQ; ISSN: 0301-1208
PB Publications & Information Directorate, CSIR
DT Journal
LA English
AB A theor. explanation of the agonist actions of several adenosine derivs., elicited from its binding to the two subtypes of discrete membrane-bound adenosine receptors, A1AR and A2AR, has been provided on the basis of derived statistical correlations. The van der Waals volume (Vw) of R-group, which is a measure of bulk, also stands a measure of the hydrophobic nature of the R-substituent, as evidenced from its near linear relation with hydrophobicity index, k', for these ligands. Through the use of an indicator parameter, it could be inferred that if the substituent has more CH2 instead of secondary CH adjacent to the point of attachment, R-O, the ligand will be more efficacious with adenosine receptors.
IT 50257-95-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(theor. structure-activity relationship study of 2-alkoxyadenosines as selective agonists at the coronary artery A2-adenosine receptor)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 83 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1995:367220 CAPLUS
DN 122:123777
OREF 122:22939a,22942a
TI Comparison of A4 and A2a binding sites in striatum and COS cells transfected with adenosine A2a receptors
AU Luthin, David R.; Linden, Joel
CS Departments Internal Medicine Cardiovascular Division, University Virginia Health Sciences Center, Charlottesville, VA, USA
SO Journal of Pharmacology and Experimental Therapeutics (1995), 272(2), 511-18
CODEN: JPETAB; ISSN: 0022-3565
PB Williams & Wilkins
DT Journal
LA English

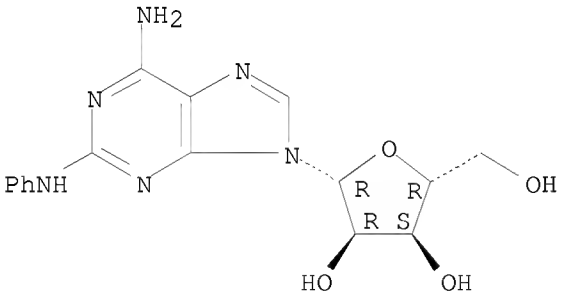
AB A putative A4 adenosine receptor is characterized by a distinct structure activity profile of compds. in competition for [3H]2-phenylaminoadenosine ([3H]CV 1808) binding sites on rat brain membranes assayed at 4°. We now confirm that A4 binding sites can be demonstrated on ice-cold membranes of rat striatum and demonstrate a similar binding site on COS cells transfected with rat A2a adenosine receptors (COS/A2a). The characteristic A4 potency order is: CV 1808 > [1R-(1α,2α,3β,5β)]-3-(2,6-diamino-N2-(3-carbethoxyphenyl)-9H-purin-9-yl)-5'-(N-ethylcarbamoyl)-1,2-cyclopentanediol (CGS 22988) » 5'-N-ethylcarboxamidoadenosine (NECA) ≥ 2-[4-(2-carboxyethyl)phenylethylamino]-5'-N-ethylcarboxamidoadenosine (CGS 21680); 9-chloro-2-(2-furyl)[1,2,4]-triaolo[1,5-c]-quinazolin-5-amine (CGS 15943) only partially inhibits binding at 1 μM. If [3H]CGS 21680 is used for ice-cold assays, or if either [3H]CV 1808 or [3H]CGS 21680 are used for assays at 21°, the potency order of competing compds. changes markedly and becomes characteristic of A2a adenosine receptor binding sites; CGS 15943 ≥ CGS 21680 .simeq. NECA > CGS 22988 ≥ CV 1808. Binding of [3H]CGS 21680, but not [3H]CV 1808, is enhanced by the pore-forming antibiotic, alamethicin. Guanosine 5'-O-(3-thiotriphosphate) decreases the binding of both radioligands to striatal membranes at 21° more than to membranes on ice. We propose that differential effects of temperature on the binding characteristics of compds. with distinct physicochem. properties to various pools of a single A2a adenosine receptor can result in A4 and A2a binding profiles.

IT 53296-10-9, CV 1808
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(cold effect on adenosine A2a - A4 receptor binding activity of)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

L4 ANSWER 84 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1995:349895 CAPLUS

DN 122:154099

OREF 122:28333a,28336a

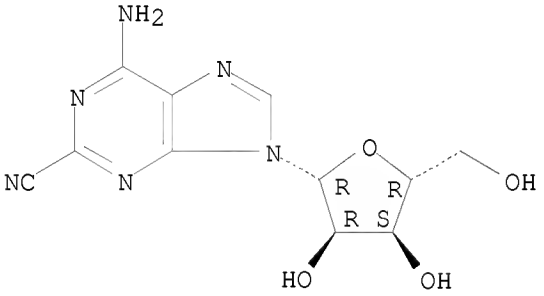
TI Herbicidally active sulfamoyl nucleosides. Isolation and synthesis.

AU Kristinsson, Kaukur; Nebel, Kurt; O'Sullivan, Anthony C.; Pachlatko, J. Paul; Yamaguchi, Yasuchika

CS Crop Protection Div., Ciba-Geigy AG, Basel, 4002, Switz.

SO ACS Symposium Series (1995), 584(Synthesis and Chemistry of Agrochemicals IV), 206-19
CODEN: ACSMC8; ISSN: 0097-6156
PB American Chemical Society
DT Journal
LA English
AB The isolation of the herbicidal 2-chloro-5'-O-sulfamoyladenosine (I) is reported. Its relation to other herbicidal nucleosides is described. Two new and direct synthetic routes to I were established and a number of derivative were prepared Herbicidal activity was found in analogs structurally close to I. An in vitro toxicol. screen was applied to these compds.
IT 79936-11-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction in herbicidal sulfamoyl nucleoside preparation)
RN 79936-11-1 CAPLUS
CN Adenosine, 2-cyano- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

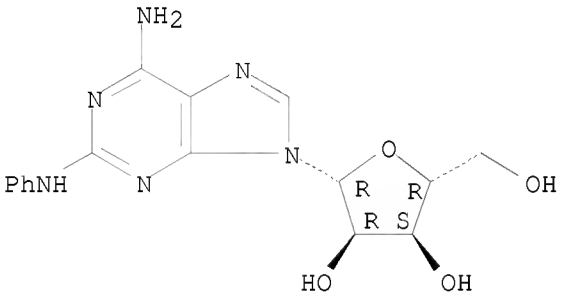


OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 85 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1995:340312 CAPLUS
DN 122:123739
OREF 122:22927a,22930a
TI Binding of the adenosine A2a receptor ligand [3H]CGS 21680 to human platelet membranes
AU Varani, Katia; Borea, Pier Andrea; Guerra, Laura; DionisottI, Silvioo; Zocchi, Cristina; Ongini, Ennio
CS Inst. Pharmacology, Univ. Ferrara, Ferrara, 44100, Italy
SO Research Communications in Molecular Pathology and Pharmacology (1995), 87(1), 109-10
CODEN: RCMPE6; ISSN: 1078-0297
PB PJD Publications
DT Journal
LA English
AB The binding characteristics of the selective adenosine A2a agonist [3H]-CGS 21680 in human platelet membranes. Addnl., the potency of several adenosine agonists was determined in adenylate cyclase studies. Specific binding was saturable, reversible, and dependent upon protein concentration Results indicate that in platelets [3H]-CGS 21680 labels also the nonreceptor binding site (adenotin site) for [3H]-NECA binding described

in peripheral tissue.
IT 53296-10-9, CV 1808
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(adenosine A2a receptor ligand CGS 21680 and other adenosine agonists binding and functional activity in human platelet membranes)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

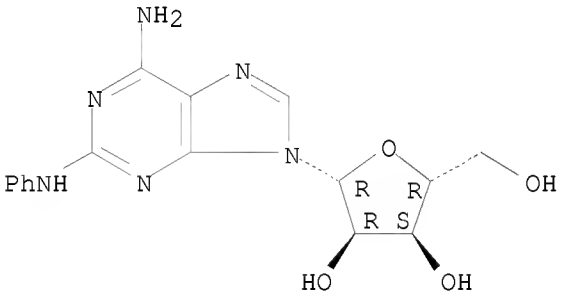
L4 ANSWER 86 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1995:303926 CAPLUS
DN 122:72515
OREF 122:13611a,13614a
TI Functional characterization of the adenosine receptor mediating inhibition of intestinal secretion
AU Hancock, Debra L.; Coupar, Ian M.
CS Sch. Pharmacol., Monash Univ., Victoria, 3052, Australia
SO British Journal of Pharmacology (1995), 114(1), 152-6
CODEN: BJPCBM; ISSN: 0007-1188
PB Stockton
DT Journal
LA English
AB Previous studies have shown that the mixed A1/A2 adenosine agonist 5'-N-ethylcarboxamidoadenosine (NECA) inhibits intestinal fluid secretion which is thought to contribute its antidiarrheal effect in the rat. The aim of this study was to characterize the adenosine receptor mediating this antisecretory effect via functional studies using a range of selective agonists and antagonists and by applying the pharmacol. criteria of relative agonist and antagonist potencies. Adenosine agonists and antagonists were administered i.v. to anesthetized rats. Intestinal secretion was then stimulated by i.a. infusion of vasoactive intestinal peptide (VIP, 0.8 μg min-1) and the net fluid transport across the wall of the jejunum was measured by a recirculation technique. The rank order agonist potency to reduce the response to VIP was: NECA > N6-cyclopentyladenosine (CPA) > R-N6-(2-phenylisopropyladenosine) (R-PIA) > S-PIA > chloroadenosine (2-CADO) > 2-phenylaminoadenosine (CV-1808). This order best complies with the rank order of agonist potency that represents activation of the recently described A2B receptor: NECA > 2-CADO > R-PIA = CHA > S-PIA > = CV-1808 > = GCS-21680. The most potent

agonists (NECA, CPA and R-PIA) had ED50 values in the low microgram range. The antisecretory action of NECA (submaximal dose of 40 μg kg-1) was antagonized equally (approx. 50%) by the selective adenosine antagonists 8-cyclopentyl-1,3-dipropylxanthine (DPCPX, 0.1 mg kg-1) and 8-phenyltheophylline (8-PT, 0.1 mg kg-1). This equipotent activity indicates the presence of an A2 and not an A1 receptor. It is suggested that adenosine A2B receptor agonists could be evaluated for potential use as antidiarrheal drugs.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine receptor subtype mediating adenosine analog inhibition of intestinal secretion and antidiarrheal activity)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

L4 ANSWER 87 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1995:275005 CAPLUS
DN 122:46518
OREF 122:8734a
TI Adenosine receptor agonists for the promotion of wound healing
IN Cronstein, Bruce N.; Levin, Richard I.
PA New York University, USA
SO PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9423723	A1	19941027	WO 1994-US2011	19940218
	W: AU, CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9465164	A	19941108	AU 1994-65164	19940218
	US 5932558	A	19990803	US 1996-712942	19960913
	US 6020321	A	20000201	US 1999-243538	19990203
PRAI	US 1993-46297	A	19930415		
	WO 1994-US2011	W	19940218		
	US 1996-712942	A1	19960913		

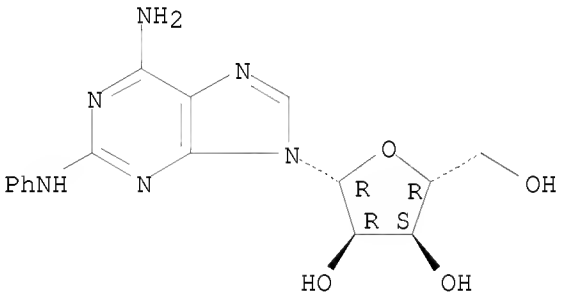
AB Agonists of the adenosine A2 receptor promote the migration of endothelial cells, fibroblasts and epithelial cells. Thus, methods and pharmaceutical compns. useful for treating wounds and promoting wound healing comprise agents which cause stimulation of the adenosine A2 receptor, preferably receptor agonists and adenosine uptake blockers. Preferred agonists include 2-phenylaminoadenosine, 2-p-(2-carboxyethyl)phenylamino-5'N-ethylcarboxamidoadenosine, 5'N-ethylcarboxamidoadenosine, 5'N-cyclopropyladenosine, 5'N-methylcarboxamidoadenosine and PD-125944. Preferred uptake blockers include dipyridamole, nitrobenzothioinosine, dilazep and R75231.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine receptor agonists for the promotion of wound healing)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L4 ANSWER 88 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1995:188936 CAPLUS

DN 122:669

OREF 122:155a,158a

TI Glibenclamide reduces the coronary vasoactivity of adenosine receptor agonists

AU Niiya, Kazunori; Uchida, Shinji; Tsuji, Takao; Olsson, Ray A.

CS Dep. Intern. Med. Biochem. Mol. Biol., Univ. South Florida, Tampa, FL, USA

SO Journal of Pharmacology and Experimental Therapeutics (1994), 271(1), 14-19
CODEN: JPETAB; ISSN: 0022-3565

PB Williams & Wilkins

DT Journal

LA English

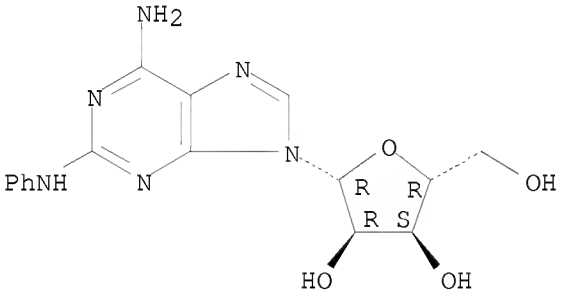
AB Expts. in guinea pig heart Langendorff prepns. assessed the effect of KATP channel blockade on the coronary vasoactivity of adenosine and 17 analogs chosen to represent a variety of purine and ribose modifications. Although glibenclamide is a functional antagonist that acts at the level of an effector rather than at a receptor, it caused parallel rightward shifts of agonist dose-response curves. The size of the shift of EC50 differed according to the kind of analog: the ranking was, generally, N6-phenethyladenosines > 2-aryl-aminoadenosines =

2-(1-alkyn-1-yl)adenosines > N6-cycloalkyladenosines = adenosine 5'-uronamides. The coronary vasoactivity ranking of agonists in the presence of supramaximal concns. of glibenclamide was 2-(1-alkyn-1-yl)adenosines = 2-aralkoxyadenosines > 2-aralkylaminoadenosines > 2-arylaminoadenosines > N6-substituted adenosines. Glibenclamide did not affect the vasoactivity of adenosine itself, perhaps because avid uptake by endothelial cells prevented penetration of the agonist to receptors deeper in the vascular wall. The results exclude a model consisting of one kind of receptor acting exclusively through a KATP channel, argue against one kind of receptor coupled to a KATP channel as well as to an addnl. effector but is consistent with two kinds of vasodilatory adenosine receptors, one of which activates a KATP channel. The identity of the adenosine receptor coupled to the KATP channel is uncertain; the other receptor has the pharmacol. profile of an A2a-adenosine receptor.

IT 53296-10-9, CV 1808 76888-18-1 102712-00-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(glibenclamide reduces coronary vasoactivity of adenosine receptor agonists)

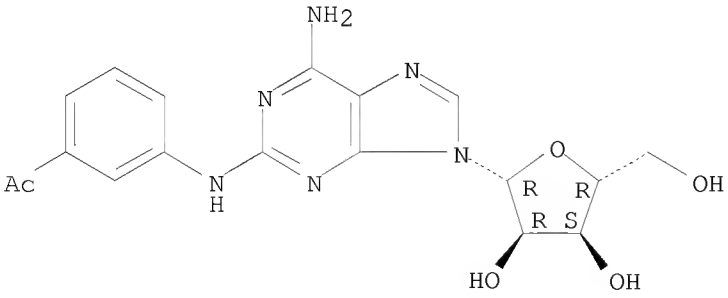
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



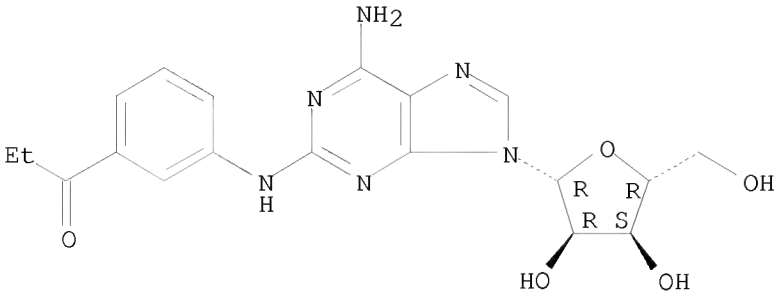
RN 76888-18-1 CAPLUS
CN Adenosine, 2-[(3-acetylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 102712-00-5 CAPLUS
CN Adenosine, 2-[[3-(1-oxopropyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



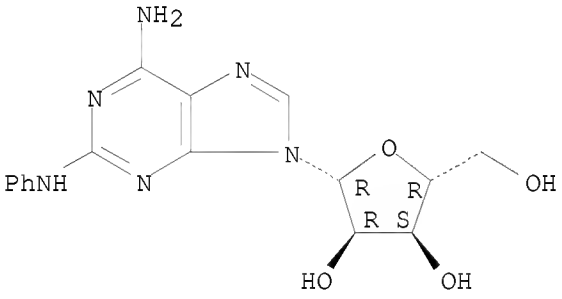
OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

L4 ANSWER 89 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1994:692389 CAPLUS
DN 121:292389
OREF 121:53203a,53206a
TI Failure of CGS15943A to block the hypotensive action of agonists acting at the adenosine A3 receptor
AU Patel, M.; Sheehan, M. J.; Strong, P.
CS Cellular Sci., Glaxo Res. Dev. Ltd., Ware, Herts, SG12 0DP, UK
SO British Journal of Pharmacology (1994), 113(3), 741-8
CODEN: BJPCBM; ISSN: 0007-1188
PB Stockton
DT Journal
LA English
AB Adenosine receptor agonists were evaluated for their activity at the putative adenosine A3 receptor which mediates a 'xanthine-resistant' hypotensive response in the anesthetized rat. The compds. tested were: the A1/A3 receptor agonist, N-[2-(4-aminophenyl)ethyl]adenosine (APNEA), the non-selective adenosine receptor agonist, 5'-N-ethylcarboxamidoadenosine (NECA), the adenosine A1 receptor-selective agonists, N-[(1S,trans)-2-hydroxycyclopentyl]adenosine (GR79236) and N6-cyclopentyl adenosine (CPA), the A2a receptor-selective agonists, 2-[[2-[4-(2-carboxyethyl) phenyl] ethyl] amino]-N-ethylcarboxamidoadenosine (CGS21680) and 2-phenylaminoadenosine (CV1808), and the moderately A2b selective agonist, N-[(2-methylphenyl)methyl]adenosine (metrifudil). In conformation of literature findings, APNEA (1-1000 nmol kg-1) induced hypotension and bradycardia; the hypotension was not blocked by pretreatment with the xanthine antagonist, 8-P-sulfophenyltheophylline (8-sPT; 40 mg kg-1, i.v.), whereas the bradycardia was attenuated. The non-xanthine antagonist, 9-fluoro-2-(2-furyl)-5,6-dihydro [1,2,4]triazolo{1,5-c}-quinazin-5-imine (CGS15943A; 3 mg kg-1 i.v.), also attenuated the bradycardia without affecting the hypotension. The adenosine A1 receptor-selective agonists, GR79236 and CPA, both produced dose-dependent falls in blood pressure and heart rate which were antagonized by 8-sPT (40 mg kg-1) and CGS15943A (3 mg kg-1). The adenosine A2a receptor-selective agonists, CGS21680 and CV1808, produced only a hypotensive response which was antagonized by 8-sPT (40 mg kg-1) and to a much greater extent by CGS15943A (3 mg kg-1), consistent with the response being mediated solely by A2a receptors. The modestly A2b

receptor-selective agonist, metrifudil, produced a dose-dependent fall in blood pressure and at higher doses a fall in heart rate. The hypotension induced by metrifudil was not antagonized by either 8-sPT (40 mg kg-1) or CGS15943A (3 mg kg-1) even though the bradycardia was abolished, suggesting that this agonist activates the putative A3 receptor. The non-selective adenosine receptor agonist, NECA, produced a hypotension and bradycardia that was attenuated by 8-sPT (40 mg kg-1), confirming previous work. The non-xanthine antagonist, CGS15943A (3 mg kg-1), also attenuated the hypotension and bradycardia. The bradycardia was blocked to a much greater extent, suggesting that NECA may therefore induce hypotension partly by activating the putative A3 receptor. In conclusion, we have confirmed that the putative A3 receptor mediating hypotension in the anesthetized rat is not blocked by 8-sPT, and further shown that it is not blocked by CGS15943A. The A2a agonists CGS21680 and CV1808 showed no discernible activity at the A3 receptor, whereas APNEA, NECA, CPA and metrifudil appear to activate this receptor. The adenosine A1 receptor agonist, GR79236, shows considerable selectivity for the A1 receptor but may activate the A3 receptor at high doses.

IT 53296-10-9, CV1808
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(adenosine receptor agonists effects on 'xanthine-resistant' hypotensive response mediated by adenosine A3 receptor)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

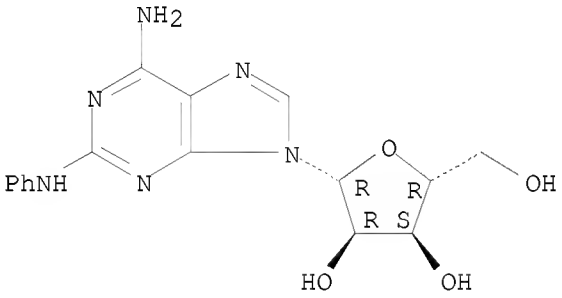


OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L4 ANSWER 90 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1994:645905 CAPLUS
DN 121:245905
OREF 121:44639a,44642a
TI 2-[2-[4-[2-[2-[1,3-Dihydro-1,1-bis(4-hydroxyphenyl)-3-oxo-5-isobenzofuranthioureidyl]ethylaminocarbonyl]ethyl]phenyl]ethylamino]-5'-N-ethylcarboxamidoadenosine (FITC-APEC): a fluorescent ligand for A2a-adenosine receptors
AU McCabe, R. Tyler; Skolnick, Phil; Jacobson, Kenneth A.
CS Lab. Neurosci., Pharm. Discovery Corp., Elmsford, NY, 10523, USA
SO Journal of Fluorescence (1992), 2(4), 217-23
CODEN: JOFLEN; ISSN: 1053-0509
DT Journal

LA English
AB The fluorescein conjugate FITC-APEC is a novel ligand derived from a series of functionalized congeners that act as selective A2a-adenosine receptor agonists. The binding of FITC-APEC to bovine striatal A2a-adenosine receptors, measured by fluorescence techniques, was saturable and of a high affinity, with a Bmax of 2.3 pmol/mg protein and KD of 57 nM. The KD value estimated by fluorescence was consistent with the Ki (11 nM) obtained by competition studies with [3H]CGS 21680. Addnl., the Bmax value found by FITC-APEC measurement was in agreement with Bmax values obtained by radioligand binding. FITC-APEC exhibited rapid and reversible binding to bovine striatum. The potencies of chemical diverse A2a-adenosine receptor ligands, as estimated by inhibition of FITC-APEC binding, were in good agreement with their potencies determined by radioligand binding techniques (r = 0.97). FITC-APEC binding was not altered by purine derivs. that do not recognize A2a-adenosine receptors. These findings demonstrate that the novel fluorescent ligand FITC-APEC can be used in the quant. characterization of ligand binding to A2a-adenosine receptors.
IT 53296-10-9, 2-(Phenylamino)adenosine
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(adenosine analog-fluorescein conjugate binding to striatal adenosine A2a receptors inhibition by)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 91 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1994:524874 CAPLUS
DN 121:124874
OREF 121:22297a,22300a
TI Inhibition of platelet aggregation by adenosine receptor agonists
AU Cristalli, Gloria; Vittori, Sauro; Thompson, Robert D.; Padgett, William L.; Shi, Dan; Daly, John W.; Olsson, Ray A.
CS Dip. Sci. Chimiche, Univ. Camerino, Camerino, I-62032, Italy
SO Naunyn-Schmiedeberg's Archives of Pharmacology (1994), 349(6), 644-50
CODEN: NSAPCC; ISSN: 0028-1298
DT Journal
LA English
AB 2-(Ar)alkoxyadenosines, which are agonists selective for the A2AAR in PC 12 cell and rat striatum membranes, are also agonists at the A2AR coupled

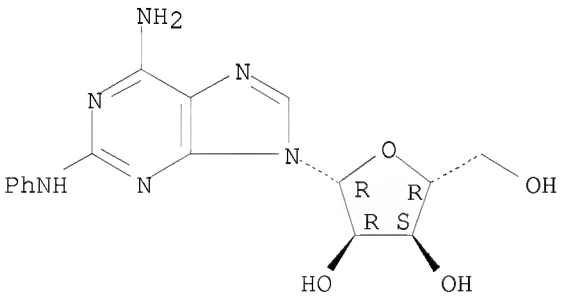
to adenylate cyclase (AC) that mediates the inhibition of platelet aggregation. A panel of twelve well-characterized adenosine analogs stimulated human platelet AC and inhibited ADP-induced platelet aggregation at sub- to low-micromolar concns. with a potency ranking CGS 21680>adenosine>R-PIA. There were significant correlations between the EC50 of stimulation of platelet and PC 12 cell AC (r2 = 0.66 and 0.67, resp.) or the Ki of inhibition of [3H]NECA binding to the rat striatum membranes (r2 = 0.75). Likewise, platelet AC stimulation correlated well with stimulation of PC 12 cell AC and with [3H]NECA binding (r2 = 0.94 and 0.91, resp.). Ten 2-(ar)alkoxyadenosines stimulated platelet AC at EC50s ranging between 0.16 and 2.3 μM and inhibited platelet aggregation at EC50s ranging between 2 and 30 μM. There were no correlations between the EC50s of the stimulation of platelet or PC 12 AC (r2 = 0.08 and 0.06, resp.) or with the Ki of the inhibition of [3H]NECA binding to the A2aAR in rat striatum (r2 = 0.02). The EC50s of the stimulation of platelet AC correlated with those of the stimulation of PC 12 AC (r2 = 0.48), and also with the Ki of [3H]NECA binding (r2 = 0.71). Each of the 23 adenosines completely inhibited platelet aggregation and thus, functionally, all behaved as full agonists. As stimulants of PC 12 cell AC, Group A and B analogs were equally efficacious. As stimulants of platelet AC, however, the efficacy relative to NECA (= 1.0) of Group B analogs was significantly less than that of Group A analogs, 0.49±0.2 vs. 0.72±0.05, P<0.01. The partial agonist activity of Group B analogs at the platelet A2AR but full agonist activity at the PC 12 cell A2aAR, as well as the relatively low correlations between platelet AC stimulation and other indexes of A2aAR agonist activity, suggest the platelet receptor is not a typical A2aAR. Further, the lack of a correlation between the platelet anti-aggregation and AC stimulatory activity suggests that (a) the 2-(ar)alkoxyadenosines might affect platelet aggregation by mechanisms other than AC stimulation of (b) that the stimulation of the platelet membrane AC by 2-(ar)alkoxy-adenosines does not correspond to the accumulation of cAMP in intact platelets.

IT 53296-10-9
RL: BIOL (Biological study)
(platelet aggregation inhibition by)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



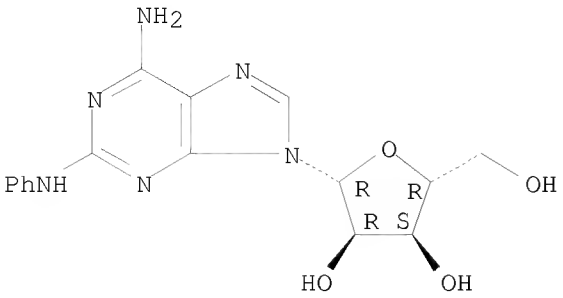
OSC.G 39 THERE ARE 39 CAPLUS RECORDS THAT CITE THIS RECORD (39 CITINGS)

L4 ANSWER 92 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1994:500054 CAPLUS

DN 121:100054
OREF 121:17759a,17762a
TI A binding site model and structure-activity relationships for the rat A3 adenosine receptor
AU van Galen, Philip J. M.; van Bergen, Andrew H.; Gallo-Rodriguez, Carola; Melman, Neli; Olah, Mark E.; Ijzerman, Ad P.; Stiles, Gary L.; Jacobson, Kenneth A.
CS Lab. Bioorganic Chem., Natl. Inst. Diabetes, Digestive and Kidney Diseases, Bethesda, MD, 20892, USA
SO Molecular Pharmacology (1994), 45(6), 1101-11
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English
AB A novel adenosine receptor, the A3 receptor, has recently been cloned. The authors have systematically investigated the hitherto largely unexplored structure-activity relationships (SARs) for binding at A3 receptors, using 125I-N6-2-(4-aminophenyl)ethyladenosine as a radioligand and membranes from Chinese hamster ovary cells stably transfected with the rat A3-cDNA. As is the case for A1 and A2a receptors, substitutions at the N6 and 5' positions of adenosine, the prototypic agonist ligand, may yield fairly potent compds. However, the highest affinity and A3 selectivity is found for N6,5'-disubstituted compds., in contrast to A2 and A2a receptors. Thus, N6-benzyladenosine-5'-N-ethylcarboxamide is highly potent (Ki, 6.8 nM) and moderately selective (13- and 14-fold vs. A1 and A2a). The N6 region of the A3 receptor also appears to tolerate hydrophilic substitutions, in sharp contrast to the other subtypes. Potencies of N6,5'-disubstituted compds. in inhibition of adenylate cyclase via A3 receptors parallel their high affinity in the binding assay. None of the typical xanthine or nonxanthine (A1/A2) antagonists tested show any appreciable affinity for rat A3 receptors. 1,3-Dialkylxanthines did not antagonize the A3 agonist-induced inhibition of adenylate cyclase. A His residue in helix 6 that is absent in A3 receptors but present in A1/A2 receptors may be causal in this respect. In a mol. model for the rat A3 receptor, this mutation, together with an increased bulkiness of residues surrounding the ligand, make antagonist binding unfavorable when compared with a previously developed A1 receptor model. Second, this A3 receptor model predicted similarities with A1 and A2 receptors in the binding requirements for the ribose moiety and that xanthine-7-ribosides would bind to rat A3 receptors. This hypothesis was supported exptl. by the moderate affinity (Ki, 6 μM) of 7-riboside of 1,3-dibutylxanthine, which appears to be a partial agonist at rat A3 receptors. The model presented here which is consistent with the detailed SAR found in this study, may serve to suggest future chemical modification, site-directed mutagenesis, and SAR studies to further define essential characteristics of the ligand-receptor interaction and to develop even more potent and selective A3 receptor ligands.
IT 53296-10-9, 2-(Phenylamino)adenosine
RL: BIOL (Biological study)
(adenosine A1 and A2a and A3 receptors affinity for, mol. structure in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

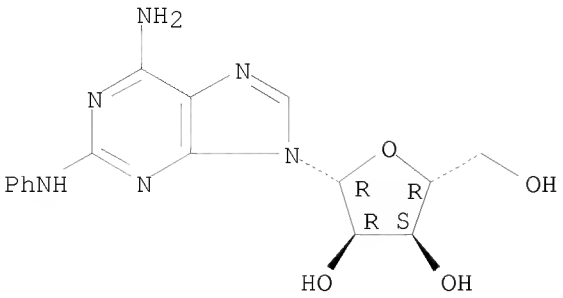
Absolute stereochemistry.



OSC.G 118 THERE ARE 118 CAPLUS RECORDS THAT CITE THIS RECORD (119 CITINGS)

L4 ANSWER 93 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1994:473772 CAPLUS
DN 121:73772
OREF 121:13003a,13006a
TI Modulation of intraocular pressure by adenosine agonists
AU Crosson, Craig E.; Gray, Tracy
CS Health Sci. Cent., Texas Tech Univ., Lubbock, TX, USA
SO Journal of Ocular Pharmacology (1994), 10(1), 379-83
CODEN: JOPHER; ISSN: 8756-3320
DT Journal
LA English
AB To investigate the potential role of adenosine receptors in modulating intraocular pressure (IOP), the A1 agonist N6-cyclopentyladenosine (CPA), the nonselective adenosine agonist 5'-N-ethylcarboxamidoadenosine (NECA) and the A2 agonist 8-phenylaminoadenosine (CV-1808) were evaluated. Topical administration of NECA to rabbits produced a dose-related reduction in IOP. However, an initial ocular hypertension of 1-2-h duration was also observed in rabbits treated with NECA. CPA (165 μg) caused only a reduction in IOP, while CV-1808 produced only an initial ocular hypertension. As adenosine A1 receptors have been shown to be neg. coupled to adenylate cyclase in several systems, CPA was evaluated for its ability to suppress cAMP formation in the isolated iris/ciliary body. CPA produced a concentration-related suppression of the cAMP accumulation induced by 10-6M forskolin (EC50 = 3.2 nM). These results indicate that selected adenosine agonists can modulate IOP. The ocular hypotension induced by adenosine agonists is consistent with the activation of adenosine A1 receptors and may involve the modulation of cAMP levels in the iris/ciliary body.
IT 53296-10-9, CV 1808
RL: BIOL (Biological study)
(eye intraocular pressure response to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

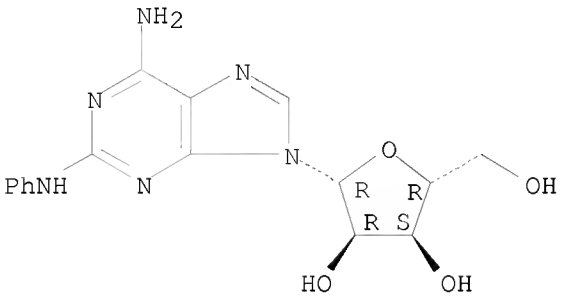


OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

L4 ANSWER 94 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1994:260573 CAPLUS
DN 120:260573
OREF 120:45825a,45828a
TI Functional characterization of the A2b adenosine receptor in NIH 3T3 fibroblasts
AU Brackett, L. Ellen; Daly, John E.
CS Lab. Bioorg. Chem., Natl. Inst. Diabetes Dig. Kidney Dis., Bethesda, MD, 20892, USA
SO Biochemical Pharmacology (1994), 47(5), 801-14
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB The adenosine (ADO) receptor in NIH 3T3 fibroblasts was characterized using a series of adenosine agonists and selected xanthine and non-xanthine antagonists. The ADO receptor elicited accumulations of cAMP in intact NIH 3T3 fibroblasts and caused activation adenylate cyclase in membrane prepns. The receptor had characteristics of the A2b subtype of adenosine receptor. ADO analogs had relatively high EC50 values at the receptor and were antagonized competitively by xanthines. The rank order of potency for adenosine analogs in NIH 3T3 fibroblasts for cAMP accumulation was: NECA > 2-ClADO > R-PIA » CV1808, CGS 21680. The EC50 for 2-ClADO was 4.3 μM in intact cells and 15 μM in membrane prepns. All ADO analogs were more potent at the A2a receptor of pheochromocytoma PC12 membranes than at the A2b receptor of fibroblast NIH 3T3 membranes. Structure-activity relationships suggested that the regions of interaction with 5'- and N6-substituents of ADO were similar for both the PC12 A2a and NIH 3T3 A2b receptor. However, ADO analogs with large substituents in the 2'-position, such as 2-cyclohexylethoxyADO and CGS 21680, were highly selective for the A2a receptor. All ADO analogs tested were stimulatory to adenylate cyclase at the NIH 3T3 A2b receptor, including 5'-methylthioADO, which was a weak partial agonist. A series of xanthine antagonists were not selective for the NIH 3T3 A2b vs. the PC12 A2a receptor. In all cases, xanthines were more potent as antagonist in the intact NIH 3T3 cells than in NIH 3T3 membranes. In a series of non-xanthine antagonists, most compds. were equipotent of slightly more potent at the A2a receptor except for alloxazine, which was approx. 9-fold selective for the A2b receptor.
IT 53296-10-9, CV1808
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(adenosine receptor agonist activity of, in fibroblasts and

pheochromocytoma cells, structure in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 94 THERE ARE 94 CAPLUS RECORDS THAT CITE THIS RECORD (94 CITINGS)

L4 ANSWER 95 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1994:236896 CAPLUS
DN 120:236896
OREF 120:41761a,41764a
TI Discrimination of A1 versus A2 receptor subtype selectivity of adenosine receptor agonists in vivo
AU Barrett, Richard J.; Droppleman, David A.; Wright, Kathryn F.
CS Dep. Pharmacol., Whitby Res., Inc., Richmond, VA, USA
SO Journal of Pharmacology and Experimental Therapeutics (1994), 268(3), 1166-73
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB Previous attempts to discern and quantify the selectivity of agonists for A1 vs. A2 adenosine receptors in vivo have been confounded by the activation of baroreceptor reflexes and/or simultaneous expression of responses to both A1 and A2 receptor activation. In anesthetized, vagotomized rats with isolated in situ constant-flow perfused hindquarters (HQ), bradycardic responses to i.v. agonist injections measured A1 receptor activation and HQ vasodilation elicited by i.a. agonist injections measured the stimulation of A2 receptors. Adenosine and 5'-N-ethylcarboxamidoadenosine (NECA) produced A2 receptor-mediated HQ vasodilation at doses 8- and 4-fold lower (-log ED50 values, 7.3 mol and 8.7 mol, resp.) than those required to evoke A1 receptor-mediated bradycardia (-log ED50 values, 6.4 mol and 8.1 mol, resp.). N6-cyclopentyladenosine (CPA) was approx. 8-fold selective for A1 receptors (-log ED50 values, A1, 8.5 mol; A2, 7.6 mol). 2-(Phenylamino)adenosine (CV-1808) and 2[2(4-fluorophenyl)ethoxy]adenosine (FPEA) were at least 125- and 200-fold more potent agonists at A2 receptors (-log ED50 values, 7.7 mol and 8.0 mol, resp.) than at A1 receptors (-log ED50 values, 5.6 mol and 5.7 mol, resp.). These studies demonstrated that stimulation of A1 and A2 receptors may be discriminated in vivo and that such responses are selective, reproducible, dose-dependent and quantifiable. A comparison of these in vivo measures with known in vitro data suggests that the A2a adenosine receptor mediates vasodilation in the rat HQ and that in vitro assays may predict the orders

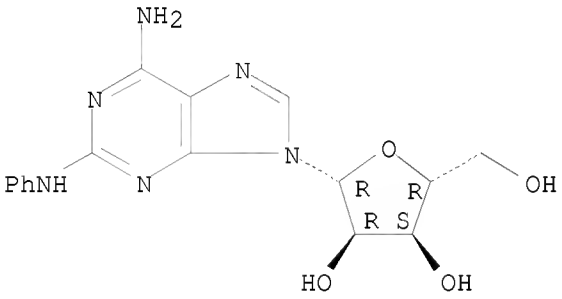
of potency of adenosine A1 and A2 receptor agonists in vivo but they are less reliable predictors of the absolute potency and, hence, the A1/A2 receptor selectivity of agonists.

IT 53296-10-9, 2-(Phenylamino)adenosine
RL: BIOL (Biological study)
(purinergic A1 and A2 selectivity of, bradycardia and hindquarter vasodilation in discrimination of)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L4 ANSWER 96 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1994:125921 CAPLUS

DN 120:125921

OREF 120:22025a,22028a

TI Molecular cloning and functional expression of a sheep A3 adenosine receptor with widespread tissue distribution

AU Linden, Joel; Taylor, Heidi E.; Robeva, Anna S.; Tucker, Amy L.; Stehle, Jorg H.; Rivkees, Scott A.; Fink, J. Stephen; Reppert, Steven M.

CS Lab. Dev. Chronobiol., Massachusetts Gen. Hosp., Boston, MA, 02114, USA

SO Molecular Pharmacology (1993), 44(3), 524-32
CODEN: MOPMA3; ISSN: 0026-895X

DT Journal

LA English

AB Using the polymerase chain reaction, an A3 adenosine receptor has been cloned from the hypophysial par tuberalis of sheep. The clone encodes a 317-amino acid protein that is 72% identical to the rat A3 adenosine receptor. In contrast to rat, where abundant A3 mRNA transcript is found primarily in testis, the sheep transcript is most abundant in lung, spleen, and pineal gland and is present in moderate levels in brain, kidney, and testis. The agonist N6-amino[125I]iodobenzyladenosine binds with high affinity (Kd .simeq. 6 nM) and specificity to recombinant A3 adenosine receptors expressed transiently in COS-1 cells or stably in CHO K1 cells. The potency order of agonists is N6-aminoiodobenzyladenosine > N-ethylcarboxamidoadenosine ≥ (R)-phenylisopropyladenosine » cyclopentyladenosine. Little or no binding of purine nucleotides was detected. The potency order of antagonists is 3-(3-iodo-4-aminobenzyl)-8-(4-oxyacetate)phenyl-1-propylxanthine (I-ABOPX) (Ki = 3 nM) > 1,3-dipropyl-8-(4-acrylate)phenylxanthine (BW-A1433) > 1,3-dipropyl-8-sulfophenylxanthine = xanthine amine congener » 8-cyclopentyl-1,3-dipropylxanthine. Enprofylline does not bind. These

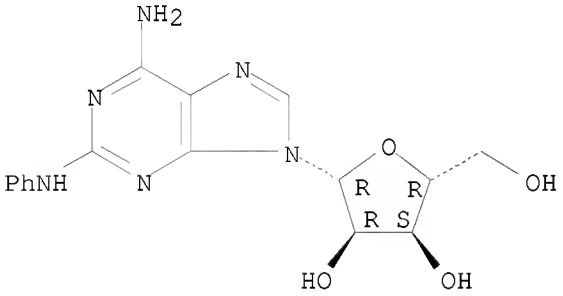
data indicate that, in contrast to A1 adenosine receptors, A3 adenosine receptors preferentially bind ligands with aryl rings in the N6-position of adenine and in the C8-position of xanthine. Among antagonists, the A3 adenosine receptor preferentially binds 8-phenylxanthines with acidic vs. basic para-substituents (I-ABOPX > BW-A1433 > 1,3-dipropyl-8-sulforphenylxanthine = xanthine amine congener). Agonists reduce forskolin-stimulated cAMP accumulation in Chinese hamster ovary cells stably transfected with recombinant sheep A3 adenosine receptors; the reduction is blocked by BW-A1433 but not by 8-cyclopentyl-1,3-dipropylxanthine. These data suggest that (i) A3 adenosine receptors display unusual structural diversity for species homologs, (ii) in contrast to rat, sheep A3 adenosine receptors have a broad tissue distribution, and (iii) some xanthines with acidic side chains bind with high affinity to A3 adenosine receptors.

IT 53296-10-9, CV1808
RL: BIOL (Biological study)
(binding to sheep A3 adenosine receptor of)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 141 THERE ARE 141 CAPLUS RECORDS THAT CITE THIS RECORD (144 CITINGS)

L4 ANSWER 97 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1994:729 CAPLUS

DN 120:729

OREF 120:167a,170a

TI Structure-activity relationship of 2-(ar)alkoxyadenosines at the adenosine A2 receptor in coronary artery

AU Makujina, Shah R.; Olsson, Ray A.; Esinhart, James D.; Mustafa, S. Jamal

CS Sch. Med., East Carolina Univ., Greenville, NC, 27858-4354, USA

SO European Journal of Pharmacology (1993), 243(1), 35-8
CODEN: EJPHAZ; ISSN: 0014-2999

DT Journal

LA English

AB The authors examined the ability of four 2-(ar)alkoxyadenosines [2-(2-phenylethoxy)adenosine, PEA; 2-[2-(2-naphthyl)ethoxy]adenosine, NEA; 2-[2-(4-methylphenyl)ethoxy]adenosine, mPEA; and 2-(1-hexyloxy)adenosine, HOA] to relax porcine coronary artery in vitro. All four compds. produced concentration-dependent relaxations in rings contracted with 30 mM KCl. The EC25 values are as follows (× 10-9 mol/L): CGS21680, (2-[p-(2-carboxyethyl)phenethylamino]-5'-N-ethylcarboxamidoadenosine)

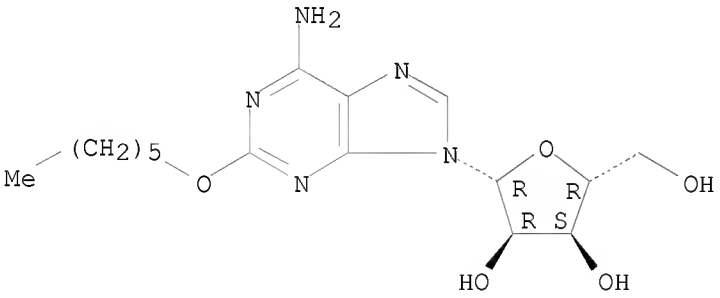
(32.7) ≈ NECA, 5'-N-ethylcarboxamidoadenosine (51.4) ≈ mPEA (74.3) ≈ NEA (160.7) > HOA (855.1) ≈ PEA (1259) ≈ 2-chloroadenosine (1871) > adenosine (9705). However, EC75 values for all the compds. except adenosine and 2-chlorodenosine converged to a range of 8.16 to 22.86 μM, suggesting a biphasic response. Furthermore, the responses were found to be independent of endothelial integrity. The unselective adenosine receptor antagonist 8-p-sulfophenyltheophylline (100 μM) attenuated the relaxant response to NEA (EC25 = 1172 nM), suggesting that adenosine receptors mediated relaxation. Structure-activity correlations suggest that the adenosine A2 receptor in porcine coronary artery contains a region of limited bulk tolerance juxtaposed to the region occupied by adenine C-2 and distal to that a large hydrophobic region.

IT 50257-95-9, 2-(1-Hexyloxy)adenosine
RL: BIOL (Biological study)
(coronary artery relaxation by, structure in relation to)

RN 50257-95-9 CAPLUS

CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 98 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1993:595546 CAPLUS

DN 119:195546

OREF 119:34637a,34640a

TI Adenosine agonists reduce conditioned avoidance responding in the rat

AU Martin, Gregory E.; Rossi, Donald J.; Jarvis, Michael F.

CS Dep. Pharmacol., Rhone-Poulenc Rorer Cent. Res., Collegeville, PA, 19426, USA

SO Pharmacology, Biochemistry and Behavior (1993), 45(4), 951-8
CODEN: PBBHAU; ISSN: 0091-3057

DT Journal

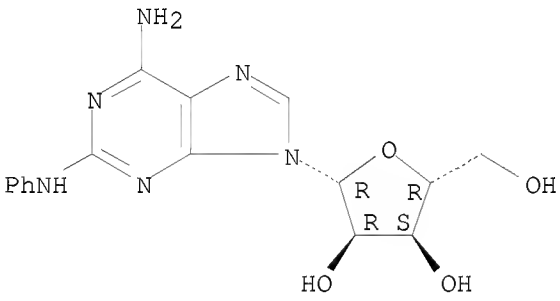
LA English

AB Because adenosine agonists may possess therapeutic potential as antispychotic agents, the authors examined the activity of several prototypic agents in vivo in blocking conditioned avoidance (CAR) in the rat, a behavioral test predictive of antipsychotic efficacy in humans. Potency in blocking CAR is directly proportional to potency in alleviating schizophrenia. Hence, the adenosine A1-selective agonists [cyclopentyl adenosine (CPA) and (R)-phenylisopropyl adenosine (R-PIA)], A2-selective agonists [CV-1808 and (2-p(carboxyethyl)-(NECA)] were examined in this test. Block of CAR was first determined for standard antipsychotic agents [ED50 mg/kg,

IP, and 95% confidence level (CL) in parentheses], such as haloperidol [0.23 (0.18, 0.39)], trifluoperazine [(0.9 (0.7, 1.0)], thioridazine [12.5 (10.5, 15.3)], metoclopramide [7.8 (6.4, 9.2)], and chlorpromazine [4.9 (4.2, 5.9)]. The paradigm consisted of a light- and tone-signaled footshock that could be avoided via a discrete lever press. Affinity for A1 and A2 binding sites in brain tissue from Fischer 344 rats was ascertained to be similar to that seen in other rodent strains. Each adenosine agonists blocked CAR. NECA [ED50 value (95% CL) = 0.07 (0.004, 0.12) mg/kg, IP] was the most potent agent, followed by: R-PIA [0.34 (0.23, 0.44)]; CGS 21680 [1.1 (0.8, 2.0)]; CV-1808 [1.3 (1.0, 1.8)]; and CPA [1.5 (1.3, 1.7)]. Pretreatment with caffeine (25 mg/kg, IP, -10 min) blocked the inhibition of CAR produced by adenosine agonists, suggesting the event is mediated via purinergic receptors. As a test for extrapyramidal side effect potential, each agonist was administered at dose levels corresponding to the ED∞5, ED50, and ED75 values for block of CAR and catalepsy was measured. Catalepsy was prominently produced by NECA and CPA, whereas CGS 21680 and R-PIA produced little. Neither potency in blocking CAR nor inducing catalepsy could be highly correlated with either relative affinity or selectivity for either A1 or A2 binding sites. The data suggest purinergic agonists might be effective antipychotic agents but may possess side effects that might preclude their use.

IT 53296-10-9, CV1808
RL: BIOL (Biological study)
(conditioned avoidance responding reduction by, antipsychotic activity in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

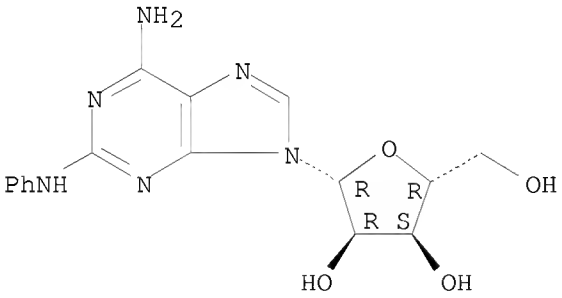


OSC.G 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)

L4 ANSWER 99 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1993:532153 CAPLUS
DN 119:132153
OREF 119:23521a,23524a
TI Functional characterization of three adenosine receptor types
AU Gurden, M. F.; Coates, J.; Ellis, F.; Evans, B.; Foster, M.; Hornby, E.; Kennedy, I.; Martin, D. P.; Strong, P.; et al.
CS Pharmacol. Div., Glaxo Group Res., Ware/Hertfordshire, SG12 0DP, UK
SO British Journal of Pharmacology (1993), 109(2), 693-8
CODEN: BJPCBM; ISSN: 0007-1188
DT Journal

LA English
AB The purpose of the present study was to classify adenosine receptors into A1 and A2 subtypes in a wide range of isolated tissues and cell types (rat adipocytes and atria, guinea pig ileum and atria (A1); guinea pig aorta, dog coronary artery and human platelets and neutrophils (A2)) using the R- and S-diastereoisomers of N-phenylisopropyladenosine (PIA), N-cyclopentyladenosine (CPA), the novel compound, N-[(1S,trans)-2-hydroxycyclopentyl]adenosine (GR 79236), N-[(2-methylphenyl)methyl]adenosine (metrifudil), 2-(phenylamino)adenosine (CV 1808), and 2-[[2-[4-(2-carboxyethyl)phenyl]ethyl]amino]-N-ethylcarboxamidoadenosine (CGS 21680); N-ethylcarboxamidoadenosine (NECA) was used as a standard Results obtained in all tissue prepns. previously reported to contain A1-receptors could be described by a single rank order of agonist potency: CPA ≥ GR 79236, R-PIA ≥ NECA >> S-PIA ≥ metrifudil ≥ CV 1808, CGS 21680. In contrast, 2 distinct rank orders of agonist potency were observed in prepns. previously reported to contain A2-receptors. In dog coronary artery, human neutrophils and platelets the rank order of potency was: CV 1808, CGS 21680 ≥ NECA > R-PIA ≥ metrifudil ≥ CPA > GR 79236, S-PIA. However, in guinea pig aorta the rank order was: NECA > metrifudil > R-PIA, CPA > CV 1808, GR 79236 ≥ S-PIA, CGS 21680. The results indicate the existence of 3 types of adenosine receptor: A1- and 2 subtypes of A2-receptor. The receptor present in dog coronary artery, human platelets and neutrophils, probably corresponds to the A2a subtype, whilst that present in the guinea-pig aorta may be of the A2b subtype.
IT 53296-10-9
RL: BIOL (Biological study)
(adenosine receptor subtype classification using, in human and laboratory animal tissues)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

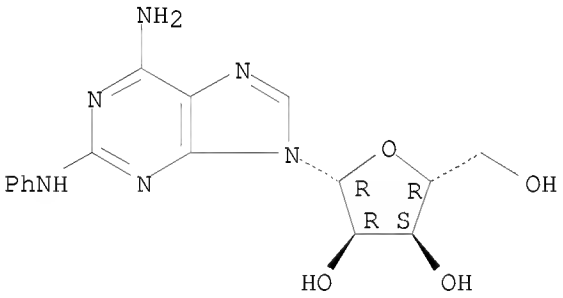


OSC.G 74 THERE ARE 74 CAPLUS RECORDS THAT CITE THIS RECORD (74 CITINGS)

L4 ANSWER 100 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1993:463783 CAPLUS
DN 119:63783
OREF 119:11297a,11300a
TI Characterization of adenosine A2 receptors in bovine retinal pigment epithelial membranes
AU Blazynski, Christine
CS Sch. Med., Washington Univ., St. Louis, MO, 63110, USA

SO Experimental Eye Research (1993), 56(5), 595-9
CODEN: EXERA6; ISSN: 0014-4835
DT Journal
LA English
AB The pharmacol. characteristics of adenosine A2 receptors are described for membranes prepared from bovine retinal pigmented epithelial (RPE). RPE cells were isolated after removal of retina, lysed by freeze-thawing, and membranes separated from cytoplasmic components. A single population of adenosine binding sites is present in RPE membranes, as determined from saturation anal. and competition binding assays. From Scatchard plots, this single class of binding sites exhibited low affinity for adenosine receptor agonists. These low affinity sites were labeled by [3H]-N-ethylcarboxamido-adenosine (NECA) or [3H]-CGS 21680 and Kds of 423 and 5.3 μM were determined for each radioligand, resp. NECA-mediated stimulation of adenylate cyclase demonstrated that these binding sites represent adenosine receptors. No high affinity A2a binding sites were detected in RPE membranes by either saturation studies, or by competition with adenosine A1-selective agonists which only displaced radioligand binding at high micromol. concns. The low affinity A2 receptor on RPE differs from the high affinity A2a receptor characterized in bovine retinal membranes, but may be similar or identical to the lower affinity A2b receptor detected in retinal membranes as well as other tissues.
IT 53296-10-9, CV1808
RL: BIOL (Biological study)
(adenosine A2b receptors affinity for, of retina pigment epithelial cells)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

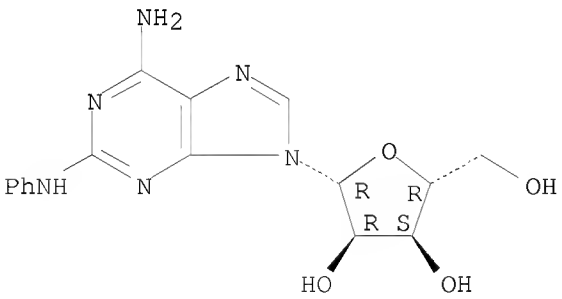


OSC.G 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

L4 ANSWER 101 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1993:463782 CAPLUS
DN 119:63782
OREF 119:11297a,11300a
TI Characterization of adenosine A2 receptors in bovine retinal membranes
AU Blazynski, Christine; McIntosh, Helen
CS Sch. Med., Washington Univ., St. Louis, MO, 63110, USA
SO Experimental Eye Research (1993), 56(5), 585-93
CODEN: EXERA6; ISSN: 0014-4835
DT Journal

LA English
AB Bovine retinal A2 receptors were characterized based on data obtained from both adenylate cyclase assays and radioligand binding studies. [3H]-5'-N-ethylcarboxamidoadenosine (NECA) in the presence of 10 nM cyclopentyladenosine (CPA, which selectively binds to A1 receptors) or [3H]-CGS 21680 were used to label the A2 binding sites. By using [3H]-NECA (plus CPA), two populations of binding sites, having Kds of 106 nM and 9.4 μM, were determined [3H]-CGS 21680, a derivative of NECA which has been demonstrated to be highly selective for A2 receptors in brain synaptic membrane prepns. was more potent than NECA at the higher affinity population of A2 sites, and saturation anal. revealed the presence of both a high affinity site, Kd of 18 nM, and a lower affinity site having a Kd of 4.3 μM. The high affinity site labeled by [3H]-CGS 21680 corresponds to the A2a receptor. By using either radioligand, guanosine triphosphate-dependent shifts to a single population of binding sites were observed Despite the differences in affinities revealed by the two radioligands for the high affinity A2 site, both [3H]-CGS 21680 and [3H]-NECA were competitively displaced by increasing concns. of a variety of adenosine receptor agonists and antagonists, and exhibited an identical rank order of potency that is consistent with that reported for high affinity A2a receptors. Receptor-mediated modulation of adenylate cyclase activities in retinal synaptic membranes was also assessed, and while NECA or N6-methyladenosine elicited decreases in forskolin-activated cyclase activity at concns. between 0.1-50 nM, this inhibition was reversed, and enzyme stimulated by higher agonist concns. CGS 21680 elicited only a stimulation of either basal and forskolin-activated adenylate cyclase activities at concns. above 50 nM. The stimulatory modulation of adenylate cyclase at these concns. is consistent with mediation by the A2a and/or A2b receptors.
IT 53296-10-9, CV1808
RL: BIOL (Biological study)
(adenosine A2 receptors affinity for, of eye retina membranes)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

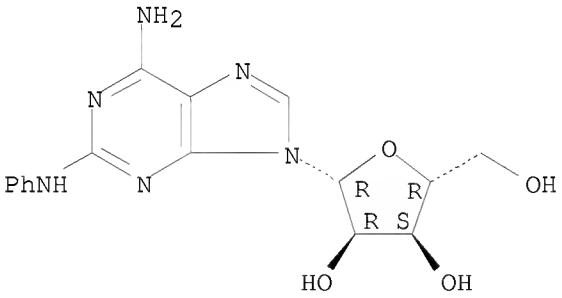


OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L4 ANSWER 102 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1993:188505 CAPLUS
DN 118:188505
OREF 118:32315a,32318a
TI Effects of adenosine derivatives on human and rabbit platelet aggregation.

Correlation of adenosine receptor affinities and antiaggregatory activity
AU Dionisotti, Silvio; Zocchi, Cristina; Varani, Katia; Borea, Pier Andrea; Ongini, Ennio
CS Res. Lab., Schering-Plough, S.p.A., Comazzo, I-20060, Italy
SO Naunyn-Schmiedeberg's Archives of Pharmacology (1992), 346(6), 673-6
CODEN: NSAPCC; ISSN: 0028-1298
DT Journal
LA English
AB The inhibitory effects of several adenosine analogs, including the A2-selective agonists 2-[p-(2-carboxyethyl)phenylethylamino]-5'-N-ethylcarboxamidoadenosine (CGS 21680) and 2-hexynyl-5'-N-ethylcarboxamidoadenosine (2-hexynyl-NECA), were investigated in vitro on human and rabbit platelet aggregation. The compds. inhibited ADP-induced platelet aggregation over a wide range of potency. The rank order of activity was similar between the 2 species thus showing that the rabbit is a useful animal model for studying the effects of adenosine derivs. on platelet aggregation. 2-Hexynyl-NECA was the most potent adenosine compound of those currently available, having IC50 values of 0.10 and 0.07 μM in human and rabbit platelets, resp. Conversely, the A1 agonists R(-)-N6-(2-phenylisopropyl)adenosine, S(+)-N6-(2-phenylisopropyl)adenosine, and 2-chloro-N6-cyclopentyladenosine were the least potent compds. with IC50 values in the micromolar range. The potency of the compds. in inhibiting platelet aggregation was correlated with their affinity for A2 receptors as measured using [3H]CGS 21680 binding in rat brain striatum.
IT 53296-10-9, CV 1808
RL: BIOL (Biological study)
(blood platelet aggregation inhibition by, in human and rabbit, adenosine A2 receptor affinity in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

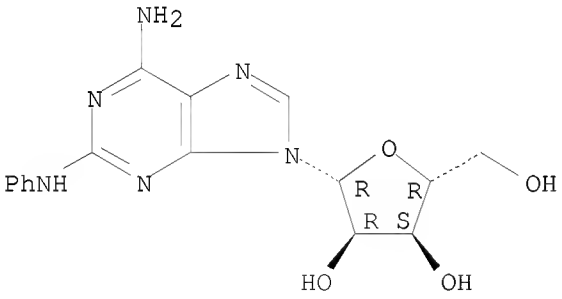


OSC.G 24 THERE ARE 24 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)

L4 ANSWER 103 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1993:74085 CAPLUS
DN 118:74085
OREF 118:12831a,12834a
TI [3H]2-Phenylaminoadenosine ([3H]CV 1808) labels a novel adenosine receptor in rat brain
AU Cornfield, Linda J.; Hu, Shiling; Hurt, Stephen D.; Sills, Matthew A.
CS Pharm. Div., CIBA-GEIGY Corp., Summit, NJ, USA

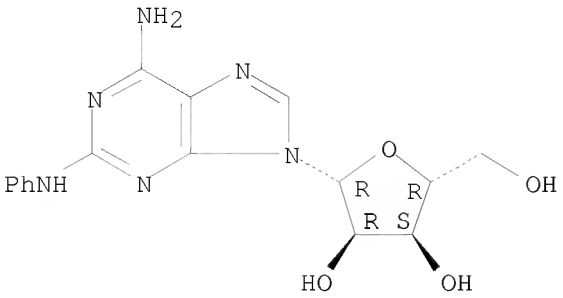
SO Journal of Pharmacology and Experimental Therapeutics (1992), 263(2), 552-61
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB After the radiolabeling of CV 1808, its binding characteristics were evaluated in rat striatal, cortical and hippocampal membranes. Using 5 nM [3H]CV 1808, unlabeled CV 1808 produced shallow inhibition curves in all three brain areas, with 61-75% of the binding displaying IC50 values of 16-24 nM, whereas the remaining 28-37% of binding had lower affinity (IC50 595-1130 nM). The A2-selective agonist CGS 21680 and the nonselective adenosine agonist 5'-N-ethylcarboxamidoadenosine displayed very low affinity (IC50 > 10 μM). The A1-selective compound N6-cyclopentyladenosine inhibited only 28-44% of specific binding, with IC50 of 272-1750 nM. In contrast, the nonselective adenosine antagonist CGS 15943A inhibited specific binding by 48-64% (at 1 μM) with IC50 ranging 106-295 nM. Addnl., several novel adenosine analogs fully inhibited specific binding, producing multicomponent inhibition curves. Electrophysiol. studies in porcine coronary artery cells demonstrated that CV 1808, but not CGS 21680, 5'-N-ethylcarboxamidadenosine and N6-cyclopentyladenosine, activated potassium channels. Further, the CV 1808-induced activation was blocked by CGS 15943A. Thus, [3H]CV 1808 binding consists of two components in rat brain a low-affinity site with A1-like characteristics, and a novel high-affinity site, designated as the A4 receptor, were potassium channel activation appears to be a functional correlate.
IT 53296-10-9, CV 1808 53296-10-9D, CV 1808, derivs., tritium-labeled
RL: BIOL (Biological study)
(adenosine receptor subtypes labeling with, in brain)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

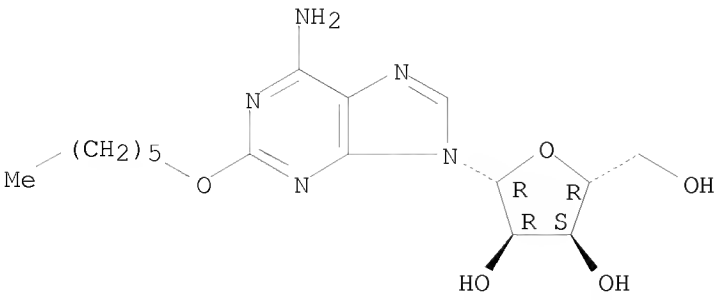
Absolute stereochemistry.



OSC.G 27 THERE ARE 27 CAPLUS RECORDS THAT CITE THIS RECORD (27 CITINGS)

L4 ANSWER 104 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1993:73788 CAPLUS
DN 118:73788
OREF 118:12763a,12766a
TI Structure-activity relationships for 2-substituted adenosines at A1 and A2 adenosine receptors
AU Daly, John W.; Padgett, William L.; Secunda, Sherrie I.; Thompson, Robert D.; Olsson, Ray A.
CS Lab. Bioorg. Chem., Natl. Inst. Diabetes Dig. Kidney Dis., Bethesda, MD, USA
SO Pharmacology (1993), 46(2), 91-100
CODEN: PHMGBN; ISSN: 0031-7012
DT Journal
LA English
AB A series of 55 2-alkyloxy-, 2-aryloxy-, and 2-aralkyloxyadenosines was screened as inhibitors of the binding of [3H]R-phenyl-isopropyladenosine to A1 adenosine receptors in rat cerebral cortical membranes, as inhibitors of the binding of [3H]N-ethylcarboxyamidoadenosine to A2 adenosine receptors in rat striatal membranes, and as agonists at A2 adenosine receptors coupled to adenylate cyclase in rat pheochromocytoma PC12 cell membranes. The activities are consonant with a hydrophobic binding site in the A2 receptors at a distance from the 2-position of the adenine ring corresponding to a spacer chain of -O-CH2-CH2-. There is little lateral steric tolerance in the region occupied by the spacer chain. Interaction with the hydrophobic binding site is greatest in the 2-alkyloxy series for 2-cyclohexylethoxy-, 2-cyclohexylpropoxy- and 2-cyclohexylbutoxyadenosine and in the 2-aralkyloxy series for 2-phenylethoxy-, 2-(4-methylphenyl)ethoxy-, 2-(4-chlorophenyl)ethoxy-, and 2-naphthylethoxyadenosine. The affinities of the 2-substituted adenosines for the rat cerebral cortical A1 receptors are not as markedly altered by structural changes, and in almost all cases are 2-100-fold less than the affinity of the 2-substituted adenosines for the rat striatal A2 receptor. There is excellent correspondence of the present data on rat A2 receptors with reported potencies of these 2-substituted adenosines as coronary vasodilators in guinea pig heart prepns.
IT 50257-95-9
RL: PRP (Properties)
(purinergic receptor affinity of, structure in relation to)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.

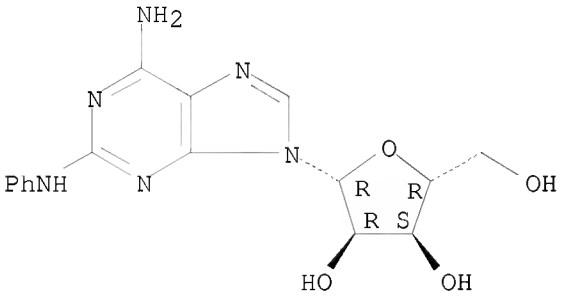


OSC.G 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (23 CITINGS)

L4 ANSWER 105 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1993:32762 CAPLUS
DN 118:32762
OREF 118:5811a,5814a
TI Cardiovascular selectivity of adenosine receptor agonists in anesthetized dogs
AU Gerencer, R. Z.; Finegan, B. A.; Clanachan, A. S.
CS Dep. Pharmacol., Univ. Alberta, Edmonton, AB, T6G 2H7, Can.
SO British Journal of Pharmacology (1992), 107(4), 1048-56
CODEN: BJPCBM; ISSN: 0007-1188
DT Journal
LA English
AB The relevance of adenosine (Ado) receptor classification obtained from in vitro methods to the cardiovascular actions of Ado agonists in vivo was studied. The cardiovascular effects of AMP, N6-cyclohexyladenosine (CHA, 400-fold A1-selective), 5'-N-ethylcarboxamidoadenosine (NECA, A1 ≈ A2), and 2-phenylaminoadenosine (PAA, 5-fold A2-selective) were compared in open-chest, fentanyl-pentobarbitone anesthetized dogs. Graded doses of CHA (10 to 1000 μg/kg), NECA (0.5 to 100 μg/kg), or PAA (0.1 to 20 μg/kg) were administered i.v. and changes in hemodynamics and myocardial contractility were assessed 10 min following each dose. The effects of graded infusions of AMP (200 to 1000 μg/kg·min) were also evaluated. AMP and the Ado analogs (NECA > PAA > CHA) increased the systemic vascular conductance index (SVCI) in a dose-dependent manner and reduced the mean arterial pressure (MAP). At doses causing similar increases in SCI, the agonists caused similar reflex increases in heart rate (HR) and cardiac index (CI) and decreases in AV conduction interval (AVi), and increased coronary vascular conductance (CVC). After cardiac autonomic blockade with atropine (0.2 mg/kg) and propranolol (1 mg/kg), AMP, CHA, and PAA still increased SVCI and CVC and decreased MAP. CHA and PAA had no marked effects on HR, CI, or AVi. As in the absence of cardiac autonomic blockade, equieffective vasodilator doses of CHA and PAA had identical effects on CVC, CI, and AVi. The myocardial contractility, as assessed by Emax, was stimulated by AMP in control animals. Following cardiac autonomic blockade, PAA increased the contractility while AMP and CHA had no effects. Despite marked differences in receptor selectivity in vitro, no marked differences between the actions of these A1- and A2-selective Ado receptor agonists on the cardiovascular system in vivo were apparent. Difficulties therefore exist in the application of in vitro Ado receptor selectivity data to the prediction of the cardiovascular effects of Ado agonists in vivo.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: PRP (Properties)
(cardiovascular effects of, in vitro and in vivo correlation of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

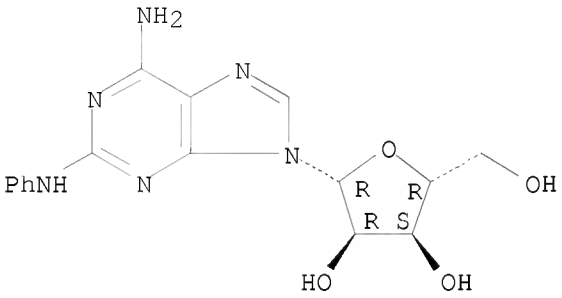


OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 106 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1992:483920 CAPLUS
DN 117:83920
OREF 117:14479a,14482a
TI Relative agonist potencies of C2-substituted analogs of adenosine: evidence for adenosine A2B receptors in the guinea pig aorta
AU Martin, Pauline L.
CS Dep. Pharmacol., Whitby Res., Inc., Richmond, VA, 23220, USA
SO European Journal of Pharmacology (1992), 216(2), 235-42
CODEN: EJPHAZ; ISSN: 0014-2999
DT Journal
LA English
AB Nine C2-substituted adenosine analogs that are potent and selective for the A2-adenosine receptor were tested for their ability to induce relaxations of the guinea pig aorta. Compds. tested were 2-phenylethoxyadenosine (PEA), 2-phenylethoxy-5'-N-ethylcarboxyamidoadenosine (PENECA), 2-cyclohexylethoxyadenosine (CEA), 2-fluorophenylethoxyadenosine (FPEA), 2-methoxyphenylethoxyadenosine (MPEA), 2-naphthylethoxyadenosine (NEA), 2-phenylaminoadenosine (CV1808), 2-phenylethylaminoadenosine (PEAA), and 2-carboxyethylphenethylamino-5'-N-ethylcarboxamidoadenosine (CGS21680). The responses to these agents were compared with those to three standard adenosine receptor agonists, 5'-N-ethylcarboxamidoadenosine (NECA), N6-cyclohexyladenosine (CHA) and R-N6-phenylisopropyladenosine (R-PIA). The C2-ethoxyadenosine analogs were 30-140-fold less potent than NECA and the C2-amino-substituted analogs were 250 to 1000-fold less potent than NECA at inducing relaxations of the guinea pig aorta. All of the analogs were also less potent than the A1-selective agonist R-PIA. However, only responses to NECA were competitively antagonized by the non-selective adenosine receptor antagonist 8-phenyltheophylline (8-PT), pKB = 6.83. Thus, the C2-substituted analogs produce relaxations of the guinea pig aorta through a combination of actions at A2-adenosine receptors and at xanthine resistant sites. The lack of potency of these analogs at activating the xanthine sensitive A2-receptors in the guinea pig aorta

suggests that these adenosine receptors may be of the A2B-subtype.
IT 53296-10-9, CV-1808
RL: BIOL (Biological study)
(aorta relaxation by, purinergic receptor subtype in)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

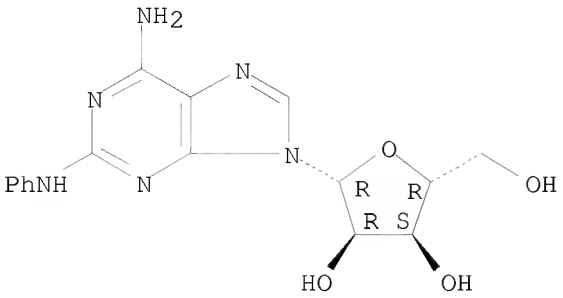
Absolute stereochemistry.



OSC.G 35 THERE ARE 35 CAPLUS RECORDS THAT CITE THIS RECORD (35 CITINGS)

L4 ANSWER 107 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1992:440341 CAPLUS
DN 117:40341
OREF 117:6967a,6970a
TI Effect of adenosine analogs on the expression of opiate withdrawal in rats
AU Dionyssopoulos, Tim; Hope, Wendy; Coupar, Ian M.
CS Sch. Pharmacol., Victorian Coll. Pharm., Parkville, 3052, Australia
SO Pharmacology, Biochemistry and Behavior (1992), 42(2), 201-6
CODEN: PBBHAU; ISSN: 0091-3057
DT Journal
LA English
AB The adenosine A1 receptor agonist N6-[(R)-1-methyl-2-phenylethyl]adenosine (R-PIA), the A2 agonist 2-(phenylamino)adenosine (CV 1808), the nonselective A1, A2 agonist adenosine-5'-ethylcarboxamide (NECA), and the α2-adrenoceptor agonist clonidine were screened (each at 30, 100, and 300 μg/kg, s.c.) for their ability to alter naloxone-precipitated withdrawal signs in morphine-dependent rats. The results indicate that there is convergent dependence involving opioid and adenosine A1 receptors on those effects expressed by withdrawal diarrhea, paw-shakes, teeth-chattering, body-shakes, and jumping. Further, dependence expressed by body-shakes involves convergence involving A1 receptors, as well as α2-adrenoceptors; while A1 receptors are involved in dependence expressed by jumping, stimulation of α2-adrenoceptors augments this sign. Adenosine analogs may be of clin. value for detoxification of opiate addicts.
IT 53296-10-9, CV 1808
RL: BIOL (Biological study)
(opiate withdrawal behaviors response to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

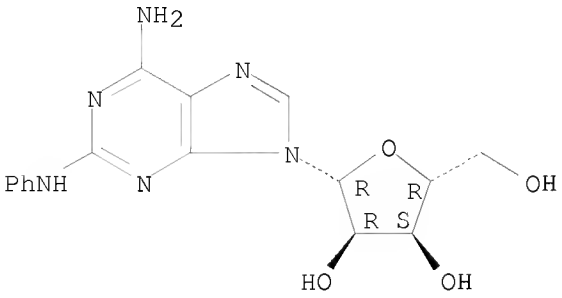


OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

L4 ANSWER 108 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1992:440225 CAPLUS
DN 117:40225
OREF 117:6935a,6938a
TI Characterization of human striatal A2 adenosine receptors using radioligand binding and photoaffinity labeling
AU Ji, Xiao Duo; Stiles, Gary L.; Van Galen, Philip J. M.; Jacobson, Kenneth A.
CS Lab. Bioorg. Chem., Natl. Inst. Diabet. Digest. Dis. Kidney Dis., Bethesda, MD, 20892, USA
SO Journal of Receptor Research (1992), 12(2), 149-69
CODEN: JRERDM; ISSN: 0197-5110
DT Journal
LA English
AB The adenosine agonist [3H]CGS21680 (2-[4-[[2-carboxethyl]phenyl]ethylamino]-5'-N-ethylcarboxamidoadenosine) bound to A2 receptors in human striatal membranes with a kd of 17.8 nM and a Bmax of 313 fmol/mg protein. The addition of 100 μM GTP diminished both the affinity of agonist radioligand for A2 adenosine binding sites and the total binding, resulting in kd and Bmax values of 28.6 nM and 185 fmol/mg of protein. Adenosine ligands competed for [3H]CGS21680 with the expected potency order. The adenosine antagonist [3H]XAC (8-[4-[[[[(2-aminoethyl)-amino)carbonyl]methyl]oxy]phenyl]-1,3-dipropylxanthine), although A1-selective in the rat, binds to human striatal A2 receptors with high affinity. 25 NM CPX (8-cyclopentyl-1,3-dipropylxanthine), an A1-selective antagonist, was added to the incubation medium and effectively eliminated 91% of [3H]XAC (1 nM) binding to human A1 receptors, yet preserved 90% of binding to A2 receptors. [3H]XAC exhibited saturable, specific binding (50% of total) to A2 sites with a kd of 2.98 nM and a Bmax of 0.71 pmol/mg protein (25°, non-specific binding defined with 100 μM NECA). The potency order for antagonists against 1 nM [3H]XAC was CGS15943A > XAC = PD115,199 > PAPA-XAC > CPX > HTQZ = XCC = CP-66,713 > theophylline = caffeine, indicative of an A2-type binding site. A2a-receptors were found to be present in the human cortex, albeit at a much lower d. than in the striatum. Photoaffinity labeling using 125I-PAPA-APEC revealed a mol. weight of 45 K, but proteolytic cleavage was observed, resulting in fragments of MW 43 K and 37 K. In the absence of proteolytic inhibitors the 37 K fragment, which still bound 125I-PAPA-APEC, was predominant.
IT 53296-10-9, CV1808
RL: BIOL (Biological study)
(CGS21680 binding to human striatal adenosine A2 receptors response to)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

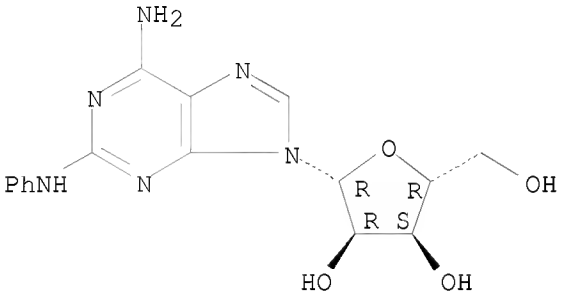
L4 ANSWER 109 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1992:121533 CAPLUS
DN 116:121533
OREF 116:20337a,20340a
TI Adenosine receptor-induced cAMP changes in D384 astrocytoma cells and the effect of bradykinin thereon
AU Altiok, Nedret; Balmforth, A. J.; Fredholm, B. B.
CS Dep. Pharmacol., Karolinska Inst., Stockholm, S-104 01, Swed.
SO Acta Physiologica Scandinavica (1992), 144(1), 55-63
CODEN: APSCAX; ISSN: 0001-6772
DT Journal
LA English
AB In human D384 astrocytoma cells, cAMP accumulation can be conveniently studied after labeling of the ATP pool (15 fmol/cell) with [3H]adenine. In this study, adenosine had a biphasic effect on cAMP accumulation, which was scarcely altered by blocking adenosine uptake and metabolism Low concns. of adenosine led to an inhibition of cAMP accumulation, and higher concns. led to stimulation. No effect of adenosine on cAMP was observed unless phosphodiesterase was inhibited by rolipram. The A1 receptor antagonist dipyridamole-1,3-dipropyl-8-cyclopentyl xanthine attenuated the inhibitory phase of adenosine response, and enhanced the cAMP accumulation induced by adenosine analogs. The cAMP accumulation was stimulated by NECA > ADO > CGS 21680 > CV 1808 > N6-cyclopentyladenosine ≥ N6-cyclohexyladenosine, indicating mediation by A2 receptors. The stimulatory effect of NECA was much more effectively blocked by the combined A1 and A2 receptor antagonist CGS 15943 (KB 4 nmol/L) than by the A1 antagonist DPCPX (KB 110 nmol/L). Treatment of the cells with pertussis toxin (0.2 μg/mL for 2.5 h) potentiated the cAMP response to adenosine analogs. The cAMP response to NECA was enhanced by the protein kinase C activator phorbol dibutyrate even after pertussis toxin treatment. By contrast, nanomolar concns. of bradykinin, which increases Ca2+-levels and protein kinase C activity in D384 cells, reduced NECA-induced cAMP accumulation in control and pertussis toxin-treated cells. Thus, D384 cells possess both A1 and A2 adenosine receptors influencing cAMP in opposite directions. A2 receptor-mediated cAMP accumulation can be stimulated by activating protein kinase C and inhibited by raising Ca2+. Neither the effects of protein kinase C

activation nor those of bradykinin required pertussis toxin-sensitive G-proteins.

IT 53296-10-9, CV 1808
RL: BIOL (Biological study)
(cAMP accumulation response to, in astrocytoma cell, mechanism for)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

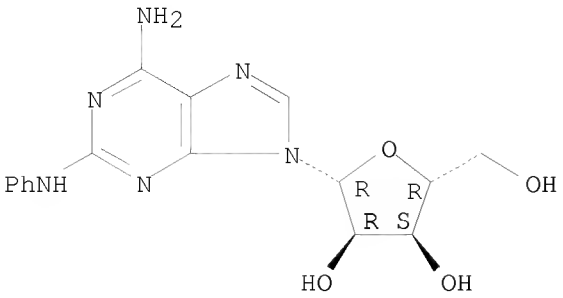
Absolute stereochemistry.



OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L4 ANSWER 110 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1992:76245 CAPLUS
DN 116:76245
OREF 116:12755a,12758a
TI Receptor binding at two different temperatures to discriminate agonist and antagonist behavior of adenosine A1 receptor ligands in rat brain
AU Borea, Pier Andrea; Varani, Katia; Malaguti, Valeria; Gilli, Gastone
CS Ist. Farmacol., Univ. Ferrara, Ferrara, 44100, Italy
SO Journal of Pharmacy and Pharmacology (1991), 43(12), 866-8
CODEN: JPPMAB; ISSN: 0022-3573
DT Journal
LA English
AB The inhibitory binding consts. Ki, at the adenosine A1 receptor in rat brain have been measured at 0 and 25° for 25 typical ligands. The Ki ratios at the 2 temps. are greater and smaller than unity for adenosine agonists and xanthine antagonists, resp. These results suggest that 2-temperature measurements of in-vitro Ki consts. represent a simple method of discriminating between in-vivo agonistic and antagonistic behavior of A1 adenosine receptor ligands.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: BIOL (Biological study)
(receptor binding of, in brain, temperature effect on)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



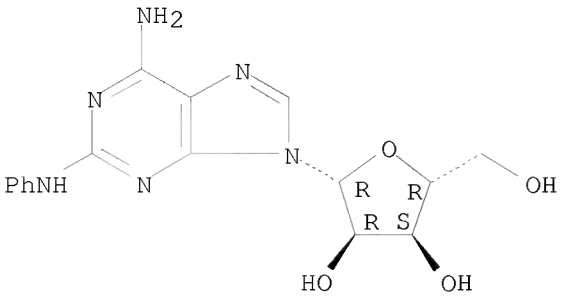
OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 111 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1992:34585 CAPLUS
DN 116:34585
OREF 116:5737a,5740a
TI Methods for treatment of alcohol intoxication and dependence
IN Diamond, Ivan F.; Gordon, Adrienne S.
PA USA
SO Can. Pat. Appl., 24 pp.
CODEN: CPXXEB
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CA 2029581	A1	19910510	CA 1990-2029581	19901108
	US 5069895	A	19911203	US 1989-434066	19891109
	EP 431758	A2	19910612	EP 1990-312252	19901108
	EP 431758	A3	19920115		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE
PRAI US 1989-434066 A 19891109
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
AB Alc.-related disorders are treated by the administration of adenosine antagonists and adenosine agonists to a host. Adenosine antagonists are used to inhibit both acute intoxication and chronic dependence by administering prior to alc. consumption. The symptoms associated with alc. withdrawal syndrome may be treated by administering adenosine agonists which reduce the physiol. dependence on alc. during the withdrawal period. Acute exposure to EtOH increased the concentration of extracellular adenosine which then activated adenosine A2 receptors to increase intracellular cAMP levels. Accumulation of extracellular adenosine was required for the development of chronic EtOH-induced heterologous desensitization of receptor-stimulated cAMP production Extracellular adenosine accumulation was greater in lymphocytes of alcoholics than in lymphocytes of nonalcoholics. After chronic exposure to 100 mM EtOH for 24 h, rechallenge with EtOH did not increase extracellular adenosine in lymphocytes from nonalcoholics whereas it caused a 73% increase in lymphocytes from alcoholics.
IT 53296-10-9
RL: BIOL (Biological study)
(as adenosine agonist, for ethanol withdrawal syndrome treatment)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

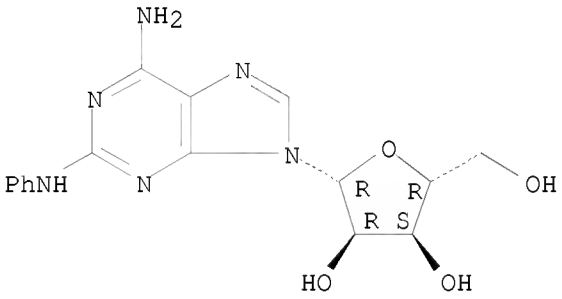
L4 ANSWER 112 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1992:34525 CAPLUS
DN 116:34525
OREF 116:5729a,5732a
TI Comparative pharmacology of the nitrobenzylthioguanosine-sensitive and -resistant nucleoside transport mechanisms of Ehrlich ascites tumor cells
AU Hammond, James R.
CS Dep. Pharmacol. Toxicol., Univ. West. Ontario, London, ON, N6A 5C1, Can.
SO Journal of Pharmacology and Experimental Therapeutics (1991), 259(2), 799-807
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB A variety of nucleoside transport inhibitors and substrates were compared for their capacities to inhibit the zero-trans influx of [3H]uridine in Ehrlich ascites tumor cells. ATP-depleted cells accumulated [3H]uridine primarily by facilitated diffusion (Vmax = 16 pmol/s/μL cell water) via both nitrobenzylthioguanosine (NBTGR)-sensitive (IC50 = 0.53 nM, 100 μM [3H]uridine) and NBTGR-resistant (IC50 = 71 μM, 100 μM [3H]uridine) mechanisms with uridine KM ests. of 99 and 284 μM, resp. Dilazep also distinguished between the transporter subtypes with IC50 values of 14 nM and 1.8 μM, resp., for inhibiting 100 μM [3H]uridine influx. Incubation of cells with 50 mM NBTGR allowed the selective study of inhibitor effects on NBTGR-resistant [3H]uridine influx. Dipyridamole, cyclopentyladenosine, 2-phenylaminoadenosine, etoposide, teniposide, diazepam, chlordiazepoxide, triazolam and the lidoflazine derivative R75231, were less potent as inhibitors of NBTGR-resistant influx, when compared with their capacities to inhibit the total mediated influx [3H]uridine. In contrast, 2-fluoroadenosine, 2-chloroadenosine, 5'-N-ethylcarboxamidoadenosine and soluflazine were relatively more effective as inhibitors of the NBTGR-resistant component. Mioflazine, a compound related to both soluflazine and R75231, did not distinguish between transporter subtypes. The NBTGR-resistant transporter also had a distinctive substrate specificity; guanosine, 2'-deoxyguanosine, cytidine and 2'-deoxycytidine were less effective as inhibitors of NBTGR-resistant [3H]uridine influx. These results show that the NBTGR-sensitive and -resistant nucleoside transporters of Ehrlich cells have distinctive pharmacol. profiles that extend beyond the well-characterized differential affinities for dilazep and S6-thiopurine derivs., and that relatively minor modifications in mol. structure have a significant impact on

transporter selectivity. Further structure activity studies are clearly warranted, and may lead to the development of more selective inhibitors for the NBTGR-resistant nucleoside transport system.

IT 53296-10-9, CV-1808
RL: BIOL (Biological study)
(nucleoside transport system response to, in Ehrlich ascites tumor cells, nitrobenzylthioguanosine sensitivity in)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



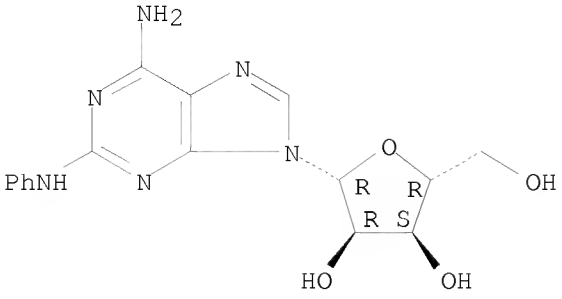
OSC.G 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

L4 ANSWER 113 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1992:6886 CAPLUS
DN 116:6886
OREF 116:1363a,1366a
TI An efficient synthesis of 2-(phenylamino)adenosine [CV-1808], an adenosine A2 receptor selective agonist
AU Trivedi, Bharat K.
CS Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res. Div., Ann Arbor, MI, 48105, USA
SO Nucleic Acid Chem. (1991), 264-8. Editor(s): Townsend, Leroy B.; Tipson, R. Stuart. Publisher: Wiley, New York, N. Y.
CODEN: 39GCA6
DT Conference
LA English
OS CASREACT 116:6886
AB A five-step process for synthesizing title CV-1808 from com. available guanosine is reported. A key step is the conversion of guanosine 2',3',5'-triacetate into 2-bromoinosine 2',3',5'-triacetate by using CHBr3 and an alkyl nitrite.

IT 53296-10-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

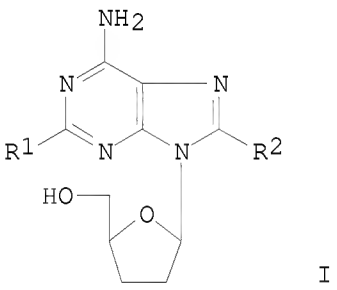
Absolute stereochemistry.



L4 ANSWER 114 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1991:680484 CAPLUS
DN 115:280484
OREF 115:47683a,47686a
TI Preparation of 8-hydroxy-2',3',-dideoxyadenosine as an antiviral
IN Nair, Vasu; Buenger, Greg S.
PA University of Iowa Research Foundation, USA
SO U.S., 6 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5013829	A	19910507	US 1989-343334	19890426
PRAI	US 1989-343334		19890426		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
GI

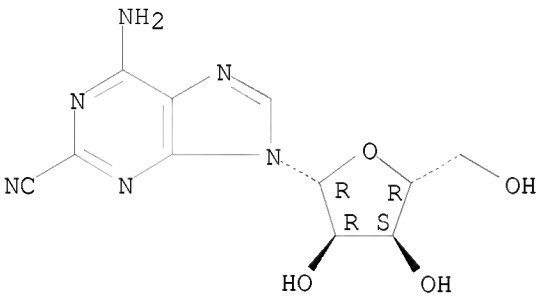


I

AB Many intermediates [I; R1, R2 = cyano, H; COHN2, H; Et, H, H, OMe; etc.] for the title compound [I; R1 = H, R2 = OH] (II) stable against deamination and hydrolytic cleavage of the glycosidic bond, an antiviral especially useful for the treatment of AIDS (no data) were prepared E.g., a solution of 8-bromo-2'-deoxyadenosine in MeOH containing MeONa was refluxed for 20 to give 55% 2'-deoxy-8-methoxyadenosine, which was converted to 2',3'-dideoxy-8-methoxyadenosine via formation of 2'-deoxy-3'-O-(1-imidazolylthiocarbonyl)-5'-O-(tert-butyldimethylsilyl)adenosine, deoxygenation, and desilylation (detailed procedures not given). The conversion into II is not illustrated.

IT 79936-11-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for stable antivirals)
RN 79936-11-1 CAPLUS
CN Adenosine, 2-cyano- (9CI) (CA INDEX NAME)

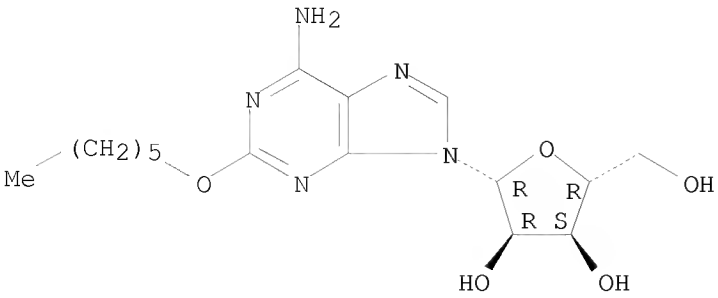
Absolute stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

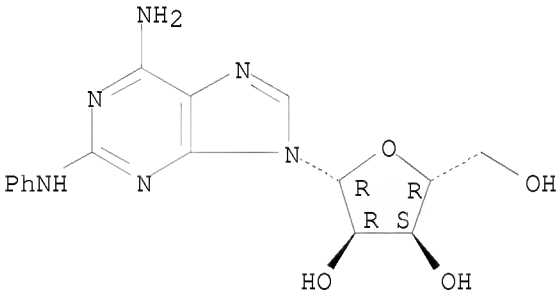
L4 ANSWER 115 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1991:652834 CAPLUS
DN 115:252834
OREF 115:42921a,42924a
TI Cardiovascular actions of adenosines, but not adenosine receptors, differ in rat and guinea pig
AU Ueeda, Masayuki; Thompson, Robert D.; Padgett, William L.; Secunda, Sherrie; Daly, John W.; Olsson, Ray A.
CS Dep. Intern. Med. Biochem. Mol. Biol., Univ. South Florida, Tampa, FL, 33612, USA
SO Life Sciences (1991), 49(18), 1351-8
CODEN: LIFSAK; ISSN: 0024-3205
DT Journal
LA English
AB The structure-activity relationships of 16 analogs at the A1 and A2 adenosine receptors (A1AR, A2AR) of rat and guinea pig were compared. Radioligand binding studies revealed no marked differences in the affinities of each analog at the A2AR of brain cortex or the A2AR of brain striatum. Bioassay employing Langendorff heart prepns. showed that the guinea pig is more sensitive than the rat to A1AR-mediated slowing of conduction through the atrioventricular node and, in some instances, to A2AR-mediated coronary vasodilation. This difference could reflect factors such as receptor d. or efficacy of coupling to effector systems.
IT 50257-95-9, 2-Hexyloxyadenosine 53296-10-9
RL: BIOL (Biological study)
(brain adenosine A1 and A2 receptors binding of and cardiovascular action of, in guinea pig and rat)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

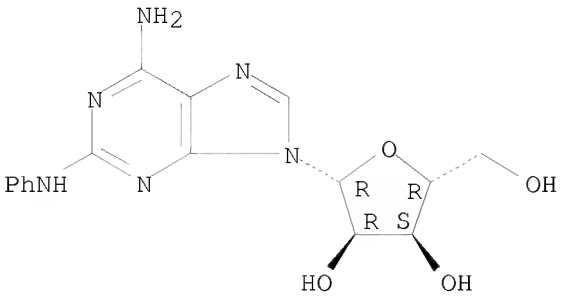
Absolute stereochemistry.



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 116 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1991:651461 CAPLUS
DN 115:251461
OREF 115:42649a,42652a
TI Modulation of [3H]nitrobenzylthioinosine binding kinetics
AU Hammond, James R.
CS Dep. Pharmacol. Toxicol., Univ. West. Ontario, London, ON, N6A 5C1, Can.
SO Nucleosides & Nucleotides (1991), 10(5), 1103-6
CODEN: NUNUD5; ISSN: 0732-8311
DT Journal
LA English
AB Inhibitors and substrates of the nucleoside transporter of Ehrlich cell membrane were tested for their effects on the kinetics of [3H]nitrobenzylthioinosine binding. Results are discussed in terms of a distinct site mediating the allosteric modulation of [3H]nitrobenzylthioinosine-binding affinity.
IT 53296-10-9, CV-1808
RL: ANST (Analytical study)
(nitrobenzylthioinosine binding kinetics in mammalian cell membrane response to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 117 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1991:624462 CAPLUS
DN 115:224462
OREF 115:38075a,38078a
TI Adenosine and ATP produce vasoconstriction in the feline pulmonary vascular bed by different mechanisms
AU Neely, Constance Fisher; Haile, Daniel M.; Cahill, Bruce E.; Kadowitz, Philip J.
CS Sch. Med., Univ. Pennsylvania, Philadelphia, PA, 19104, USA
SO Journal of Pharmacology and Experimental Therapeutics (1991), 258(3), 753-61
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB Adenosine and ATP produce dose- and tone-dependent responses in the feline pulmonary vascular (PV) bed. The mechanisms mediating vasoconstrictor (VC) responses to adenosine and ATP in the intact-chest, spontaneously breathing cats under conditions of controlled blood flow and constant left atrial pressure were studied. The order of potency of adenosine receptor agonists to produce VC in the PV bed was the selective adenosine A1 receptor agonist R-phenylisopropyladenosine > the mixed A1, A2 receptor agonist adenosine > the selective adenosine A2 receptor agonist 2-phenylaminoadenosine. The dose-related increase in lobar arterial pressure in response to adenosine was blocked by the adenosine (P1) receptor antagonist BWA1433U, the cyclooxygenase inhibitor meclofenamate, and the TXA2 receptor antagonist SQ29548. The order of potency of ATP analogs to produce VC in the PV bed was α,β-methylene ATP (α,β-meATP) » β,τ-methylene ATP > ATP. BWA1433U inhibited VC responses to ATP without affecting the responses to its degradation-resistant analogs β,τ-methylene ATP and α,β-meATP. In the presence of BWA1433U and a continuous intralobar infusion of the selective 5'-nucleotidase inhibitor α,β-methyleneadenosine-5'-diphosphate, ATP VC responses were enhanced compared to those after BWA1433U. α,β-Methyleneadenosine-5'-diphosphate had no effect on the VC response to U44069 after BWA1433U. Meclofenamate inhibited the vasoconstrictor responses to ATP but not to α,β-meATP. Repeated injections of α,β-meATP produced selective inhibition of the VC responses to ATP without affecting VC responses to adenosine, norepinephrine, or angiotensin II. By using this technique to desensitize P2x receptors, subsequent injections of ATP blocked the VC responses to adenosine. Adenosine may produce VC in the feline PV bed by acting on an

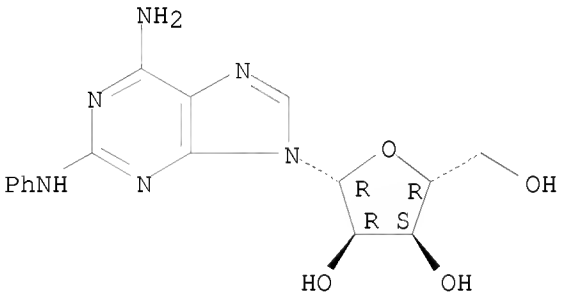
adenosine A1-'like' receptor coupled to a phospholipase which causes the release of TXA2. ATP may produce VC following its metabolism to adenosine but also by acting on the specific ATP receptor, P2x not coupled to a phospholipase.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BIOL (Biological study)
(pulmonary vasoconstriction from, receptor mechanism of)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 16 THERE ARE 16 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

L4 ANSWER 118 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1991:536628 CAPLUS

DN 115:136628

OREF 115:23451a,23454a

TI An efficient synthesis of 2-(phenylamino)adenosine [CV-1808]: an adenosine A2 receptor selective agonist.

AU Trivedi, Bharat K.

CS Dep. Chem., Warner-Lambert/Parke-Davis Res. Div., Ann Arbor, MI, 48105, USA

SO Nucleic Acid Chem. (1991), Volume 4, 264-8. Editor(s): Townsend, Leroy B.; Tipson, R. Stuart. Publisher: Wiley, New York, N. Y. CODEN: 39GCA6

DT Conference

LA English

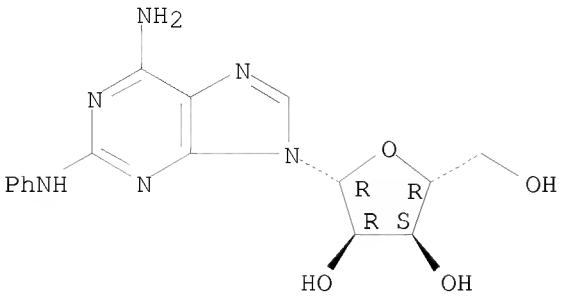
AB A five-step process for synthesizing CV-1808 from com. available guanosine is reported. A key step is the conversion of guanosine 2',3',5'-triacetate by using CHBr3 and an alkyl nitrite.

IT 53296-10-9P, 2-Phenylaminoadenosine
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

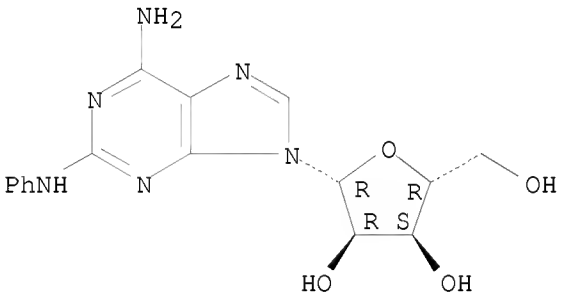
L4 ANSWER 119 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1991:487624 CAPLUS
DN 115:87624
OREF 115:14955a,14958a
TI Kinetic analysis of ligand binding to the Ehrlich cell nucleoside transporter: pharmacological characterization of allosteric interactions with the [3H]nitrobenzylthioinosine binding site
AU Hammond, James R.
CS Dep. Pharmacol. Toxicol., Univ. West. Ontario, London, ON, N6A 5C1, Can.
SO Molecular Pharmacology (1991), 39(6), 771-9
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English
AB Kinetic anal. of the binding of [3H]nitrobenzylthioinosine ([3H]NBMPR) to Ehrlich ascites tumor cell plasma membranes was conducted in the presence and absence of a variety of nucleoside transport inhibitors and substrates. The association of [3H]NBMPR with Ehrlich cell membranes occurred in two distinct phases, possibly reflecting functional conformation changes in the [3H]NBMPR binding site/nucleoside transporter complex. Inhibitors of the equilibrium binding of [3H]NBMPR, tested at submaximal inhibitory concns., generally decreased the rate of association of [3H]NBMPR, but the magnitude of this effect varied significantly with the agent tested. Adenosine and diazepam had relatively minor effects on the association rate, whereas dipyridamole and mioflazine slowed the rate dramatically. Inhibitors of nucleoside transport also decreased the rate of dissociation of [3H]NBMPR, with an order of potency different from their relative potencies as inhibitors of the equilibrium binding of [3H]NBMPR. Dilazep, dipyridamole, and mioflazine were effective inhibitors of both [3H]NBMPR dissociation and equilibrium binding. The lidoflazine analog R75231, on the other hand, had no effect on the rate of dissociation of [3H]NBMPR at concns. below 300 μM, even though it was one of the most potent inhibitors of [3H]NBMPR binding tested (Ki < 100 nM). In contrast, a series of natural substrates for the nucleoside transport system enhanced the rate of dissociation of [3H]NBMPR with an order of effectiveness that paralleled their relative affinities for the permeant site of the transporter. The most effective enhancers of [3H]NBMPR dissociation, however, were the benzodiazepines diazepam, chlordiazepoxide, and triazolam. Comparable effects of adenosine and dipyridamole on [3H]NBMPR dissociation rate were obtained upon solubilization of the membranes with octylglucoside, suggesting that this phenomenon was not due to changes in membrane fluidity. These results are compatible with the existence of

specific ligand recognition sites on the nucleoside transport complex of Ehrlich cells that are pharmacol. distinct from, but allosterically linked to, the high affinity binding sites for [3H]NBMPR. The marked effects on [3H]NBMPR binding kinetics that result from ligand interactions with these sites must be considered in the design and anal. of all studies involving the use of [3H]NBMPR as a high affinity probe for the nucleoside transport system.

IT 53296-10-9, CV-1808
RL: BIOL (Biological study)
(nucleoside transport system binding of nitrobenzylthioinosine response to)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

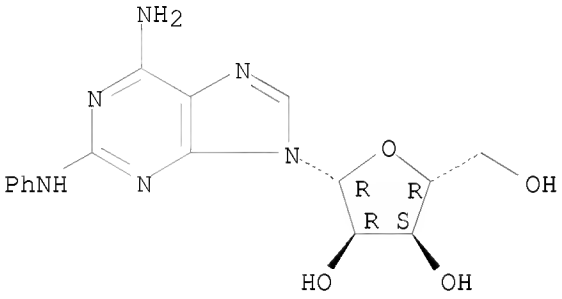


OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)

L4 ANSWER 120 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1991:442471 CAPLUS
DN 115:42471
OREF 115:7225a,7228a
TI The antinociceptive effect of intrathecally administered adenosine analogs in mice correlates with the affinity for the A1-adenosine receptor
AU Karlsten, Rolf; Post, Claes; Hide, Izumi; Daly, John W.
CS Dep. Anesthesiol., Univ. Hosp., Uppsala, S-751 85, Swed.
SO Neuroscience Letters (1991), 121(1-2), 267-70
CODEN: NELED5; ISSN: 0304-3940
DT Journal
LA English
AB The antinociceptive effects after intrathecal injection of each of 6 N6-substituted adenosine analogs and of 2-phenylaminoadenosine were compared with the affinity for the A1- and A2-adenosine receptors. Adenosine analogs, substituted in the N6-position, had stereoselective structure-dependent antinociceptive effects in the tail flick and hot plate assays after intrathecal injection in mice. The antinociceptive activity for N6-R- and S-phenylisopropyladenosine, N6-R- and S-1-phenylethyladenosine, N6-1,1-dimethyl-2-phenylethyladenosine, and N6-cyclooctyladenosine correlated with the affinity for central A1-adenosine receptors. An adenosine analog, 2-phenylaminoadenosine, selective for A2-adenosine receptors was inactive in the 2 tests. These results strongly suggest that spinal A1-adenosine receptors are responsible for the antinociceptive effects of adenosine and its analogs after intrathecal injection.

IT 53296-10-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(analgesic action of, after intrathecal administration, affinity for A1 adenosine receptors in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 25 THERE ARE 25 CAPLUS RECORDS THAT CITE THIS RECORD (25 CITINGS)

L4 ANSWER 121 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1991:241169 CAPLUS
DN 114:241169
OREF 114:40541a,40544a
TI Relaxant effects of adenosine analogs on guinea pig trachea in vitro: xanthine-sensitive and xanthine-insensitive mechanisms
AU Brackett, L. E.; Daly, J. W.
CS Lab. Bioorg. Chem., Natl. Inst. Diabetes, Dig. Kidney Dis., Bethesda, MD, 20892, USA
SO Journal of Pharmacology and Experimental Therapeutics (1991), 257(1), 205-13
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB Adenosine analogs were tested for their ability to relax carbachol-contracted trachea in vitro. The rank order of potency was: 5'-N-ethylcarboxamidoadenosine (NECA) > 2-chloroadenosine (2-ClADO) > 5'-chloroadenosine = N6-R-1-phenyl-2-propyladenosine (R-PIA) > N6-cyclohexyladenosine > 2-phenylaminoadenosine (CV1808) > 5'-methylthioadenosine (MTA). The rank order of potency for NECA, 2-ClADO and R-PIA is characteristic of an A2 subtype of adenosine receptor. 8-Para-sulfophenyltheophylline (8-p-ST) and 8-cyclopentyl-1,3-dipropylxanthine (DPCPX), were used to antagonize tracheal relaxation elicited by adenosine analogs. 8-p-ST antagonized the 2-ClADO, N6-cyclohexyladenosine, R-PIA and 5'-chloroadenosine responses, but had little or no effect on the CV1808 and MTA responses. 8-P-ST antagonized responses to NECA at concns. of NECA up to .apprx.30 μM, but had no effect on responses to higher concns. of NECA. The differences in antagonist potency of 8-p-ST and the clear biphasic response of NECA are indicative of at least 2 mechanisms of adenosine analog action leading to tracheal relaxation. One mechanism is mediated through a xanthine-sensitive site, at which NECA acted in a potent manner, whereas

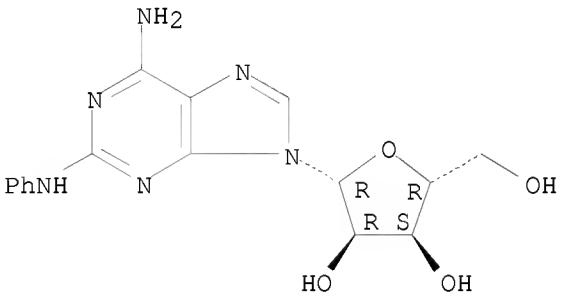
the other mechanism or mechanisms are insensitive to blockade by xanthines and account for the effects of action of MTA and CV1808, as well for NECA at high concns. The low potency of the A1-selective antagonist DPCPX indicates that the xanthine-sensitive site is an A2 type receptor. MTA is known to be an antagonist at A2-adenosine receptors that stimulate adenylate cyclase activity, yet MTA did not antagonize the NECA-induced relaxation of trachea. Thus, the A2-type adenosine receptors in smooth muscle appear different from the A2-adenosine receptors that are linked to adenylate cyclase in other tissues.

IT 53296-10-9, CV1808
RL: BIOL (Biological study)
(trachea relaxation induction by, xanthine-sensitive and -insensitive mechanisms for)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

L4 ANSWER 122 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1991:240324 CAPLUS

DN 114:240324

OREF 114:40361a,40364a

TI The antihypertensive effect of 2-alkynyladenosines and their selective affinity for adenosine A2 receptors

AU Abiru, Toichi; Yamaguchi, Toyofumi; Watanabe, Yohko; Kogi, Kentaro; Aihara, Kazuyuki; Matsuda, Akira

CS Res. Dev. Div., Yamasa Shoyu Co., Ltd., Choshi, 288, Japan

SO European Journal of Pharmacology (1991), 196(1), 69-76
CODEN: EJPHAZ; ISSN: 0014-2999

DT Journal

LA English

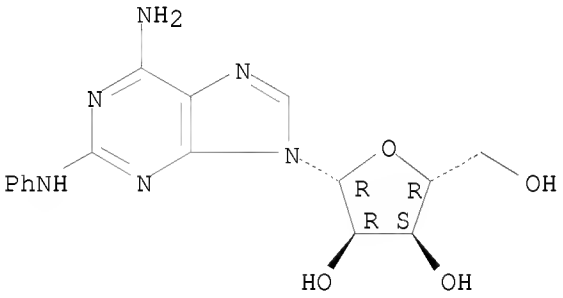
AB The affinity for adenosine receptors and the antihypertensive effects of nine adenosine derivs., especially the alkynyl compds. 2-hexynyladenosine (2-H-Ado) and 2-octynyladenosine (2-O-Ado), was studied. The order of decreasing affinity of the agonists tested for rat brain A1 receptors was N6-cyclopentyladenosine (CPA) > N6-cyclohexyladenosine (CHA) > N6-R-phenylisopropyladenosine (R-PIA) > 2-chloroadenosine (CADO) = 5'-N-ethylcarboxamidoadenosine (NECA) > N6-S-phenylisopropyladenosine (S-PIA) > 2-H-Ado > 2-phenylaminoadenosine (CV-1808), and that for A2 receptors was 2-H-Ado > 2-O-Ado = NECA > CADO > CV-1808 > R-PIA > CPA > CHA > S-PIA. The Ki values of 2-H-Ado and 2-O-Ado for inhibiting [3H] NECA binding to A2 receptors were 4.1 and 12.1 nM, resp., and those for

[3H]CHA binding to A1 receptors were 146 and 211 nM, resp.: the affinity of 2-H-Ado and 2-O-Ado for A2 receptors was about 36- and 17-fold, resp., higher than their affinity for A1 receptors. Injection of 2-H-Ado and 2-O-Ado (0.03-100 μg/kg) decreased the blood pressure of anesthetized, spontaneously hypertensive rats (SHR). A slight decrease in heart rate was observed after i.v. injection of 100 μg 2-H-Ado and 2-O-Ado/kg. A potent and long-lasting antihypertensive effect was also observed after oral administration of 2-H-Ado and 2-O-Ado to conscious SHR. These results show that 2-H-Ado and 2-O-Ado are potent and selective adenosine A2 receptor agonists; these agents lower blood pressure after oral administration but are less effective in decreasing heart rate.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BIOL (Biological study)
(antihypertensive activity and purinergic A2 receptor affinity of)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

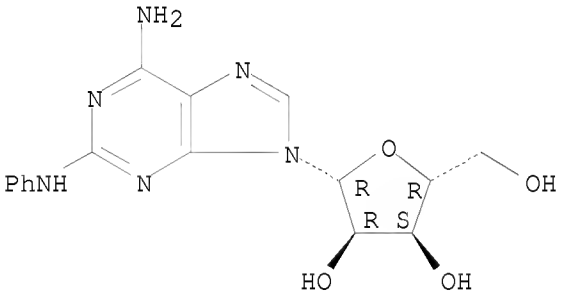
L4 ANSWER 123 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1991:240169 CAPLUS
DN 114:240169
OREF 114:40325a,40328a
TI Adenosine and 2-phenylaminoadenosine (CV-1808) inhibit human neutrophil bactericidal function
AU Hardart, G. E.; Sullivan, G. W.; Carper, H. T.; Mandell, G. L.
CS Dep. Med., Univ. Virginia, Charlottesville, VA, 22908, USA
SO Infection and Immunity (1991), 59(3), 885-9
CODEN: INFIBR; ISSN: 0019-9567
DT Journal
LA English
AB Adenosine is a natural autocoid and immunomodulator that serves an anti-inflammatory role. Stimulation of polymorphonuclear neutrophils (PMN) with soluble stimuli has been shown to inhibit the PMN oxidative burst. The authors examined the effects of adenosine and the adenosine analog 2-phenylaminoadenosine (CV-1808) on PMN bactericidal function. Adenosine (10 mM) and CV-1808 (10 to 100 μM) inhibited PMN killing of Staphylococcus aureus. There were more surviving bacteria after 240 min of incubation of PMN with S. aureus and adenosine (10 mM) or CV-1808 (100 μM) (254 and 739% of control, resp.) than there were in the control. In contrast, inosine (10 mM), the major degradation product of adenosine, did not affect killing. Adenosine and CV-1808 did not alter cell association of

S. aureus, but S. aureus-activated PMN superoxide release was decreased by adenosine (10 μM) and CV-1808 (10 μM) to 67 and 32% that of the control, resp. Since adenosine inhibited PMN bactericidal function only at .apprx.10,000 times peak physiol. concns., endogenous adenosine levels would not be expected to adversely affect PMN bactericidal function. On the other hand, pharmacol. concns. of adenosine derivs. may decrease the oxidative burst and killing sufficiently to increase host susceptibility to infection.

IT 53296-10-9, CV 1808
RL: BIOL (Biological study)
(polymorphonuclear neutrophils of humans bactericidal function inhibition by)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

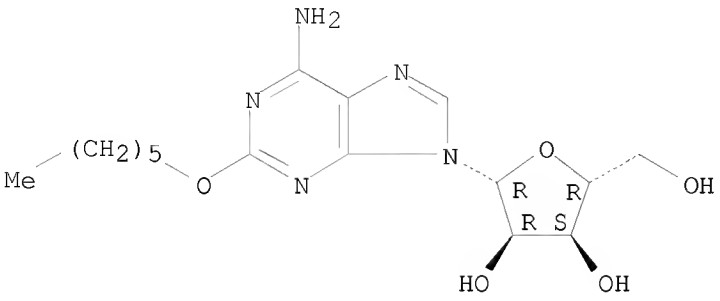


OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L4 ANSWER 124 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1991:185902 CAPLUS
DN 114:185902
OREF 114:31415a,31418a
TI 2-Alkoxyadenosines: potent and selective agonists at the coronary artery A2 adenosine receptor
AU Ueeda, Masayuki; Thompson, Robert D.; Arroyo, Luis H.; Olsson, Ray A.
CS Dep. Intern. Med., Univ. South Florida, Tampa, FL, 33612, USA
SO Journal of Medicinal Chemistry (1991), 34(4), 1334-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 114:185902
AB A Langendorff guinea pig heart preparation served for the assay of agonist activity of a series of 24 2-alkoxyadenosines at the A1 and A2 adenosine receptors of, resp., the atrioventricular node (conduction block) and coronary arteries (vasodilation). Activities are low at the A1 receptor and do not show a clear relationship to the size or hydrophobicity of the C(2) substituent. All the analogs are more potent at the A2 receptor, activity varying directly with the size and hydrophobicity of the alkyl group. The most potent analog in this series, 2-(2-cyclohexylethoxy)adenosine, has an EC50 of 1 nM for coronary vasodilation and is 8700-fold selective for the A2 receptor.
IT 50257-95-9P, 2-(Hexyloxy)adenosine
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and adenosine receptor agonist activity of)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



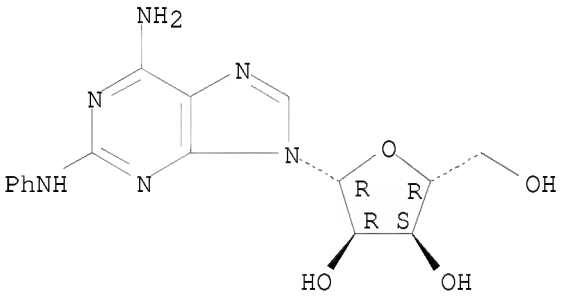
OSC.G 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)

L4 ANSWER 125 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1991:39747 CAPLUS
DN 114:39747
OREF 114:6883a,6886a
TI Characterization of adenosine A1 receptors in intact DDT1 MF-2 smooth muscle cells
AU Gerwins, P.; Nordstedt, C.; Fredholm, B. B.
CS Dep. Pharmacol., Karolinska Inst., Stockholm, S-104 01, Swed.
SO Molecular Pharmacology (1990), 38(5), 660-6
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English
AB Adenosine receptors in the smooth muscle cell line DDT1 MF-2 were studied by radioligand binding using the A1 receptor-selective antagonist [3H]8-cyclopentyl-1,3-dipropylxanthine ([3H]DPCPX) as the ligand. Binding characteristics were similar in intact cells and in membranes (KD value of .apprx.1 nM). The maximum binding amounted to 183 fmol/106 intact cells or 344 fmol/mg of membranes. To characterize the receptor, competition expts. were performed by inhibiting [3H]DPCPX binding with several adenosine agonists and antagonists. Adenosine receptor antagonists appeared to bind to a single class of binding site, both in membranes and intact cells. The order of potency was DPCPX = CGS 15943A > 8-cyclopentyl-1,3-dimethylxanthine > 8-(p-sulfophenyl)-theophylline > 3-isobutyl-1-methylxanthine > theophylline. Competition curves with adenosine agonists in membranes were best described by a 2-site rather than a 1-site model. At equilibrium in intact cells, only a single site was detected at both 4° and 25°. However, short term incubations (1-4 min) at 25° showed biphasic binding curves in intact cells. The equilibrium KD values for intact cells were similar to the low affinity KD values in membranes (KL). The order of potency was N6-cyclopentyladenosine ≥ (-)-(R)-N6-phenylisopropyladenosine[(R)-PIA] ≥ N6-cyclohexyl adenosine > 5'-N-ethylcarboxamidoadenosine NECA > 2-chloroadenosine > adenosine (intact cells only) > 2-phenylaminoadenosine (CV 1808). Treatment of cells with pertussis toxin ADP-ribosylated GTP-binding proteins and eliminated the high-affinity agonist binding in membranes but did not affect binding to intact cells.

The addition of GTP (100 μM) also shifted the competition curves from bi- to monophasic curves in membranes. Adenosine receptor agonists inhibited the formation of cAMP induced by isoprenaline (IC50 for (R)-PIA, 0.4 nM). This inhibition could be prevented with adenosine receptor antagonists. Pretreatment with pertussis toxin also reversed these effects and actually revealed functional A2 receptors, as shown by the formation of cAMP induced by NECA. In conclusion, the equilibrium binding of A1 receptor agonists to intact smooth muscle cells is similar to the low affinity binding observed in membranes. In addition, it is suggested that agonists may transiently convert the A1 receptor from a resting low-affinity state to a high-affinity state coupled to a GTP-binding protein. DDT1 MF-2 cells should prove useful for studying regulation of A1 receptor signalling in intact cells.

IT 53296-10-9
RL: BIOL (Biological study)
(adenosine A1 receptor binding by, in smooth muscle cells)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



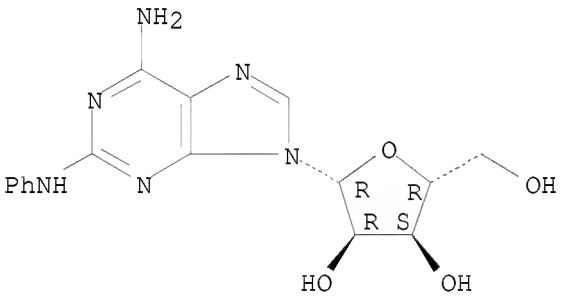
OSC.G 23 THERE ARE 23 CAPLUS RECORDS THAT CITE THIS RECORD (24 CITINGS)

L4 ANSWER 126 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1990:604633 CAPLUS
DN 113:204633
OREF 113:34369a,34372a
TI Hemodynamic effects of adenosine agonists in the conscious spontaneously hypertensive rat
AU Webb, R. L.; McNeal, R. B., Jr.; Barclay, B. W.; Yasay, G. D.
CS Pharm. Div., Ciba-Geigy Corp., Summit, NJ, 07901, USA
SO Journal of Pharmacology and Experimental Therapeutics (1990), 254(3), 1090-9
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB The hemodynamic mechanisms contributing to the reduction in blood pressure were studied in conscious spontaneously hypertensive rats after systemic administration of adenosine agonists. The effects produced by i.v. and intraarterial injections of 2-phenylaminoadenosine (CV-1808, adenosine A2 selective agonist), 5'-N-ethylcarboxamide adenosine (NECA, nonselective agonist), 2-chloroadenosine (2-CADO, A1 selective agonist), and cyclopentyladenosine (CPA, A1 selective agonist) were evaluated and compared to those of hydralazine. All agents produced hypotensive effects

after bolus i.v. injections. Although CPA, NECA, and 2-CADO elicited dose-dependent bradycardia, CV-1808 and hydralazine increased the heart rate. These effects, with the exception of hydralazine-evoked responses, were attenuated by prior treatment with 8-(p-sulfophenyl)theophylline (2 mg/kg/min), whereas both CV-1808 and hydralazine produced regional vasodilation; increases in blood flow occurred only after CV-1808 (3-30 μg/kg). The regional hemodynamic responses to NECA were more complex; low doses (0.1-1 μg/kg) produced consistent redns. in regional vascular resistance, whereas at the highest dose renal vasoconstriction occurred. Although regional vasodilation occurred after 2-CADO, mesenteric vasoconstriction was observed subsequent to CPA administration. Whereas increases renin release were evident in animals treated with CV-1808 and hydralazine, no changes occurred in response to the NECA-, 2-CADO- or CPA-induced hypotension. The predominant hemodynamic response after selective activation of A2 receptors is the regional vasodilation and hypotension leading to a reflex increase in heart rate and renin release. The reduction in arterial pressure seen after A1 receptor activation is associated primarily with a reduction in heart rate and an inhibition of renin release. NECA and 2-CADo are nonselective adenosine agonists capable of activating both A1 and A2 receptors in the conscious spontaneously hypertensive rat.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: PRP (Properties)
(hemodynamic effects of, adenosine receptors in, in hypertension)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

L4 ANSWER 127 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1990:545750 CAPLUS
DN 113:145750
OREF 113:24593a,24596a
TI Characterization of the adenosine receptor in porcine coronary arteries
AU King, A. D.; Milavec-Krizman, M.; Mueller-Schweinitzer, E.
CS Sandoz Pharma A.-G., Basel, CH-4002, Switz.
SO British Journal of Pharmacology (1990), 100(3), 483-6
CODEN: BJPCBM; ISSN: 0007-1188
DT Journal
LA English
AB Relaxant responses of ring prepns. from porcine ventricular coronary arteries to adenosine and various stable adenosine analogs were

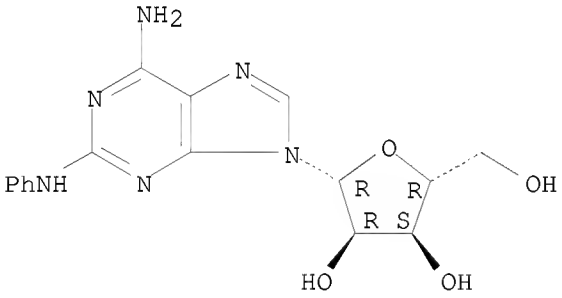
investigated in vitro. The adenosine analogs did not produce contraction but elicited almost complete relaxation of coronary arteries preconstricted with 3 μM prostaglandin F2α (PGF2α), even after removal of the endothelium. The order of potency was 5'-N-ethylcarboxamide-adenosine (NECA) > 2-(2-phenylethylamino)-5'-N-ethylcarboxamide-adenosine (2-PEA-NECA) > 2-phenylamino-adenosine (CV-1808) > N6-[R(-)-1-phenyl-2-propyl]adenosine (R-PIA) > N6-[S(+)-1-phenyl-2-propyl]adenosine (S-PIA) > N6-cyclopentyladenosine (CPA) > adenosine > ATP = ADP, which suggested the presence of adenosine A2-receptor subtypes. There was an excellent correlation between the calculated pD2 values on coronary arteries and the pKD values at adenosine A2 binding sites, whereas no correlation was obtained when the pD2 values were compared to the pKD values at adenosine A1-binding sites on membranes from porcine striata. The relaxant effects of adenosine and its analogs were competitively antagonized by 8-(p-sulfophenyl)theophylline (8-SPT), producing pA2 values similar to the resp. pKD value of the antagonist at adenosine A2 binding sites. It is suggested that the porcine coronary artery possesses adenosine A2 receptors which seem to be similar to the adenosine A2 binding site in pig striatum, whereas no evidence was obtained for the presence of adenosine A1 receptors.

IT 53296-10-9, CV-1808
RL: BIOL (Biological study)
(coronary artery relaxation induction by, purinergic A2 receptors in mediation of)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 12 THERE ARE 12 CAPLUS RECORDS THAT CITE THIS RECORD (12 CITINGS)

L4 ANSWER 128 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1990:545035 CAPLUS

DN 113:145035

OREF 113:24425a,24428a

TI Adenosine receptors and modulation of natural killer cell activity by purine nucleosides

AU Priebe, Teresa; Platsoucas, Chris D.; Nelson, J. Arly

CS M. D. Anderson Cancer Cent., Univ. Texas, Houston, TX, 77030, USA

SO Cancer Research (1990), 50(14), 4328-31
CODEN: CNREA8; ISSN: 0008-5472

DT Journal

LA English

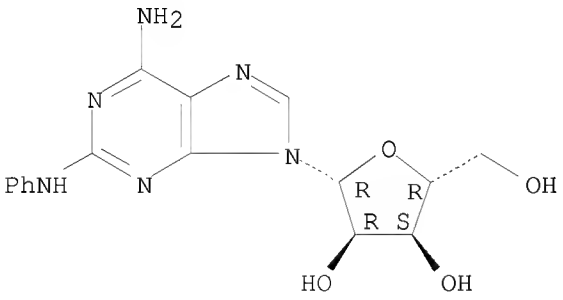
AB Natural killer (NK) cell activity is inhibited in vivo by the adenosine analog tubercidin (Tub) and stimulated by the deoxyadenosine analog 2-fluoro-1-β-D-arabinofuranosyladenine 5'-monophosphate (F-ara-AMP) in the spleen lymphocytes from mice. The inhibition by Tub and stimulation by F-ara-AMP of NK cell activity are readily demonstrable in murine and human lymphocytes exposed to the drugs in vitro. In mouse spleen lymphocytes, NK cell activity is also inhibited by adenosine receptor A2 agonists, whereas potent A1 receptor agonists are more effective stimulators. Inhibition produced by adenosine, deoxyadenosine, and adenosine receptor agonists, but not by Tub, is partially prevented by the adenosine receptor antagonist 1,3-dipropyl-8-phenylxanthine amine congener. Agents that stimulate NK cell activity (deoxyadenosine, A1 receptor agonists, F-ara-AMP) do not increase further the 1.5-fold enhancement produced by a 10-6M 1,3-dipropyl-8-phenylxanthine amine congener. The nucleoside transport inhibitor p-nitrobenzylthioinosine 5'-monophosphate has no effect on NK cell activity or intracellular ribonucleotide pools; however, it partially prevents Tub 5'-triphosphate formation, ATP depletion, and NK cell inhibition in mouse spleen cells treated with Tub. Nitrobenzylthioinosine 5'-monophosphate also partially prevents the F-ara-AMP stimulation of NK cell activity, but it does not influence the effects of adenosine or deoxyadenosine. The results obtained with the adenosine receptor agonists suggest roles for both A1 and A2 receptors in regulating murine NK cell activity. Tub inhibition of NK cell activity does not involve adenosine receptors; however, inhibition by the other agents may be mediated via an A2 receptor (stimulatory for adenylyl cyclase). Since p-nitrobenzylthioinosine 5'-monophosphate inhibited the stimulation of NK cell activity by F-ara-AMP, this stimulation may occur via an intracellular P site (inhibitory to adenylyl cyclase).

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BIOL (Biological study)
(splenocyte natural killer activity modulation by, adenosine receptors in)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

L4 ANSWER 129 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

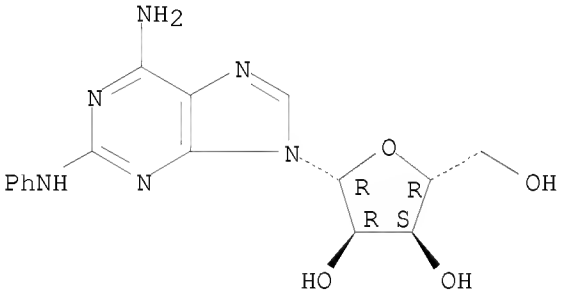
AN 1990:508747 CAPLUS

DN 113:108747

OREF 113:18193a,18196a

TI Study of the lipophilic character of xanthine and adenosine derivatives. II. Relationships between log k', RM and log P values
AU Biagi, G. L.; Guerra, M. C.; Barbaro, A. M.; Barbieri, S.; Recanatini, M.; Borea, P. A.
CS Ist. Farmacol., Univ. Bologna, Bologna, Italy
SO Journal of Liquid Chromatography (1990), 13(5), 913-27
CODEN: JLCHD8; ISSN: 0148-3919
DT Journal
LA English
AB The log k' values of a series of xanthine and adenosine derivs. were measured by reversed-phase HPLC. The HPLC data correlated with previously reported RM and RMC18 values. The equations describing the relationships log k'/RM and log k'/RMC18 allowed the calcn. of the log k' values of some compds. which were not tested in the HPLC system. Since the relationship log k'/log P is very close to the previously described relationships RM/log P and RMC18/log P, reversed-phase TLC and HPLC are very similar in describing the lipophilicity of the compds.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: PRP (Properties)
(lipophilicity of, reversed-phase HPLC in determination of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 15 THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)

L4 ANSWER 130 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1990:435339 CAPLUS
DN 113:35339
OREF 113:5913a,5916a
TI Adenosine receptors are coupled negatively to release of tachykinin(s) from enteric nerve endings
AU Christofi, F. L.; McDonald, T. J.; Cook, M. A.
CS Dep. Pharmacol. Toxicol., Univ. West. Ontario, London, ON, N6A 5C1, Can.
SO Journal of Pharmacology and Experimental Therapeutics (1990), 253(1), 290-5
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB Adenosine receptors capable of modulating tachykininergic transmission were characterized in functional studies using both field-stimulated and cholecystokinin octapeptide-stimulated contractile responses of atropinized guinea pig longitudinal muscle-myenteric plexus prepns. These

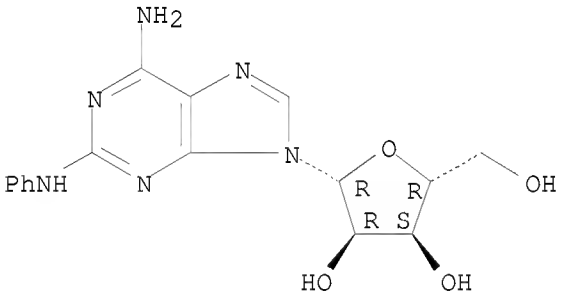
tetrodotoxin-sensitive responses, which were mediated by release of one or more tachykinins, were inhibited by adenosine analogs in a concentration-dependent manner. The rank order of potencies of the analogs as inhibitors of the responses to cholecystokinin octapeptide was: N6-cyclopentyladenosine > 5'-N-ethylcarboxamidoadenosine >> 2-phenylaminoadenosine (CV 1808). Schild anal. of the antagonism of the presynaptic inhibitory effects of 5'-N-ethylcarbocamidoadenosine and N6-cyclopentyladenosine on cholecystokinin octapeptide-stimulated responses using the A1 selective antagonists 1,3-dipropyl-8(4-sulfophenyl)xanthine and 1,3-dipropyl-8-(cyclopentyl)xanthine yielded linear isoboles with unit slopes indicating competitive antagonism. The affinity of the antagonists for the receptor site(s) involved in inhibition of tachykininergic transmission was similar to those established previously for cholinergic transmission. The rank order of potency of adenosine analogs as inhibitors of the field-stimulated responses was such that N6-cyclopentyladenosine = 5'-ethylcarboxamidoadenosine. Reverse-phase HPLC anal. performed on lysates of isolated myenteric nerve endings demonstrated the presence of substance P and neurokinin-A. Neurokinin-B was undetectable. These studies indicate that adenosine receptor(s) on myenteric nerve endings are coupled neg. to tachykinin release and that they are probably identical to those involved in the modulation of acetylcholine release.

IT 53296-10-9, CV 1808
RL: BIOL (Biological study)
(tachykinin-induced contractions of ileum-myenteric plexus preparation inhibition by)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L4 ANSWER 131 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1990:424426 CAPLUS

DN 113:24426

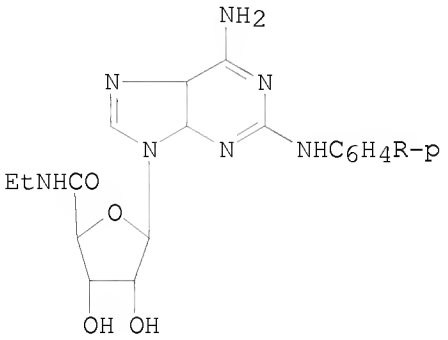
OREF 113:4255a,4258a

TI 2-(Arylalkylamino)adenosin-5'-uronamides: a new class of highly selective adenosine A2 receptor ligands

AU Hutchison, Alan J.; Williams, Michael; De Jesus, Reynalda; Yokoyama, Rina; Oei, Howard H.; Ghai, Geetha R.; Webb, Randy L.; Zoganas, Harry C.; Stone, George A.; Jarvis, Michael F.

CS Pharm. Div., Ciba-Geigy Corp., Summit, NJ, 07901, USA

SO Journal of Medicinal Chemistry (1990), 33(7), 1919-24
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 113:24426
GI



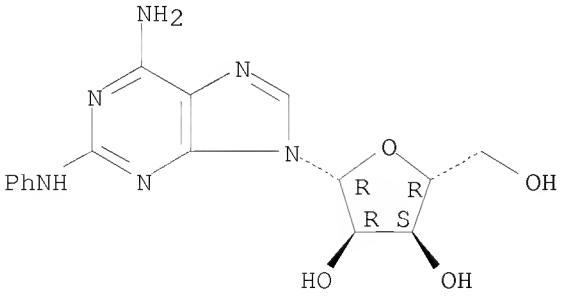
I

AB The synthesis and receptor-binding profiles at adenosine receptor subtypes for a series of 2-arylalkylamino-adenosine-5'-uronamides is described. Halogenated 2-phenethylamino analogs such as I (R = Cl) show greater than 200-fold selectivity for the A2 receptor subtype on the basis of rat brain receptor binding. The general structure-activity relationship of this series of compds. is discussed both in terms of potency at A2 receptors as well as receptor subtype selectivity. It is possible to introduce a hydrophilic carboxyalkyl substituent to this series such as in CGS 21680A (I; R = HO2CCH2CH2) and still retain good potency and selectivity for A2 receptors. In addition, functional data in a perfused working rat heart model shows that these compds. possess full agonist properties at A2 receptors with I (R = HO2CCH2CH2) having a greater than 1500-fold separation between A2 (coronary vasodilatory) and A1 (neg. chronotropic) receptor mediated events.

IT 53296-10-9DP, CV1808, analogs
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and adenosine acceptor selectivity of)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

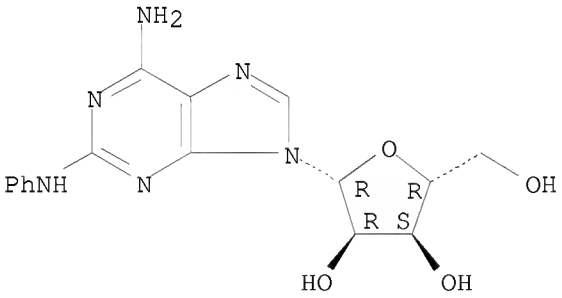
Absolute stereochemistry.



OSC.G 41 THERE ARE 41 CAPLUS RECORDS THAT CITE THIS RECORD (41 CITINGS)

L4 ANSWER 132 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1990:135402 CAPLUS
DN 112:135402
OREF 112:22805a,22808a
TI Study of the lipophilic character of xanthine and adenosine derivatives. I. RM and log P values
AU Biagi, G. L.; Guerra, M. C.; Barbaro, A. M.; Barbieri, S.; Recanatini, M.; Borea, P. A.; Pietrogrande, M. C.
CS Ist. Farmacol., Univ. Bologna, Bologna, 40126, Italy
SO Journal of Chromatography (1990), 498(1), 179-90
CODEN: JOCRAM; ISSN: 0021-9673
DT Journal
LA English
AB The RM values of a series of xanthine and adenosine derivs. were measured using silicone reversed-phase TLC and C18 reversed-phase high-performance TLC systems. The 2 series of data were well correlated. Both were compared with exptl. log P and calculated CLOGP values. For xanthine derivs., a good linear relation was shown between the RM values from the 2 chromatog. systems and the log P or CLOGP data. For adenosine derivs., the CLOGP values had to be corrected to fit the data to the same equation. The TLC data proved to be reliable parameters for describing the lipophilic properties of the test compds.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: PRP (Properties)
(lipophilicity of, determination of, by reversed-phase high-performance TLC and
reversed-phase TLC, octanol-water partition coefficient in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

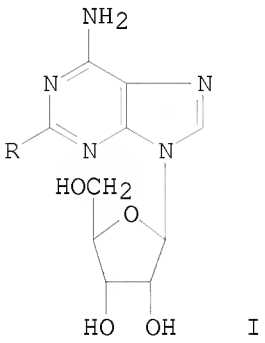
Absolute stereochemistry.



OSC.G 18 THERE ARE 18 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)

L4 ANSWER 133 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1990:119289 CAPLUS
DN 112:119289
OREF 112:20227a,20230a
TI Synthesis of congeners of adenosine resistant to deamination by adenosine deaminase
AU Nair, Vasu; Purdy, David F.; Sells, Todd B.
CS Dep. Chem., Univ. Iowa, Iowa City, IA, 52242, USA

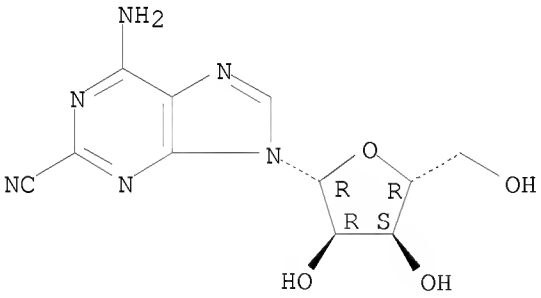
SO Journal of the Chemical Society, Chemical Communications (1989), (13), 878-9
CODEN: JCCCAT; ISSN: 0022-4936
DT Journal
LA English
OS CASREACT 112:119289
GI



I

AB The metal-mediated preparation of deaminase resistant adenosine congeners I [R = CH2:CH, HOCH2CH(OH), Et, F3C, cyano] from I (R = iodo) is described.
IT 79936-11-1P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and resistance of, to deamination by adenosine deaminase)
RN 79936-11-1 CAPLUS
CN Adenosine, 2-cyano- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

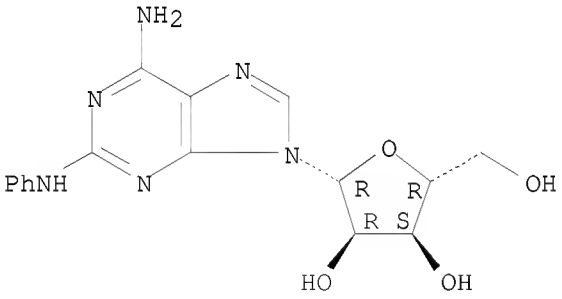


OSC.G 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)

L4 ANSWER 134 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1990:116389 CAPLUS
DN 112:116389
OREF 112:19659a,19662a
TI Adenosine transporters in vascular smooth muscle and endothelium: multiple [3H]nitrobenzylthioinosine binding sites in human umbilical vein endothelium
AU Williams, Evan F.; Harris-Hooker, Sandra; Gordon, Portia B.

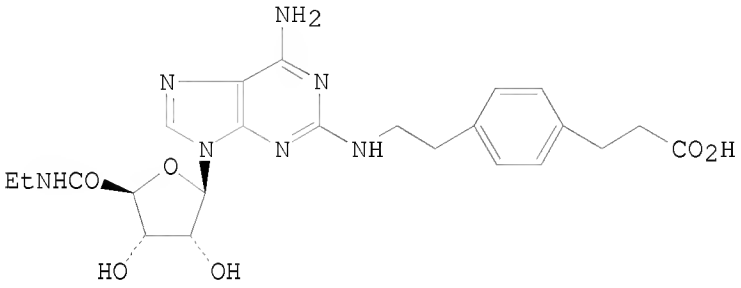
CS Dep. Pharmacol., Morehouse Sch. Med., Atlanta, GA, USA
SO Drug Development Research (1990), 19(1), 79-90
CODEN: DDREDK; ISSN: 0272-4391
DT Journal
LA English
AB Cultured vascular smooth muscle and endothelial cells may be useful models for studying the cardiovascular adenosine transport system and metabolism The nucleoside transporter elements of cultured primate vascular smooth muscle, bovine aortic endothelial, and human umbilical vein endothelial cells were quantified by radioligand binding and by using membrane prepns. of these cells and the nucleoside transporter probe nitrobenzylthioinosine ([3H]NBMPR), a potent and tightly bound inhibitor of nucleoside transport. The binding was rapid, reversible, saturable, and site-specific. Scatchard anal. of the saturation data showed that [3H]NBMPR bound to high and low affinity binding sites in human umbilical vein endothelial cell membranes with apparent binding affinities (KD) of 0.093 nM and 1.92 nM, and binding site densities (Bmax values) of 13.48 and 69 fmol/mg protein, resp. In contrast, the binding to primate vascular smooth muscle and bovine aortic endothelial cell membranes occurred to an apparently high affinity single class of binding sites at which the KD was 1.4 nM and 0.28 nM, resp., and which had Bmax values of 1,977 and 1,284 fmol/mg protein, resp. Scatchard anal. of the binding inhibition by dipyridamole showed a mixed type inhibition, while NBMPR inhibited the binding competitively. Several recognized nucleoside transport inhibitors and vasodilators inhibited the binding with an order of potency similar to that observed for the inhibition of [3H]NBMPR binding to guinea pig cardiac membranes.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: PROC (Process)
(binding of, to vascular smooth muscle and endothelium of humans and laboratory animals, adenosine transporter in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 135 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1990:112580 CAPLUS
DN 112:112580
OREF 112:18911a,18914a
TI [3H]CGS 21680, a selective A2 adenosine receptor agonist directly labels A2 receptors in rat brain
AU Jarvis, Michael F.; Schulz, Rainer; Hutchison, Alan J.; Do, Un Hoi; Sills, Matthew A.; Williams, Michael

CS Pharm. Div., Ciba-Geigy Corp., Summit, NJ, 07901, USA
SO Journal of Pharmacology and Experimental Therapeutics (1989), 251(3), 888-93
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
GI



I

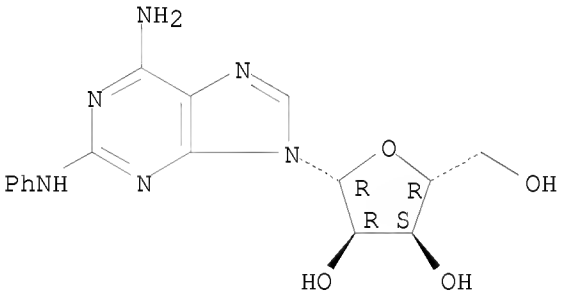
AB Characterization of the adenosine A2 receptor has been limited due to the lack of available ligands which have high affinity and selectivity for this adenosine receptor subtype. In the present study, the binding of a highly A2-selective agonist radioligand, [3H]CGS 21680 (I) is described. [3H]CGS 21680 specific binding to rat striatal membranes was saturable, reversible, and dependent on protein concentration Saturation studies revealed that [3H]CGS 21680 bound with high affinity (Kd =15.5 nM) and limited capacity (apparent Bmax = 375 fmol/mg protein) to a single class of recognition sites. Ests. of ligand affinity (16 nM) determined from association and dissociation kinetic expts. were in close agreement with the results from the saturation studies. [3H]CGS 21680 binding was greatest in striatal membranes with negligible specific binding obtained in rat cortical membranes. Adenosine agonists ligands competed for the binding of 5 nM [3H]CGS 21680 to striatal membranes with the following order of activity; CGS 21680 = 5'-N-ethylcarboxamidoadenosine > 2-phenylaminoadenosine (CV-1808) ; 5'-N-methylcarboxamidoadenosine = 2-chloroadenosine > R-phenylisopropyladenosine > N6-cyclohexyladenosine > N6-cyclopentyltheophylline > S-phenylisopropyladenosine. The nonxanthine adenosine antagonist, CGS 15943A, was the most active compound in inhibiting the binding of [3H]CGS 21680. Other adenosine antagonists inhibited binding in the following order; xanthine amine congener = (1,3-dipropyl-8-(2-amino-4-chloro)phenylxanthine > 1,3-dipropyl-8-cyclopentylxanthine > 1,3-diethyl-8-phenylxanthine > 8-phenyltheophylline > 8-cyclopentyltheophylline = xanthine carboxylic acid congener > 8-parasulfophenyltheophylline > theophylline > caffeine. The pharmacol. profile of both adenosine agonist and antagonist compds. to compete for the binding of [3H]CGS 21680 was consistent with a selective interaction at the high affinity adenosine A2 receptor. A high pos. correlation was observed between the pharmacol. profile of adenosine ligands to inhibit the binding of [3H]CGS 21680 and the selective binding of [3H]NECA (+50 nM CPA) to high affinity A2 receptors. However, some differences between these assays were found for compds. which have

moderate affinity and nonselective actions at both the A1 and A2 adenosine receptor subtypes. Unlike data obtained with nonselective adenosine ligands, the present results indicate that [3H]CGS 21680 directly labels the high affinity A2 receptor in rat brain without the need to block binding activity at the A1 receptor. The high degree of selectivity (>170-fold) and high affinity of [3H]CGS 21680 make this the current ligand of choice for the in vitro characterization of high affinity A2 receptors.

IT 53296-10-9, CV 1808
RL: BIOL (Biological study)
(CGS 21680 binding by purinergic receptors inhibition by, in brain striatum)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 273 THERE ARE 273 CAPLUS RECORDS THAT CITE THIS RECORD (273 CITINGS)

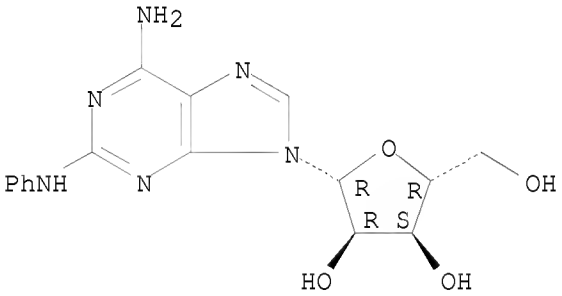
L4 ANSWER 136 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1990:91613 CAPLUS
DN 112:91613
OREF 112:15383a,15386a
TI A selective binding site for 3H-NECA that is not an adenosine A2 receptor
AU Keen, Mary; Kelly, Eamonn; Nobbs, Peter; MacDermot, John
CS Med. Sch., Univ. Birmingham, Birmingham, B15 2TJ, UK
SO Biochemical Pharmacology (1989), 38(21), 3827-33
CODEN: BCPCA6; ISSN: 0006-2952
DT Journal
LA English
AB In homogenates of NG108-15 cells, adenosine analogs activate adenylate cyclase with the following order of potency: N-ethylcarboxamidoadenosine (NECA) > 2-chloroadenosine > N6-(L-phenylisopropyl)adenosine (PIA) = cyclohexyladenosine = 2-phenylaminoadenosine. Adenosine receptor antagonists inhibit NECA-stimulated adenylate cyclase activity with the order of potency 3-isobutyl-1-methyl-xanthine (IBMX) > theophylline > caffeine. These data suggest that these ligands act at an adenosine A2 receptor. There is an apparently homogeneous population of saturable 3H-NECA binding sites in homogenates of NG108-15 cells. These sites have an affinity for 3H-NECA of .apprx.1 μM and are present at a d. of .apprx.10 pmol/mg protein. Unlabeled NECA, 2-chloroadenosine, IBMX and theophylline displace 3H-NECA binding, with an order of potency that suggests that the 3H-NECA binding site may represent an adenosine A2 receptor. However, PIA, cyclohexyladenosine and 2-phenylaminoadenosine

produce no detectable displacement of 3H-NECA binding at concns. that produce a maximal stimulation of adenylate cyclase activity. Pretreatment of NG108-15 cells with either NECA or PIA produces a homologous desensitization of subsequent responses to all the adenosine analogs, with no effect on subsequent responses to a prostacyclin receptor agonist or NaF. This suggests that all the adenosine analogs examined activate an adenosine A2 receptor. Therefore, the 3H-NECA site at which PIA is inactive cannot represent this receptor.

IT 53296-10-9
RL: BIOL (Biological study)
(adenosine receptors binding of ethylcarboxamidoadenosine response to, adenylate cyclase in)

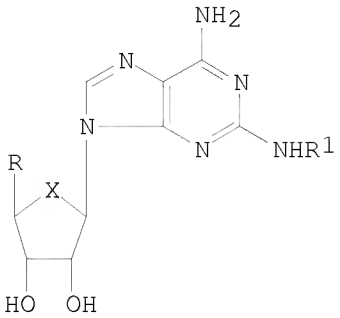
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 137 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1990:30236 CAPLUS
DN 112:30236
OREF 112:5069a,5072a
TI Effects of adenosine A2 receptor agonists on nucleoside transport
AU Balwierczak, Joseph L.; Krulan, Christine M.; Wang, Zhi Chao; Chen, Jen; Jeng, Arco Y.
CS Res. Dep., Ciba-Geigy Corp., Summit, NJ, 07901, USA
SO Journal of Pharmacology and Experimental Therapeutics (1989), 251(1), 279-87
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
GI



I

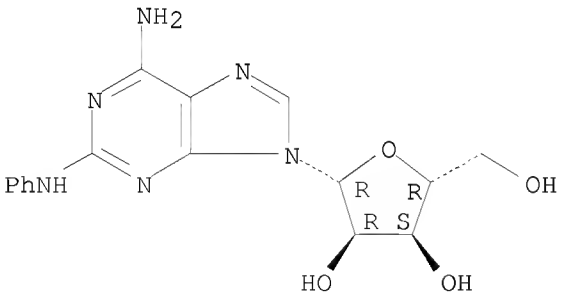
AB A series of adenosine A2 receptor agonists I (R = CH2OH or CONHEt; R1 = Ph, etc.; X = O or CH2) were examined for their ability to activate adenosine A2 receptors and inhibit nucleoside transport. A2 receptor activation was measured by the ability of these adenosine agonists to relax porcine coronary smooth muscle, where I varied in their EC50 values. Nucleoside transport was measured as the nitrobenzylthioinosine-sensitive cellular accumulation of [3H]uridine into guinea pig erythrocytes at 22°. The initial velocity of transport was dependent on substrate concentration and a substrate-velocity curve yielded a Km of 78 μM and a Vmax of 0.31 mmol/L of cell water per h. Dipyridamole, a known potent inhibitor of nucleoside transport, blocked cellular [3H]uridine accumulation with an EC50 of 29.4 nM. Whereas a number of the adenosine agonists tested showed little or no inhibition of nucleoside transport, CV 1808 inhibited transport with an EC50 of 140 nM. In addition, 2 carbocyclic derivs. of CV 1808, CGS 23321 and CGS 23302 inhibited nucleoside transport with resp. EC50 values of 366 and 168 nM. The data suggest that these compds. have a different structure-activity relationship for adenosine A2 receptors and for the site mediating nucleoside transport inhibition.

IT 53296-10-9, CV 1808
RL: BIOL (Biological study)
(nucleoside transport by erythrocyte response to and artery relaxation by)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

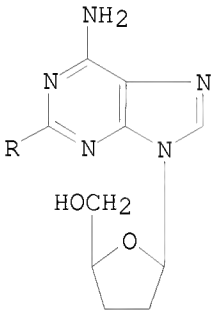
Absolute stereochemistry.



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 138 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1989:574576 CAPLUS
DN 111:174576
OREF 111:29091a,29094a
TI Novel, stable congeners of the antiretroviral compound 2',3'-dideoxyadenosine
AU Nair, Vasu; Buenger, Greg S.
CS Dep. Chem., Univ. Iowa, Iowa City, IA, 52242, USA
SO Journal of the American Chemical Society (1989), 111(22), 8502-4
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
OS CASREACT 111:174576
GI

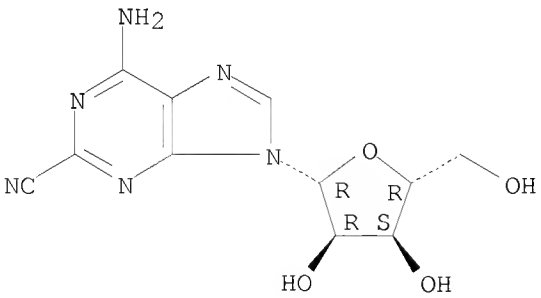


I

AB Novel congeners I (R = cyano, Et, SMe, iodo, CF3) and the 2',3'-didehydro analog of I (R = cyano) of the antiretroviral compound 2',3'-dideoxyadenosine (I, R = H) have been synthesized through metal-mediated and photochem. conversions as the key steps. These compds. are inherently more stable than I (R = H) with respect to both glycosidic bond cleavage and deamination by adenosine deaminase.

IT 79936-11-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, silylation, and thiocarbonylation of)
RN 79936-11-1 CAPLUS
CN Adenosine, 2-cyano- (9CI) (CA INDEX NAME)

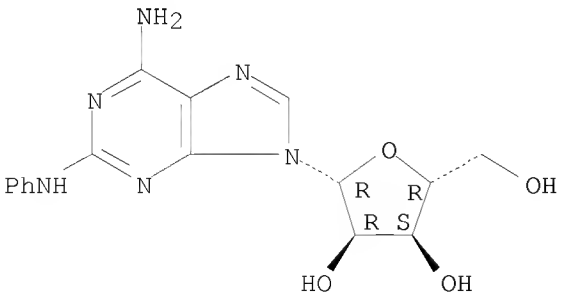
Absolute stereochemistry.



OSC.G 36 THERE ARE 36 CAPLUS RECORDS THAT CITE THIS RECORD (36 CITINGS)

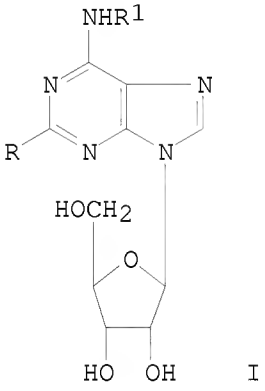
L4 ANSWER 139 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1989:511808 CAPLUS
DN 111:111808
OREF 111:18687a,18690a
TI Affinity chromatography of A1 adenosine receptors of rat brain membranes
AU Nakata, Hiroyasu
CS Lab. Clin. Sci., Natl. Inst. Ment. Health, Bethesda, MD, 20892, USA
SO Molecular Pharmacology (1989), 35(6), 780-6
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English
AB The A1 adenosine receptor of rat brain membranes has been solubilized with digitonin and purified .apprx.150-fold by affinity chromatog. The digitonin-solubilized receptor, which can be labeled with 8-cyclopentyl-1,3-[3H]dipropylxanthine ([3H]DPCPX), was adsorbed on xanthine amine congener (XAC)-linked agarose. The interaction of the solubilized receptor activity with the affinity gel was biospecific. Adenosine agents blocked adsorption of solubilized receptor activity to the XAC-agarose with the appropriate A1 adenosine selectivity. For agonists, 8-cyclopentyladenosine > (R)-phenylisopropyladenosine > CV-1808, whereas, for antagonists, 8-cyclopentyltheophylline (CPT) > XAC > isobutylmethylxanthine = theophylline. The same A1 adenosine receptor specificity was observed for elution of [3H]DPCPX binding activity from the gel. XAC-agarose adsorbed 65-80% of the solubilized [3H]DPCPX binding activity and, after the gel was washed, 30-40% of the adsorbed activity could be eluted with 100 μM CPT, with specific binding activity of .apprx.60 pmol/mg of protein. The order of potency of adenosine agonists [8-Cyclopentyladenosine > (R)-phenylisopropyladenosine > 5'-N-ethylcarboxamidoadenosine > (S)-phenylisopropyladenosine] and antagonists (DPCPX > XAC > CPT > isobutylmethylxanthine) with the affinity-purified preparation was found to be similar to that of the solubilized adenosine A1 receptor. This affinity chromatog. procedure should prove to be valuable in the isolation and mol. characterization of A1 adenosine receptors.
IT 53296-10-9, CV-1808
RL: ANST (Analytical study)
(A1 adenosine receptors affinity chromatog. of brain membranes on xanthine amine congener-agarose gel response to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

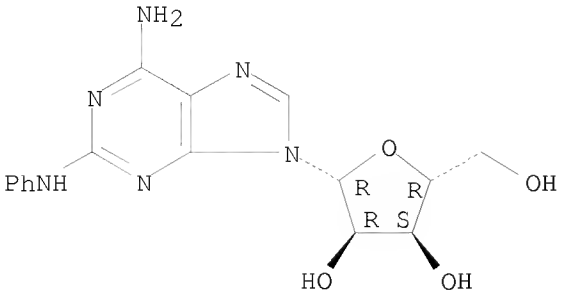
L4 ANSWER 140 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1989:458249 CAPLUS
DN 111:58249
OREF 111:9899a,9902a
TI C2,N6-Disubstituted adenosines: synthesis and structure-activity relationships
AU Trivedi, Bharat K.; Bruns, Robert F.
CS Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
SO Journal of Medicinal Chemistry (1989), 32(8), 1667-73
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 111:58249
GI



I

AB Extracellular adenosine receptors have been divided into two major subtypes, called A1 and A2. Substitution of the adenosine mol. with appropriate groups at C-2 or N-6 is known to impart selectivity for the A2 receptor over the A1 receptor. The present study investigated whether substitution at both C-2 and N-6 would have additive effects on the A2/A1 affinity ratio, thereby providing compds. with greater A2 selectivity than presently available agents. Disappointingly, additivity appeared to hold only when an A1-selective group was present at N-6. For instance, conversion of the A1-selective agonist I (R = H, R1 = cyclopentyl) to I (R = NHPh, R1 = cyclopentyl) resulted in a 70-fold shift in selectivity in favor of the A2 receptor, but the same substitution applied to the A2-selective agonist I [R = H, R1 = 3,5-(MeO)2C6H3CHPhCH2] resulted in a 100-fold loss of affinity with no change in A2-selectivity.
IT 53296-10-9
RL: PRP (Properties)
(adenosine receptor affinity of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

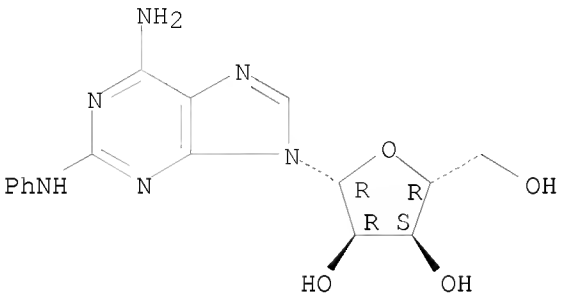


OSC.G 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

L4 ANSWER 141 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1989:451028 CAPLUS
DN 111:51028
OREF 111:8557a,8560a
TI An unusual receptor mediates adenosine-induced SA nodal bradycardia in dogs
AU Belloni, Francis L.; Belardinelli, Luiz; Halperin, Cidio; Hintze, Thomas H.
CS Dep. Physiol., New York Med. Coll., Valhalla, NY, 10595, USA
SO American Journal of Physiology (1989), 256(6, Pt. 2), H1553-H1564
CODEN: AJPHAP; ISSN: 0002-9513
DT Journal
LA English
AB To characterize the receptor mediating the neg. chronotropic effect of adenosine in dogs, expts. were performed on conscious dogs with chronically implanted cardiovascular instrumentation. Autonomic blockade was used to eliminate any reflex influences on heart rate. I.v. bolus injections of various adenosine analogs caused dose-dependent, aminophylline-blockable redns. in heart rate with a potency order for NECA:2-chloroadenosine:adenosine of 78:17:1. Dipyridamole enhanced the potency of adenosine to equal that of 2-chloroadenosine. Moderately selective A1-receptor agonists (N6-(L-2-phenylisopropyl)-adenosine (R-PIA) and N6-cyclohexyladenosine) and an A2-selective agonist (2-phenylaminoadenosine) had no neg. chronotropic effect in the conscious dog. Adenosine and its analogs, including R-PIA, caused coronary vasodilation at smaller doses than were required to slow the heart rate. The selective A1-adenosine receptor blocker xanthine amine congener (XAC) antagonized the neg. chronotropic action of adenosine, but did so nonselectively, as the coronary vasodilative and neg. chronotropic actions of adenosine were antagonized equally well. The spontaneous contraction rate of isolated perfused dog right atrial prepns., which included the sinoatrial (SA) node, was reduced by intrasinoatrial node artery infusions of adenosine analogs with a potency ratio for NECA:adenosine:N6-cyclopentyladenosine:R-PIA of 100:15:2.3:1. Apparently, the adenosine receptor mediating the neg. chronotropic action of adenosine in the dog does not display the pharmacol. characteristics of either typical A1- or A2-adenosine receptors. Instead, either a novel adenosine receptor or an A1-receptor with unusual agonist and antagonist binding properties appears to exist in the dog's sinoatrial node.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: BIOL (Biological study)

(heart rate response to, receptors and mediation of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

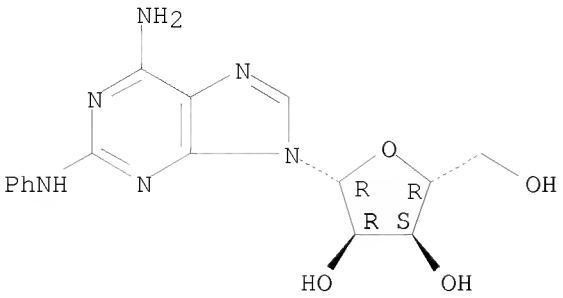
Absolute stereochemistry.



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 142 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1989:421457 CAPLUS
DN 111:21457
OREF 111:3723a,3724a
TI NECA-induced hypomotility in mice: evidence for a predominantly central site of action
AU Durcan, Michael J.; Morgan, Philip F.
CS Lab. Clin. Stud., Natl. Inst. Alcohol Abuse Alcohol., Bethesda, MD, 20892, USA
SO Pharmacology, Biochemistry and Behavior (1989), 32(2), 487-90
CODEN: PBBHAU; ISSN: 0091-3057
DT Journal
LA English
AB The behavioral effects of 4 adenosine analogs (NECA, cyclohexyladenosine (CHA), cyclopentyladenosine (CPA), and CV 1808) were investigated in mice using a holeboard test, which measures both directed exploration (head-dipping) and locomotor activity. NECA, CHA, and CPA showed dose-related redns. in all the holeboard measures (NECA » CHA = CPA), but CV 1808 was inactive in all of the measures over the dose range tested. In a subsequent experiment NECA-induced hypomotility was attenuated by the adenosine receptor antagonists, theophylline (which is both centrally and peripherally active) and, though to a lesser extent, by the adenosine receptor antagonist 8-(p-sulfophenyl)theophylline (8-pSPT), which poorly penetrates the blood-brain barrier. Thus, NECA-induced hypomotility may be predominantly mediated centrally since the centrally active antagonist was the most effective in reversing the effect; however, peripheral mechanisms may also play a role since equimol. concns. of 8-pSPT elicit some reversal of NECA-induced hypomotility.
IT 53296-10-9, CV 1808
RL: BIOL (Biological study)
(motor behavior in presence of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

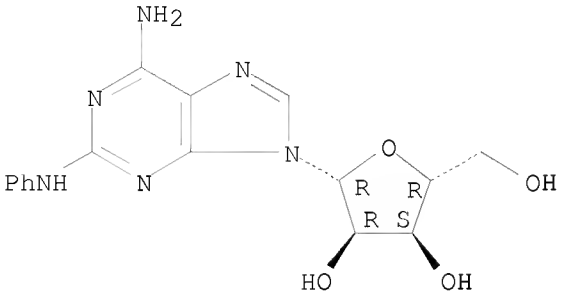


OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L4 ANSWER 143 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1989:417561 CAPLUS
DN 111:17561
OREF 111:2963a,2966a
TI Comparison of the behavioral effects of adenosine agonists and dopamine antagonists in mice
AU Heffner, Thomas G.; Wiley, James N.; Williams, Ann E.; Bruns, Robert F.; Coughenour, Linda L.; Downs, David A.
CS Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
SO Psychopharmacology (Berlin, Germany) (1989), 98(1), 31-7
CODEN: PSCHDL; ISSN: 0033-3158
DT Journal
LA English
AB The adenosine agonists 5'-N-ethylcarboxamideadenosine (NECA), 2-chloroadenosine (2-CLA), N6-cyclohexyladenosine (CHA), N6-cyclopentyladenosine (CPA), 2-(phenylamino)adenosine (CV-1808) and R and S isomers of N6-phenylisopropyladenosine (R-PIA and S-PIA) decreased spontaneous locomotor activity in mice and, except for CPA, did so at doses that did not impair motor coordination, a profile shared by dopamine antagonists. CV-1808, the only agent with higher affinity for A2 as compared with A1 adenosine receptors, displayed the largest separation between locomotor inhibitory and ataxic potency. Like dopamine antagonists, NECA and CV-1808 also decreased hyperactivity caused by d-amphetamine at doses that did not cause ataxia whereas A1-selective adenosine agonists reduced amphetamine's effects only at ataxic doses. Unlike dopamine antagonists, adenosine agonists inhibited apomorphine-induced cage climbing only at doses that caused morphine-induced cage climbing only at doses that caused ataxia. Involvement of central adenosine receptors in these effects was suggested by the significant correlation obtained between potency for locomotor inhibition after i.p. and intracerebroventricular administration. Affinity for A1 but not A2 adenosine receptors was significantly correlated with potency for inducing ataxia. These results suggest that the behavioral profile of adenosine agonists in mice is related to their affinity for A1 and A2 adenosine receptors and indicate that adenosine agonists produce certain behavioral effects that are similar to those seen with dopamine antagonists.
IT 53296-10-9, 2-(Phenylamino)adenosine
RL: BIOL (Biological study)
(behavioral response to, as adenosine agonist, antipsychotic activity in relation to)
RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 45 THERE ARE 45 CAPLUS RECORDS THAT CITE THIS RECORD (45 CITINGS)

L4 ANSWER 144 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1989:108716 CAPLUS
DN 110:108716
OREF 110:17818h,17819a
TI Correlation between binding affinities for brain A1 and A2 receptors of adenosine agonists and antagonists and their effects on heart rate and coronary vascular tone
AU Oei, H. H.; Ghai, G. R.; Zoganas, H. C.; Stone, G. A.; Zimmerman, M. B.; Field, F. P.; Williams, M.
CS Pharm. Div., Ciba-Geigy Corp., Summit, NJ, 07901, USA
SO Journal of Pharmacology and Experimental Therapeutics (1988), 247(3), 882-8
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB The activities of a series of A1 and A2 adenosine receptor agonists and antagonists were determined using radioligand binding techniques in rat brain tissues. The potencies of these agonists on heart rate and coronary vascular tone were also assessed in the perfused working rat heart preparation The order of potency of these agonists in producing neg. chronotropic effects was similar to the rank order for their A1 receptor binding activities [6-N-cyclohexyladenosine (CHA) = 6-N-(R-phenylisopropyl)adenosine > 5'-N-ethylcarboxamideadenosine (NECA) = 2-chloroadenosine > 2-phenylaminoadenosine] with a correlation coefficient of 0.97. Their order of potency in decreasing coronary vascular tone followed the same rank order as their A2 receptor binding activities with a correlation coefficient of 0.97 (NECA > 2-chloroadenosine = 6-N-(R-phenylisopropyl)-adenosine = 2-phenylaminoadenosine > CHA). In addition, the antagonists 8-[4-[[[[(2-aminoethyl)amino]carbonyl]methyl]ox]phenyl-1,3-dipropylxanthine (XAC), 1,3-dipropyl-8-(2-amino-4-chlorophenyl)xanthine (PACPX), and 8-phenyltheophylline (8-PT) blocked the neg. chronotropic effect of CHA and the vasodilatory effect of NECA in a concentration-dependent manner. The same order of potency of the antagonists was noted in blocking CHA-induced bradycardia and A1 receptor binding activities (XAC = PACPX > 8-PT). A similar correlation was observed for their effects in blocking NECA-induced vasodilation and A2 receptor binding activity (XAC > PACPX > 8-PT). The results obtained with both agonists and antagonists indicate a pos.

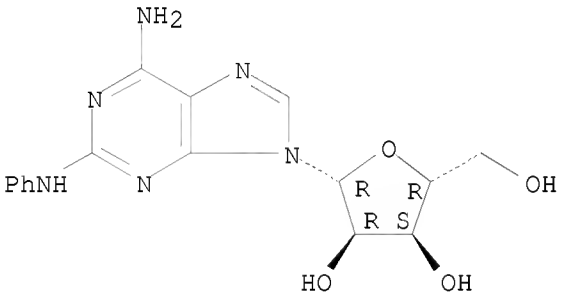
correlation between adenosine receptor-mediated effects in the heart and adenosine receptor binding activities in brain tissues; thus, providing support for similarities of these receptors in heart and brain tissues.

IT 53296-10-9, 2-Phenylaminoadenosine
RL: BIOL (Biological study)
(receptor binding of, in brain, coronary vascular tone and heart rate in relation to)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 145 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1988:623029 CAPLUS

DN 109:223029

OREF 109:36749a,36752a

TI Characterization of agonist radioligand interactions with porcine atrial A1 adenosine receptors

AU Leid, Mark; Schimerlik, Michael I.; Murray, Thomas F.

CS Coll. Pharm., Oregon State Univ., Corvallis, OR, 97331, USA

SO Molecular Pharmacology (1988), 34(3), 334-9
CODEN: MOPMA3; ISSN: 0026-895X

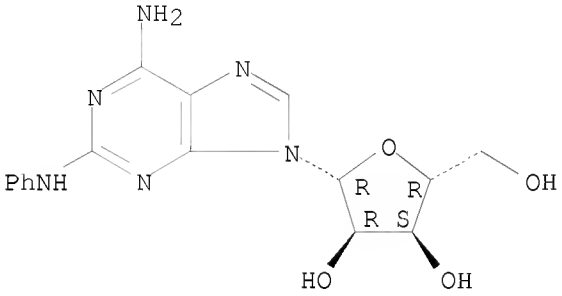
DT Journal

LA English

AB The agonist radioligand (-)-N6-[125I]-p-hydroxyphenylisopropyladenosine ([125I]HPIA) was used to characterize adenosine recognition sites in porcine atrial membranes. [125I]HPIA showed saturable binding to an apparently homogeneous population of sites with a maximum binding capacity of 35 fmol/mg protein and an equilibrium dissociation constant of 2.5 nM. Kinetics expts. were performed to address the mol. mechanism of [125I]HPIA binding in porcine atrial membranes. [125I]HPIA apparently interacts with the cardiac adenosine receptor in a simple bimol. reaction. A kinetically derived [125I]HPIA dissociation constant (2.4 nM) was in good agreement with that parameter measured at equilibrium Guanyl nucleotides neg. modulated [125I]HPIA binding by increasing its rate of dissociation This finding is consonant with the formation of a ternary complex in porcine atrial membranes, consisting of ligand, receptor, and guanyl nucleotide-binding protein. Prototypic adenosine receptor agonists and antagonists inhibited specific binding in a manner consistent with the labeling of an A1 adenosine receptor. Apparently, the adenosine receptor present in porcine atrial membranes, as labeled by [125I]HPIA, is of the A1 subtype.

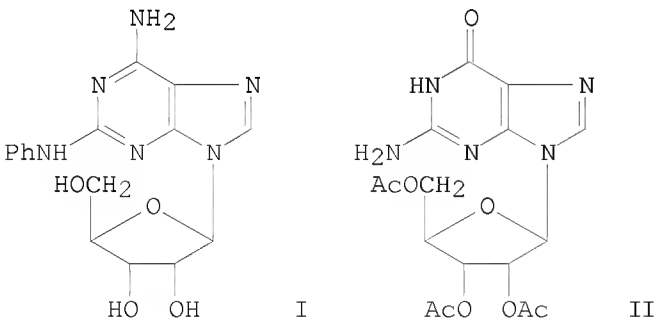
IT 53296-10-9, 2-Phenylaminoadenosine
RL: BIOL (Biological study)
(hydroxyphenylisopropyladenosine binding by receptors of atrium inhibition by)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 146 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1988:611374 CAPLUS
DN 109:211374
OREF 109:34987a,34990a
TI Studies toward synthesis of C-2 substituted adenosines: an efficient synthesis of 2-(phenylamino)adenosine [CV-1808]
AU Trivedi, Bharat K.
CS Dep. Chem., Warner/Lambert Co., Ann Arbor, MI, 48105, USA
SO Nucleosides & Nucleotides (1988), 7(3), 393-402
CODEN: NUNUD5; ISSN: 0732-8311
DT Journal
LA English
OS CASREACT 109:211374
GI



I

II

AB 2-(Phenylamino)adenosine (I) was prepared from guanosine triacetate (II) by sequential 2-bromination with amyl nitrite and CHBr3, 2-phenylamination with PhNH2, 6-chlorination with POCl3 in MeCN in the presence of PhNMe2

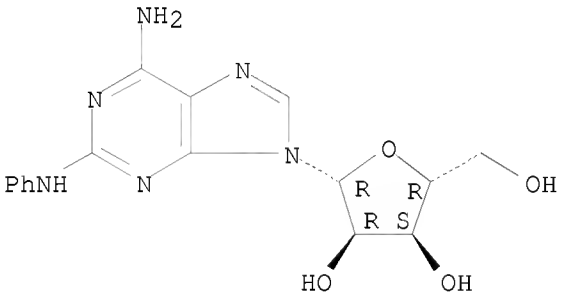
and Et4NCl, and finally treatment with NH3/MeOH. Also prepared were (R)-N-(1-methyl-2-phenylethyl)-2-(phenylamino)adenosine, 2-(phenylthio)adenosine, and (R)-N-(1-methyl-2-phenylethyl)-2-(phenylthio)adenosine.

IT 53296-10-9P, 2-(Phenylamino)adenosine
RL: SPN (Synthetic preparation); PREP (Preparation)
(improved synthesis of)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

L4 ANSWER 147 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1988:523020 CAPLUS

DN 109:123020

OREF 109:20355a,20358a

TI Behavior induced by putative nociceptive neurotransmitters is inhibited by adenosine or adenosine analogs coadministered intrathecally

AU DeLander, Gary E.; Wahl, Jeffrey J.

CS Coll. Pharm., Oregon State Univ., Corvallis, OR, 97331, USA

SO Journal of Pharmacology and Experimental Therapeutics (1988), 246(2), 565-70
CODEN: JPETAB; ISSN: 0022-3565

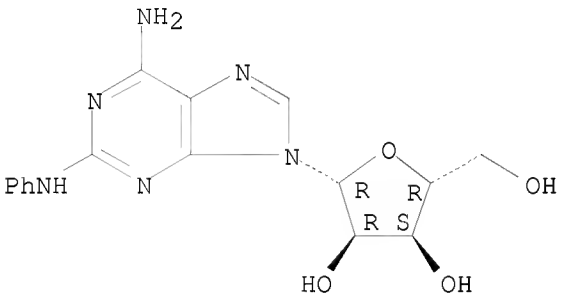
DT Journal

LA English

AB The role of adenosine in antinociception was studied by examining the effect of adenosine agonists on behavior induced by 2 putative spinal nociceptive neurotransmitters, substance P and N-methyl-D-aspartate. Coadministration of each of several adenosine agonists with substance P or N-methyl-D-aspartate intrathecally significantly decreased the intensity of behaviors induced by putative nociceptive neurotransmitters in mice. Adenosine agonist-mediated inhibition was antagonized by theophylline supporting adenosine agonist interactions with cell membrane surface adenosine receptors. Rank order potencies were determined for several adenosine analogs with varying selectivity for A1 and A2 adenosine receptor subtypes. However, rank order potencies did not correlate well with rank order potencies reported previously for adenosine receptor subtypes in biochem. assays. Evidently, adenosine inhibits behavior induced by nociceptive neurotransmitters interacting with spinal substance P or N-methyl-D-aspartate receptors. Furthermore, observations provide addnl. support for endogenous antinociceptive pathways that utilize adenosine at spinal sites.

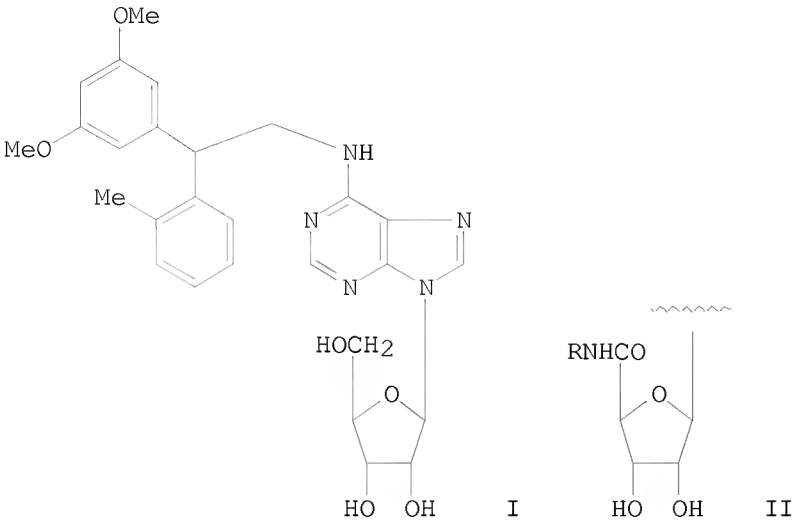
IT 53296-10-9, 2-Phenylaminoadenosine
RL: BIOL (Biological study)
(nociception inhibition by)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 32 THERE ARE 32 CAPLUS RECORDS THAT CITE THIS RECORD (32 CITINGS)

L4 ANSWER 148 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1988:438171 CAPLUS
DN 109:38171
OREF 109:6475a,6478a
TI N6-[2-(3,5-dimethoxyphenyl)-2-(2-methylphenyl)ethyl]adenosine and its uronamide derivatives. Novel adenosine agonists with both high affinity and high selectivity for the adenosine A2 receptor
AU Bridges, Alexander J.; Bruns, Robert F.; Ortwine, Daniel F.; Priebe, Steven R.; Szotek, Deedee L.; Trivedi, Bharat K.
CS Parke-Davis Pharm. Res. Div., Warner-Lambert Co., Ann Arbor, MI, 48105, USA
SO Journal of Medicinal Chemistry (1988), 31(7), 1282-5
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 109:38171
GI



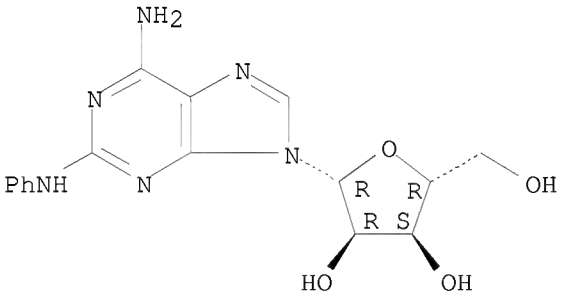
AB Several N6-(diarylethyl)adenosines, e.g., title compound I, were prepared by treating the corresponding 2,2-diarylethylamines with 6-chloropurine riboside. Also prepared were uronamide derivs. II (R = Et, Me, cyclopropyl). Receptor binding affinities of the compds. prepared and of several other N6-substituted adenosines are given and discussed.

IT 53296-10-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(adenosine agonist, receptor binding affinity of)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 28 THERE ARE 28 CAPLUS RECORDS THAT CITE THIS RECORD (29 CITINGS)

L4 ANSWER 149 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1988:105930 CAPLUS

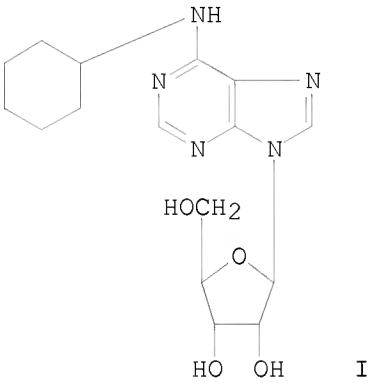
DN 108:105930

OREF 108:17195a,17198a

TI Definition of subclasses of adenosine receptors associated with adenylate cyclase: interaction of adenosine analogs with inhibitory A1 receptors and stimulatory A2 receptors

AU Ukena, Dieter; Olsson, Ray A.; Daly, John W.

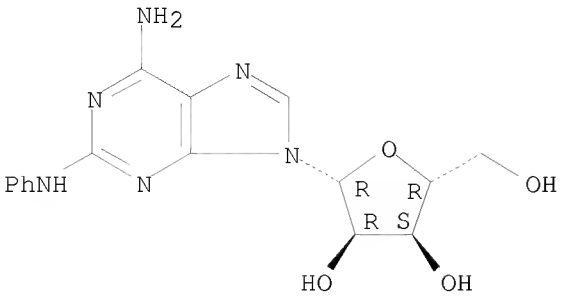
CS Natl. Inst. Arthritis, Diabetes, Dig. Kidney Dis., Natl. Inst. Health, Bethesda, MD, 20892, USA
SO Canadian Journal of Physiology and Pharmacology (1987), 65(3), 365-76
CODEN: CJPPA3; ISSN: 0008-4212
DT Journal
LA English
GI



I

AB The structure-activity relationships of 63 adenosine analogs as agonists for the A1 adenosine receptors that mediate inhibition of adenylate cyclase activity in rat fat cells and for the A2 adenosine receptors that mediate stimulation of adenylate cyclase in rat pheochromocytoma PC12 cells and human platelets were determined The lack of correspondence between the structure-activity relationships of these analogs at the A1 and A2 receptors appear definitive in terms of estabishing the existence of A1 and A2 subclasses of adenosine receptors. However, significant differences in the agonist profiles at A2 receptors of platelet and PC12 indicate a certain degree of structural heterogeneity within the members of the A2 adenosine receptor subclass. Whether such differences are due to different species or different cell types is not known. A set of adenosine analogs, such as N6-cyclohexyl- (I), N6-R-, and N6-S-1-phenyl-2-propyladenosine, 5'-N-ethylcarboxamidoadenosine and its N6-cyclohexyl derivative, 2-chloroadenosine, and 2-phenylaminoadenosine, appear to represent a series of analogs useful for pharmacol. characterization of A1 and A2 classes of adenosine receptors.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: PRP (Properties)
(interaction of, with A1 and A2 adenosine receptors, of humans and laboratory
animals, structure in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

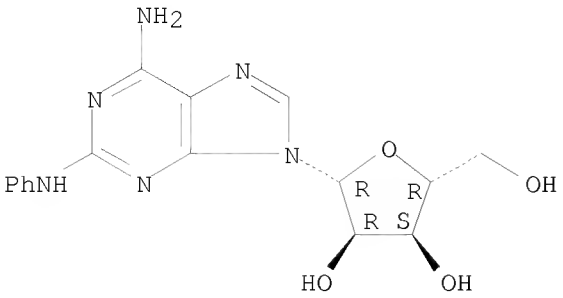
Absolute stereochemistry.



OSC.G 14 THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (14 CITINGS)

L4 ANSWER 150 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1988:16690 CAPLUS
DN 108:16690
OREF 108:2729a,2732a
TI Correlation of adenosine receptor affinities and cardiovascular activity
AU Hamilton, H. W.; Taylor, M. D.; Steffen, R. P.; Haleen, S. J.; Bruns, R. F.
CS Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SO Life Sciences (1987), 41(20), 2295-302
CODEN: LIFSAK; ISSN: 0024-3205
DT Journal
LA English
AB Binding affinities of 28 adenosine analogs at A1 adenosine receptors [rat whole brain membranes, [3H]N6-cyclohexyladenosine (CHA)], and at A2 adenosine receptors [rat striatal membranes, 5'-N-ethylcarboxamidoadenosine (NECA) were compared to their EC25 (25% change from control) values for decreasing heart rate and increasing coronary flow in the isolated rat heart. Heart rate (an A1 response) correlated with A1 binding affinity but not with A2 binding affinity; conversely, coronary flow (an A2 response) correlated with A2 binding affinity but not with A1 binding affinity. Apparently, the brain A1 and A2 receptors, studied by binding methods, bear close similarities to their resp. counterparts in the heart, studied by means of functional responses.
IT 53296-10-9
RL: BIOL (Biological study)
(adenosine receptor affinity for, in brain, cardiovascular activity in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.

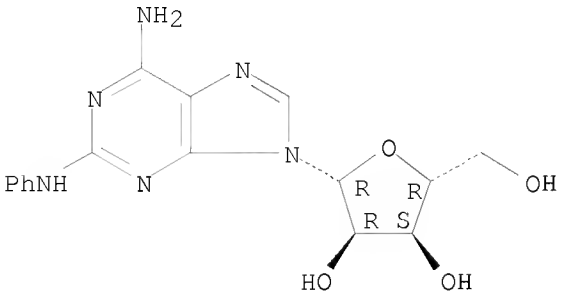


OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L4 ANSWER 151 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1987:612266 CAPLUS
DN 107:212266
OREF 107:33919a,33922a
TI Identification of A1 and A2 adenosine receptors in the rat spinal cord
AU Choca, Jose Ignacio; Proudfit, Herbert K.; Green, Richard D.
CS Coll. Med., Univ. Illinois, Chicago, IL, 60680, USA
SO Journal of Pharmacology and Experimental Therapeutics (1987), 242(3), 905-10
CODEN: JPETAB; ISSN: 0022-3565
DT Journal
LA English
AB The adenosine receptors in membranes prepared from rat ventral and dorsal lumbar spinal cord were characterized by comparing the binding characteristics of [3H]5'-N-ethylcarboxamide adenosine ([3H]NECA), an agonist with nearly equal affinities at the A1 and A2 adenosine receptor subtypes, with those of [3H]N6-[(R)-1-methyl-2-phenylethyl]adenosine ([3H]R-PIA), an A1-selective agonist. Saturation isotherms of the ventral and dorsal spinal cord yielded dissociation constant values 1.9-2.3 nM for [3H]R-PIA and 18.1-19.5 nM for [3H]NECA. The maximum binding capacity (Bmax) for [3H]NECA was approx. twice the Bmax for [3H]R-PIA in ventral and dorsal halves (267 vs. 128 fmol/mg protein and 402 vs. 206 fmol/mg protein, resp.). Displacement of specific [3H]NECA binding by the A2-selective agonist, 2-(phenylamino)adenosine, the relatively nonselective antagonist, theophylline and 6 A1-selective agonists, R-PIA, S-PIA, N6-(cyclohexyl)adenosine, N6-(cyclopentyl)adenosine, N6-(m-aminophenyl)adenosine, and N6-(m-iodophenyl)adenosine, revealed 2 [3H]NECA binding components with the characteristics of A1 and A2 receptors. All curves best fit a 2-site model when analyzed by the computer program LIGAND. R-PIA, N6-(cyclohexyl)adenosine, and N6-(cyclopentyl)adenosine were the most potent displacers at the 1st site (Ki = 0.6-1.4 nM). All A1-selective agonists were poor displacers of [3H]NECA at the 2nd site (Ki = 0.6-18.6 μM). The A2-selective agonist, 2-(phenylamino)adenosine, was as potent as R-PIA in displacing [3H]NECA from this site with a Ki value 0.57 μM. Finally, the A1 and A2 adenosine receptor-mediated inhibition and stimulation of adenylate cyclase were demonstrated directly in synaptic membranes prepared from the spinal cord.
IT 53296-10-9, 2-(Phenylamino)adenosine
RL: PROC (Process)
(receptor binding of, in spinal cord)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

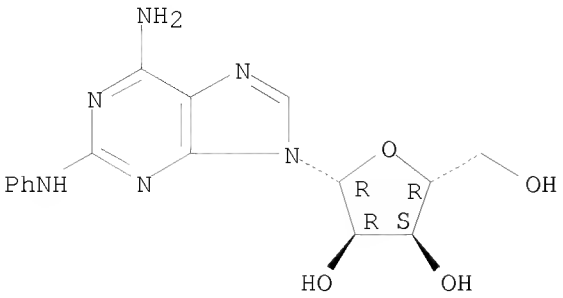
Absolute stereochemistry.



OSC.G 60 THERE ARE 60 CAPLUS RECORDS THAT CITE THIS RECORD (60 CITINGS)

L4 ANSWER 152 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1987:508844 CAPLUS
DN 107:108844
OREF 107:17515a,17518a
TI The effects of parenteral injections of adenosine and its analogs on blood pressure and heart rate in the rat
AU Barraco, Robin A.; Marcantonio, David R.; Phillis, John W.; Campbell, W. Richard
CS Sch. Med., Wayne State Univ., Detroit, MI, 48201, USA
SO General Pharmacology (1987), 18(4), 405-16
CODEN: GEPHDP; ISSN: 0306-3623
DT Journal
LA English
AB The dose-response effects of i.v. adenosine and its analogs on cardiovascular parameters were examined in rats. 5'-N-Ethylcarboxamidoadenosine (NECA) was by far the most potent analog in reducing mean arterial blood (PA) pressure, whereas N6-(3-pentyl)-adenosine exerted the most potent bradycardic action. The N6-substituted (S-)-diastereoisomers were substantially less potent in reducing PA and heart rate than NECA and the N6-substituted (R)-diastereoisomers. The results of the study are consistent with the notion that the bradycardiac action of adenosine is principally mediated via A1 receptors, whereas the vasodilator action of adenosine is mediated via A2 receptors. It is also apparent that adenosine is rapidly removed from the circulation and inactivated. In contrast, the cardiovascular effects of the adenosine analogs persist, to varying degrees, much longer than those of adenosine itself.
IT 53296-10-9, 2-Phenylaminoadenosine
RL: BIOL (Biological study)
(blood pressure and heart rate response to, structure in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

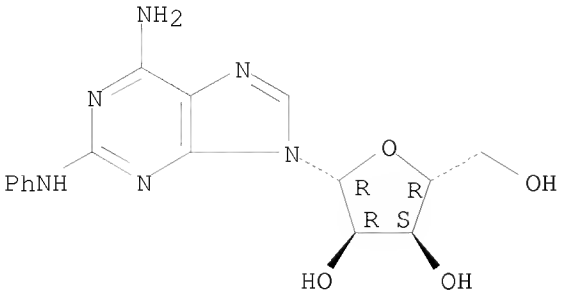
Absolute stereochemistry.



OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 153 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1987:78255 CAPLUS
DN 106:78255
OREF 106:12705a,12708a
TI Species differences in structure-activity relationships of adenosine agonists and xanthine antagonists at brain A1 adenosine receptors
AU Ukena, Dieter; Jacobson, Kenneth A.; Padgett, William L.; Ayala, Cristina; Shamim, Mah T.; Kirk, Kenneth L.; Olsson, Ray O.; Daly, John W.
CS Natl. Inst. Diabetes, and Dig. Kidney Dis., Natl. Inst. Health, Bethesda, MD, 20892, USA
SO FEBS Letters (1986), 209(1), 122-8
CODEN: FEBLAL; ISSN: 0014-5793
DT Journal
LA English
AB A series of 28 adenosine analogs and 17 xanthines were assessed as inhibitors of N6-[-[3H]phenylisopropyladenosine binding to A1 adenosine receptors in membranes from rat, calf, and guinea pig brain. Potencies of N6-alkyl- and N6-cycloalkyladenosines are similar in the different species. However, the presence of an aryl or heteroaryl moiety in the N6 substituent results in marked species differences with certain such analogs being about 30-fold more potent at receptors in calf than in guinea pig brain. Potencies at receptors in rat brain are intermediate. Conversely, 2-chloroadenosine [146-77-0] and 5'-N-ethylcarboxamidoadenosine [35920-39-9] are .apprx.10-fold less potent at receptors in calf brain than in guinea pig brain. Potencies of xanthines, such as theophylline [58-55-9], caffeine [58-08-2] and 1,3-dipropylxanthine [31542-62-8] are similar in the different species. However, the presence of an 8-Ph or 8-cycloalkyl substituent results in marked species differences. For example, a xanthine amine conjugate of 1,3-dipropyl-8-phenylxanthine is 9-fold more potent at receptors in calf than in rat brain and 110-fold more potent in calf than in guinea pig brain. Such differences indicate that brain A1 adenosine receptors are not identical in recognition sites for either agonists or antagonists in different mammalian species.
IT 53296-10-9
RL: BIOL (Biological study)
(adenosine A1 receptor binding by, structure and species in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

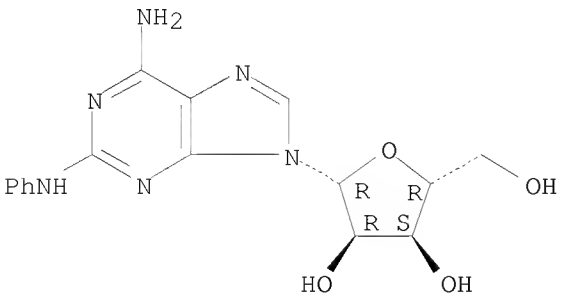
Absolute stereochemistry.



OSC.G 26 THERE ARE 26 CAPLUS RECORDS THAT CITE THIS RECORD (26 CITINGS)

L4 ANSWER 154 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1987:16911 CAPLUS
DN 106:16911
OREF 106:2905a,2908a
TI The effects of adenosine agonists on human neutrophil function
AU Schrier, Denis J.; Imre, Kathleen M.
CS Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SO Journal of Immunology (1986), 137(10), 3284-9
CODEN: JOIMA3; ISSN: 0022-1767
DT Journal
LA English
AB Adenosine is a potent physiol. substance with a variety of biol. activities. Many of the effects of adenosine appear to be mediated by 2 populations of cell-surface adenosine receptors (A1 and A2). The effects were examined of several adenosine receptor agonists on human neutrophils stimulated with the chemotactic peptide N-formyl-Met-Leu-Phe (FMLP). Both superoxide generation and degranulation (as assessed by lysozyme release) were inhibited. Inhibition correlated most strongly with A2 receptor affinity for both parameters and was reversible by the adenosine receptor antagonist 8-phenyltheophylline. Because toxic O metabolites and degradative enzymes are implicated in a variety of inflammatory disorders, adenosine agonists may be useful probes to help expand knowledge of the role of these mediators in human disease.
IT 53296-10-9, 2-(Phenylamino)-adenosine
RL: BIOL (Biological study)
(neutrophil function response to, purinergic receptors in, of human)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

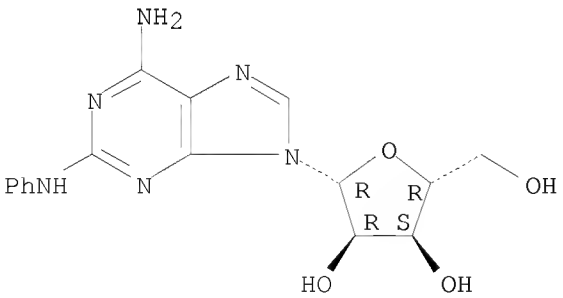
Absolute stereochemistry.



OSC.G 33 THERE ARE 33 CAPLUS RECORDS THAT CITE THIS RECORD (33 CITINGS)

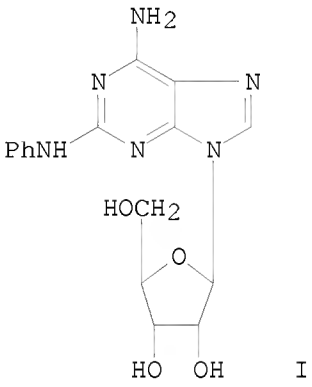
L4 ANSWER 155 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1987:13071 CAPLUS
DN 106:13071
OREF 106:2157a,2160a
TI Adenosine analogs mediating depressant effects on synaptic transmission in rat hippocampus: structure-activity relationships for the N6 subregion
AU Dunwiddie, Thomas V.; Worth, Thomas S.; Olsson, Ray A.
CS Veterans Adm. Med. Res. Serv., Denver, CO, 80220, USA
SO Naunyn-Schmiedeberg's Archives of Pharmacology (1986), 334(1), 77-85
CODEN: NSAPCC; ISSN: 0028-1298
DT Journal
LA English
AB The potencies of a number of N6-substituted adenosine analogs in depressing excitatory synaptic transmission were investigated in slices of rat hippocampus, an electrophysiol. response mediated by receptors of the A1 subtype. These potencies correlated well with previously reported affinities of these analogs for A1 receptor sites in brain, but not with coronary vasodilation in the dog heart, an A2 receptor-mediated response. Analogs with alkyl or aryl substituents at the N6 position were generally more potent than adenosine [41552-82-3], although analogs with a tertiary C attached directly to the N6-N were usually only weakly active. Although it has been suggested that there may be a subregion of the A1 receptor with some specificity for aryl groups, these expts. did not suggest that this was the case. Analogs with chiral centers attached to the N6-N usually displayed stereoselectivity, with R-isomers more potent than the S-isomers. The mechanism underlying this selectivity appeared to be both a facilitating effect of alkyl substituents in the Pr C1 position of N6-1-phenyl-2(R)-propyladenosine (R-PIA) [38594-96-6], and a hindering effect of substituents in the position normally occupied by the H attached to Pr C2 of R-PIA. Although there are some similarities in terms of requirements for activity at A1 and A2 receptors, differences between the N6 subregions of these receptors are sufficient to permit the development of selective analogs for these 2 receptor sites.
IT 53296-10-9
RL: BIOL (Biological study)
(synaptic neurotransmission in hippocampus inhibition by, structure in relation to)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L4 ANSWER 156 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1986:526814 CAPLUS
DN 105:126814
OREF 105:20297a,20300a
TI Synthetic studies of 2-substituted adenosines. III. Coronary vasodilatory activity of 2-arylaminoadenosines
AU Marumoto, Ryuji; Shima, Shunsuke; Omura, Kiyoshi; Tanabe, Masao; Fujiwara, Syuji; Furukawa, Yoshiyasu
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
SO Takeda Kenkyushoho (1985), 44(3/4), 220-30
CODEN: TAKHAA; ISSN: 0371-5167
DT Journal
LA English
GI



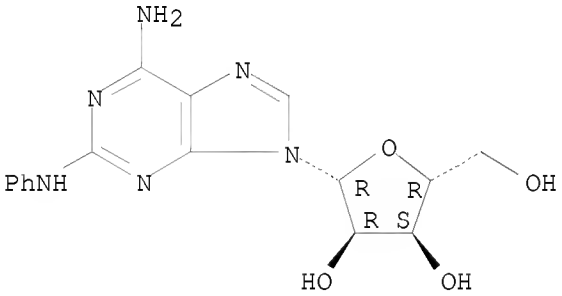
I

AB Sixty-one derivs. of 2-phenylaminoadenosine (CV-1808) (I) were synthesized and their coronary vasodilatory activities were tested after intracoronary administration in anesthetized dogs. Introduction of a variety of substituents into the 4-position of the Ph group led to a considerable decrease in the activity; substitution at the 3-position did not alter the potency, whereas substitution at the 3- or 4-position with a carbamoyl or acyl group increased the activity approx. 10 times. Replacement of the Ph group in I derivs. by a 3-pyridyl ring also resulted in an increase in the activity. Structure-activity relations are discussed.
IT 53296-10-9DP, derivs. 53296-10-9P
53296-19-8P 53296-20-1P 53296-21-2P
70590-18-0P 70590-20-4P 70590-22-6P
70590-23-7P 70590-25-9P 70590-26-0P
70590-27-1P 70590-28-2P 70590-29-3P
70590-30-6P 71231-76-0P 71231-77-1P
71231-78-2P 71231-79-3P 71231-80-6P
71231-81-7P 71231-82-8P 71231-83-9P
71231-84-0P 71231-85-1P 71231-86-2P
74615-32-0P 74615-33-1P 74615-36-4P
74615-37-5P 74615-38-6P 74615-39-7P
74615-40-0P 74615-41-1P 74615-42-2P
75106-29-5P 75106-30-8P 75106-32-0P

75106-33-1P 76888-18-1P 102711-68-2P
102711-69-3P 102711-70-6P 102711-71-7P
102711-72-8P 102711-87-5P 102711-88-6P
102711-89-7P 102711-90-0P 102711-91-1P
102711-92-2P 102711-93-3P 102711-94-4P
102711-95-5P 102711-96-6P 102711-97-7P
102711-98-8P 102711-99-9P 102712-00-5P
102712-01-6P 102712-02-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and vasodilator activity of, structure in relation to)

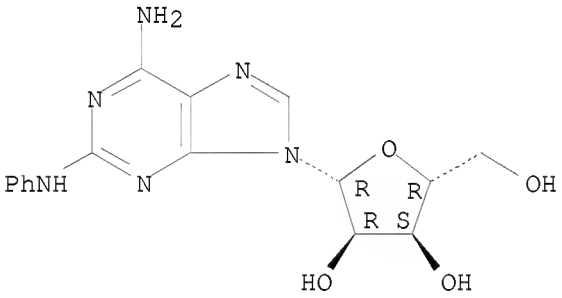
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



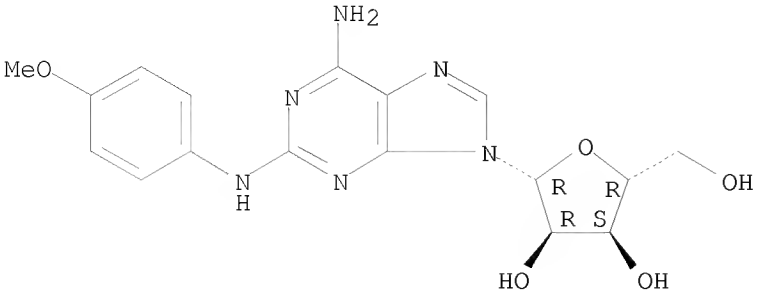
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



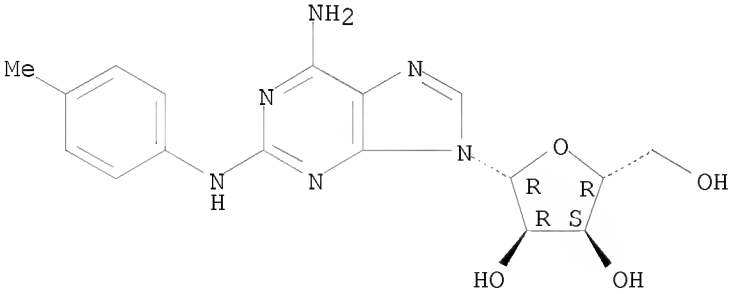
RN 53296-19-8 CAPLUS
CN Adenosine, 2-[(4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



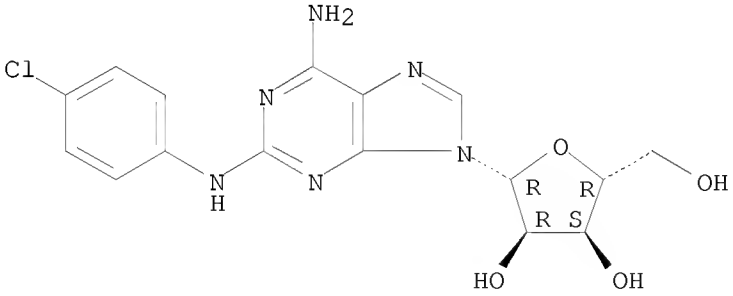
RN 53296-20-1 CAPLUS
CN Adenosine, 2-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



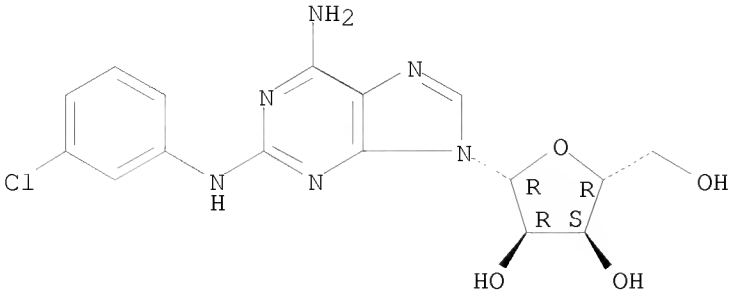
RN 53296-21-2 CAPLUS
CN Adenosine, 2-[(4-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



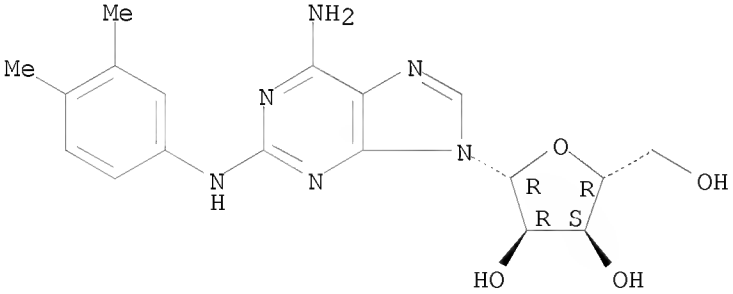
RN 70590-18-0 CAPLUS
CN Adenosine, 2-[(3-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



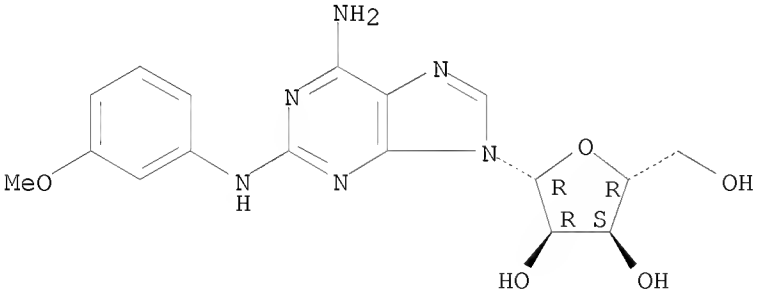
RN 70590-20-4 CAPLUS
CN Adenosine, 2-[(3,4-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



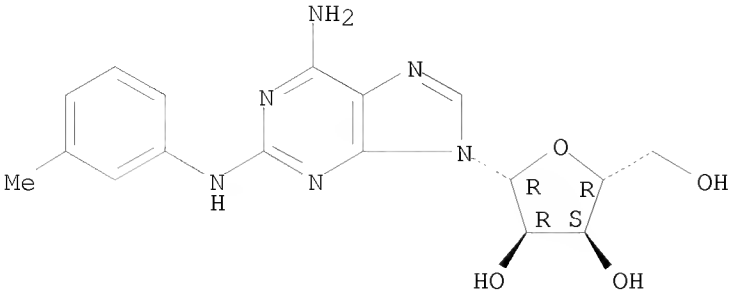
RN 70590-22-6 CAPLUS
CN Adenosine, 2-[(3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



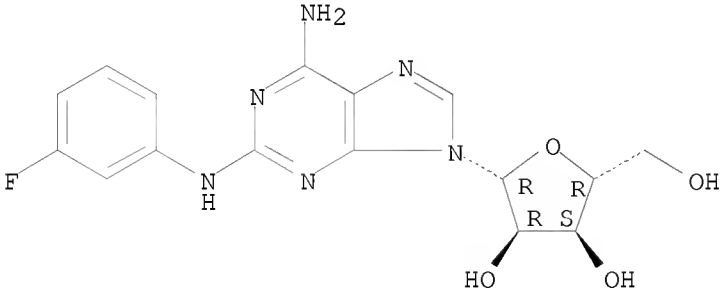
RN 70590-23-7 CAPLUS
CN Adenosine, 2-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



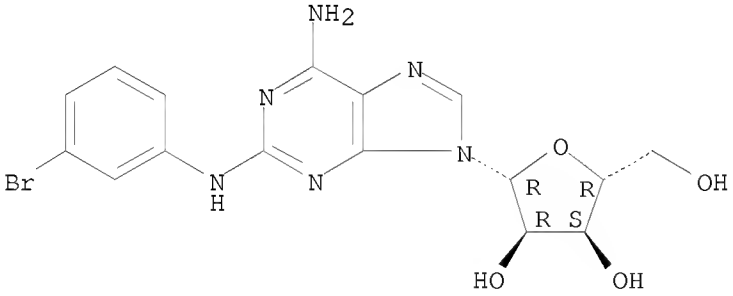
RN 70590-25-9 CAPLUS
CN Adenosine, 2-[(3-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



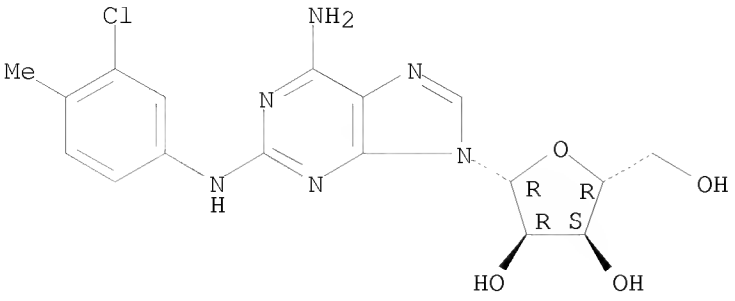
RN 70590-26-0 CAPLUS
CN Adenosine, 2-[(3-bromophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



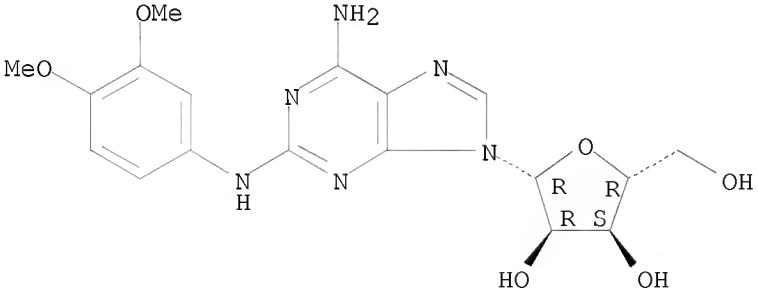
RN 70590-27-1 CAPLUS
CN Adenosine, 2-[(3-chloro-4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



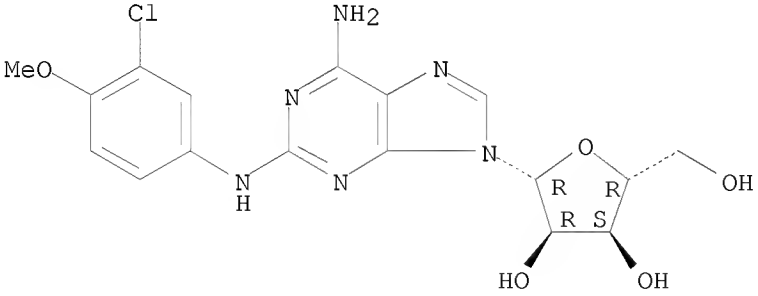
RN 70590-28-2 CAPLUS
CN Adenosine, 2-[(3,4-dimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



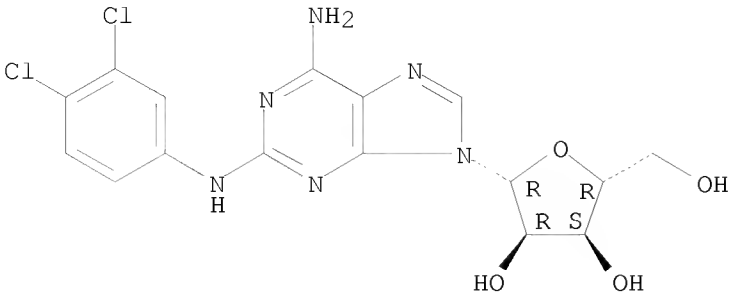
RN 70590-29-3 CAPLUS
CN Adenosine, 2-[(3-chloro-4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



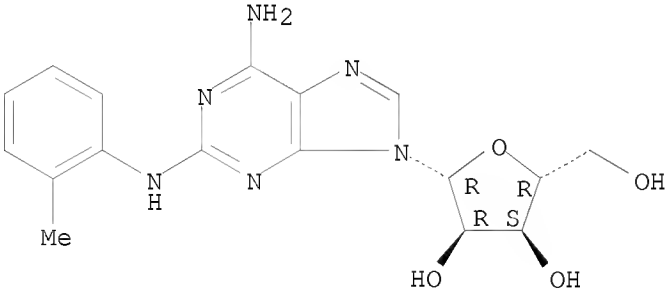
RN 70590-30-6 CAPLUS
CN Adenosine, 2-[(3,4-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



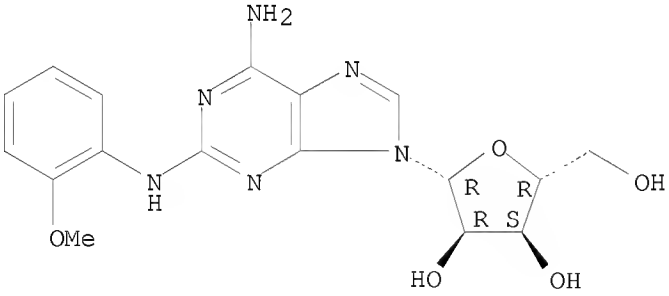
RN 71231-76-0 CAPLUS
CN Adenosine, 2-[(2-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



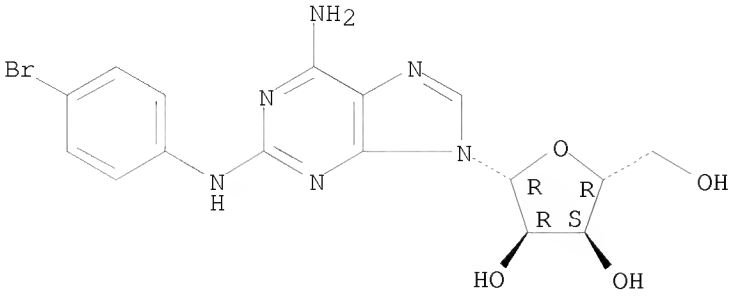
RN 71231-77-1 CAPLUS
CN Adenosine, 2-[(2-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



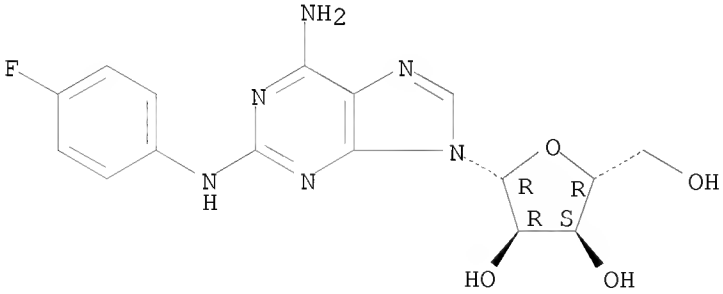
RN 71231-78-2 CAPLUS
CN Adenosine, 2-[(4-bromophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



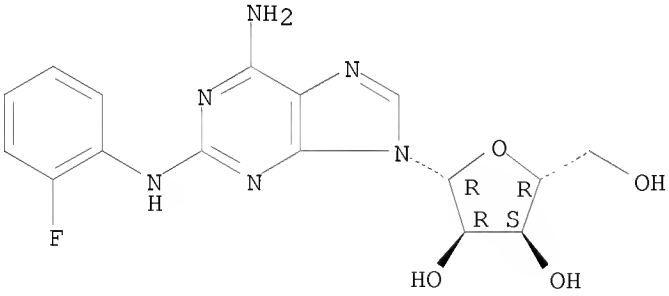
RN 71231-79-3 CAPLUS
CN Adenosine, 2-[(4-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



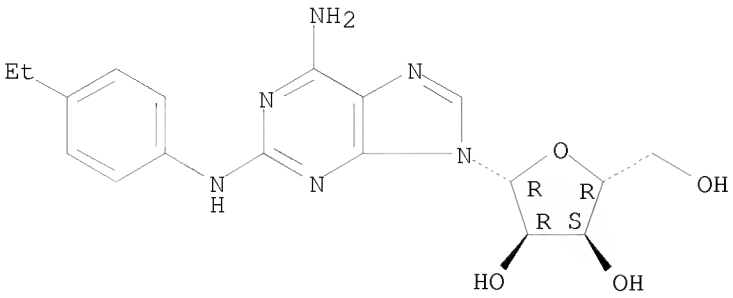
RN 71231-80-6 CAPLUS
CN Adenosine, 2-[(2-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



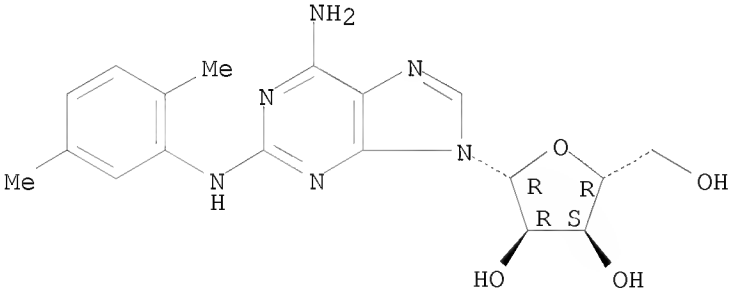
RN 71231-81-7 CAPLUS
CN Adenosine, 2-[(4-ethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



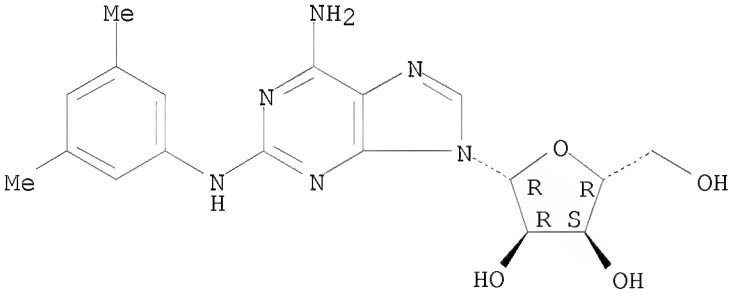
RN 71231-82-8 CAPLUS
CN Adenosine, 2-[(2,5-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



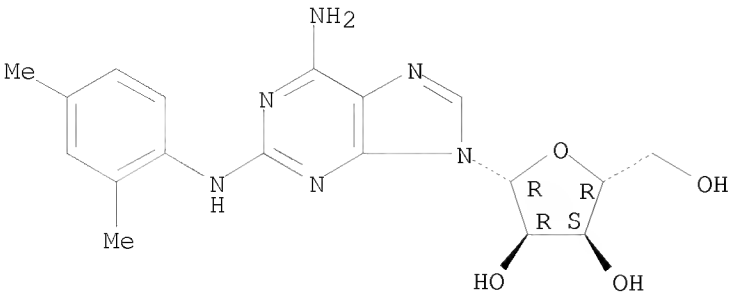
RN 71231-83-9 CAPLUS
CN Adenosine, 2-[(3,5-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



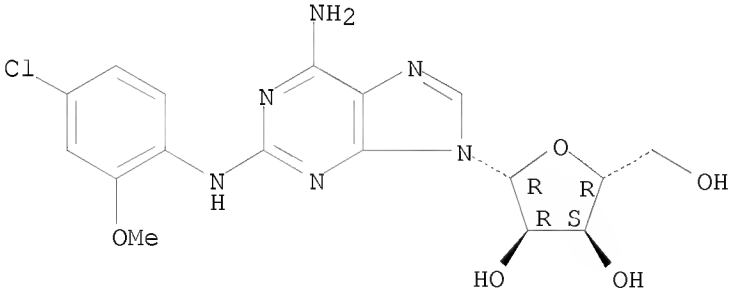
RN 71231-84-0 CAPLUS
CN Adenosine, 2-[(2,4-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



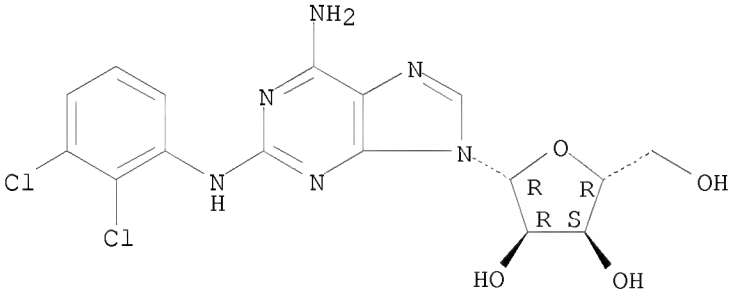
RN 71231-85-1 CAPLUS
CN Adenosine, 2-[(4-chloro-2-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



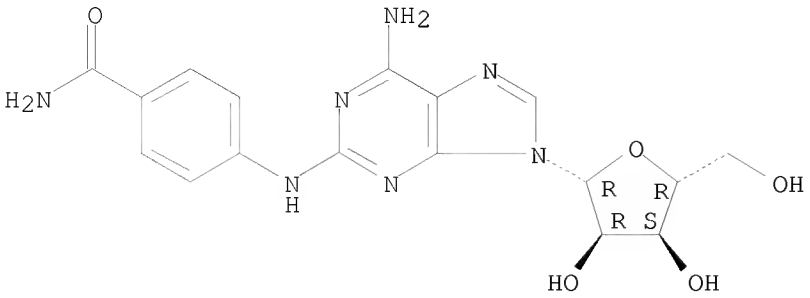
RN 71231-86-2 CAPLUS
CN Adenosine, 2-[(2,3-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



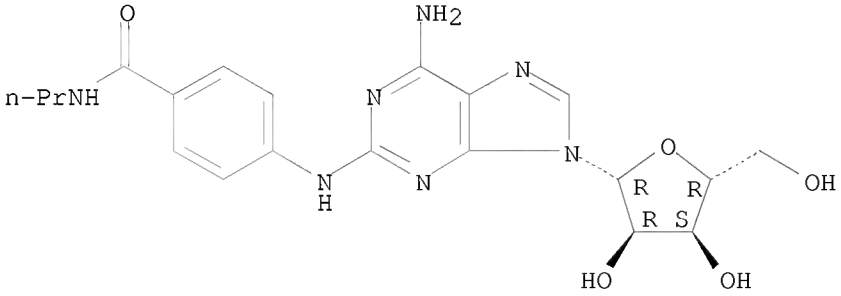
RN 74615-32-0 CAPLUS
CN Adenosine, 2-[[4-(aminocarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



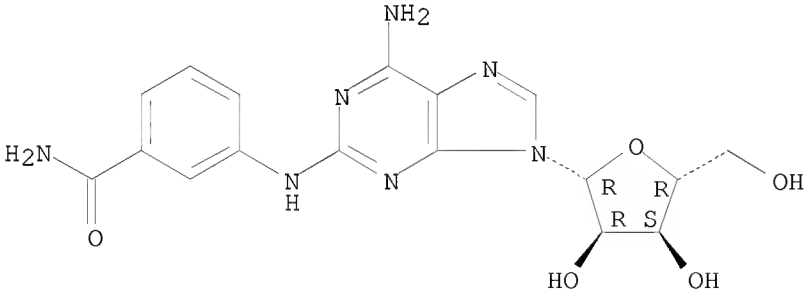
RN 74615-33-1 CAPLUS
CN Adenosine, 2-[[4-[(propylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



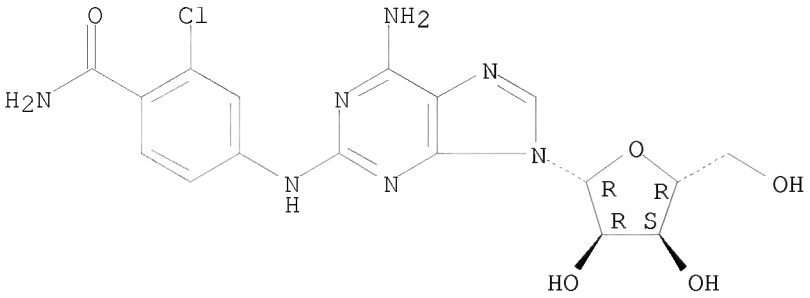
RN 74615-36-4 CAPLUS
CN Adenosine, 2-[[3-(aminocarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



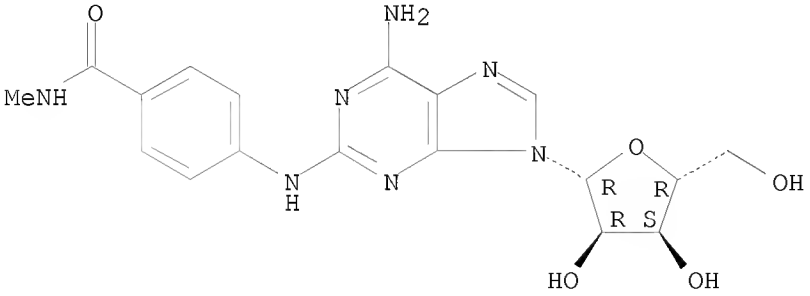
RN 74615-37-5 CAPLUS
CN Adenosine, 2-[[4-(aminocarbonyl)-3-chlorophenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



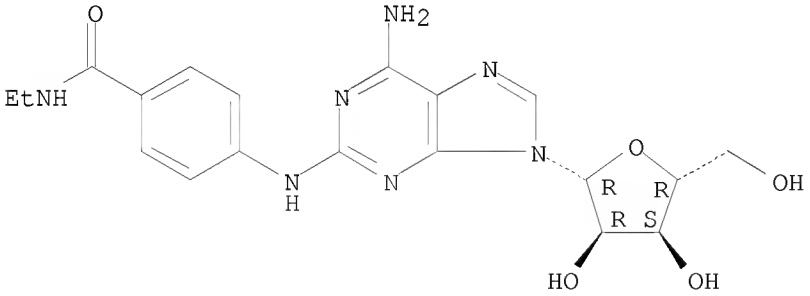
RN 74615-38-6 CAPLUS
CN Adenosine, 2-[[4-[(methylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



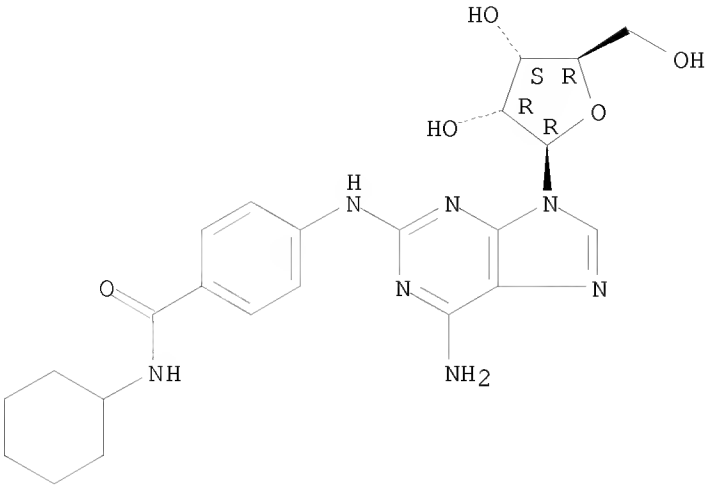
RN 74615-39-7 CAPLUS
CN Adenosine, 2-[[4-[(ethylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



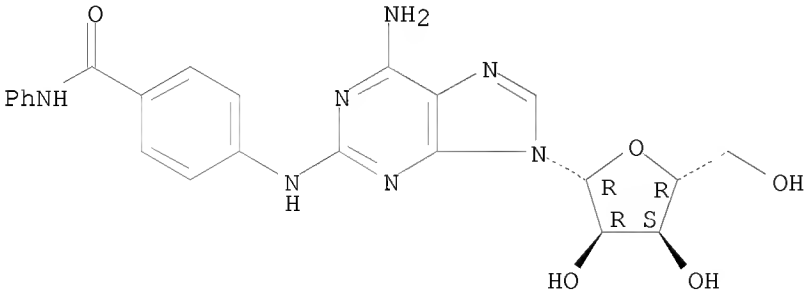
RN 74615-40-0 CAPLUS
CN Adenosine, 2-[[4-[(cyclohexylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



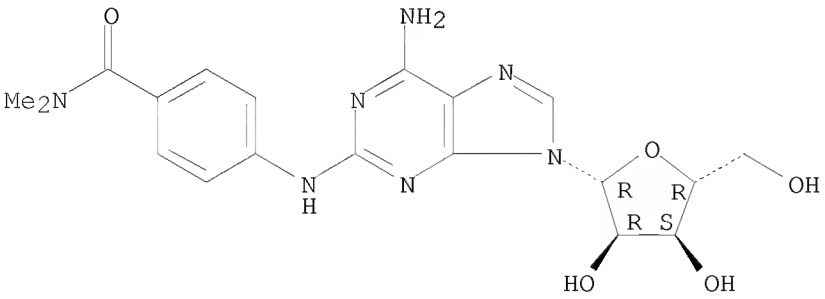
RN 74615-41-1 CAPLUS
CN Adenosine, 2-[[4-[(phenylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 74615-42-2 CAPLUS
CN Adenosine, 2-[[4-[(dimethylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

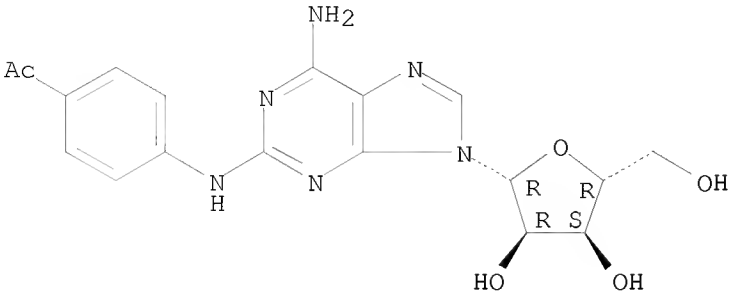
Absolute stereochemistry.



RN 75106-29-5 CAPLUS

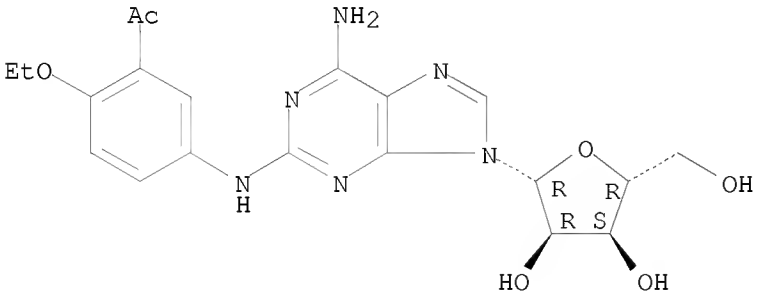
CN Adenosine, 2-[(4-acetylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



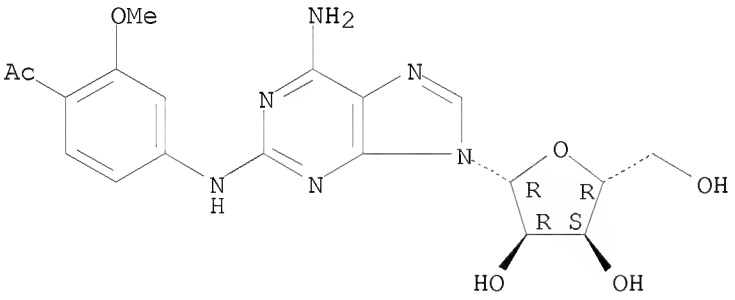
RN 75106-30-8 CAPLUS
CN Adenosine, 2-[(3-acetyl-4-ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



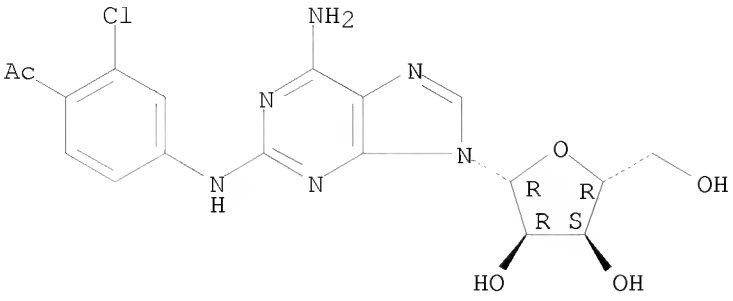
RN 75106-32-0 CAPLUS
CN Adenosine, 2-[(4-acetyl-3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



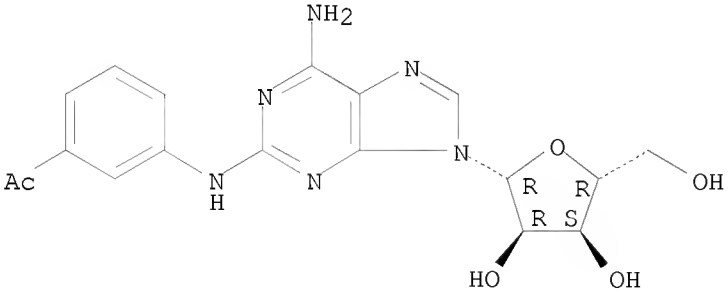
RN 75106-33-1 CAPLUS
CN Adenosine, 2-[(4-acetyl-3-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



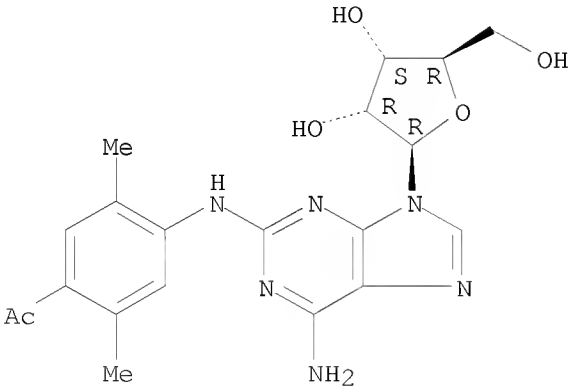
RN 76888-18-1 CAPLUS
CN Adenosine, 2-[(3-acetylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



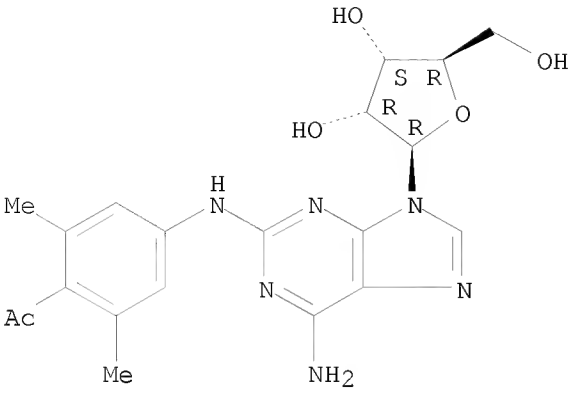
RN 102711-68-2 CAPLUS
CN Adenosine, 2-[(4-acetyl-2,5-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



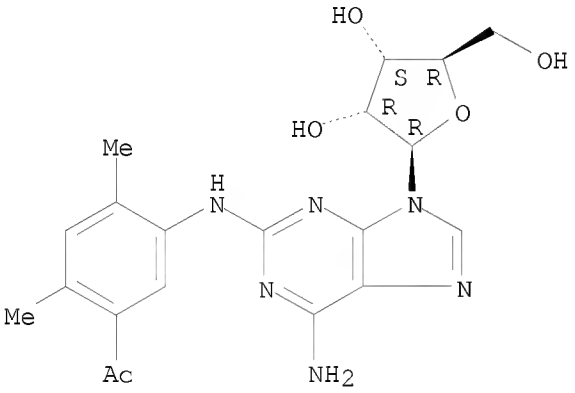
RN 102711-69-3 CAPLUS
CN Adenosine, 2-[(4-acetyl-3,5-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



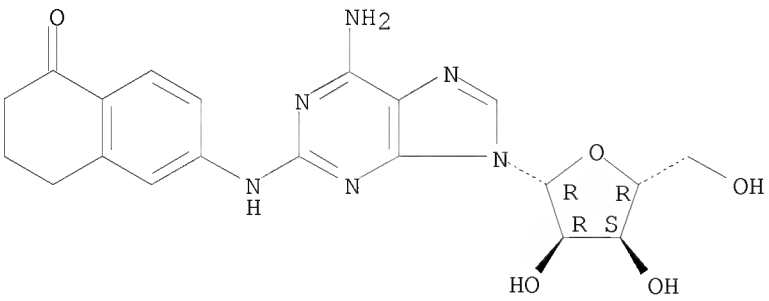
RN 102711-70-6 CAPLUS
CN Adenosine, 2-[(5-acetyl-2,4-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 102711-71-7 CAPLUS
CN Adenosine, 2-[(5,6,7,8-tetrahydro-5-oxo-2-naphthalenyl)amino]- (9CI) (CA INDEX NAME)

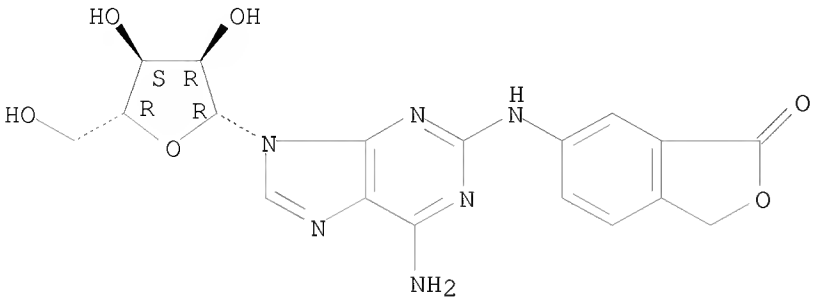
Absolute stereochemistry.



RN 102711-72-8 CAPLUS

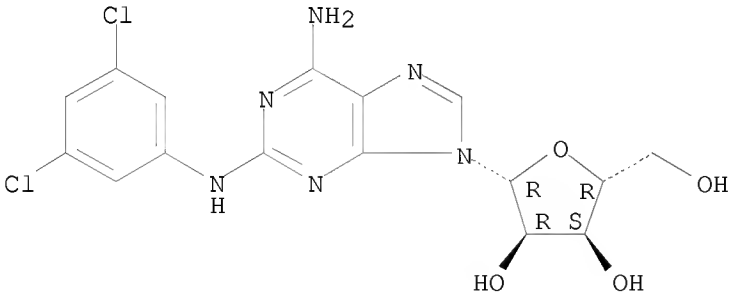
CN Adenosine, 2-[(1,3-dihydro-3-oxo-5-isobenzofuranyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



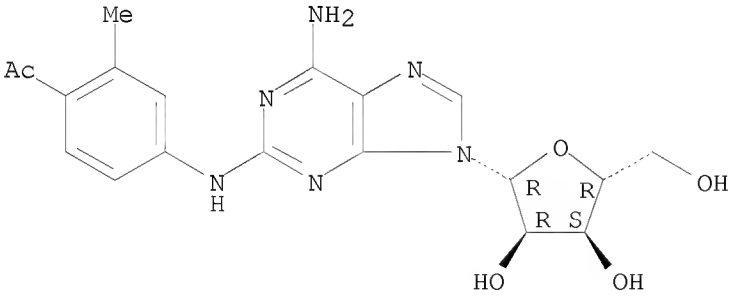
RN 102711-87-5 CAPLUS
CN Adenosine, 2-[(3,5-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



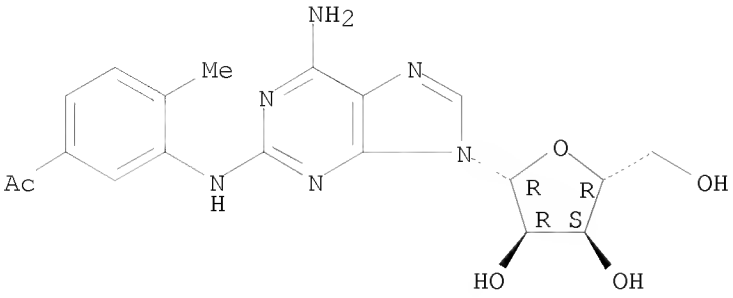
RN 102711-88-6 CAPLUS
CN Adenosine, 2-[(4-acetyl-3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



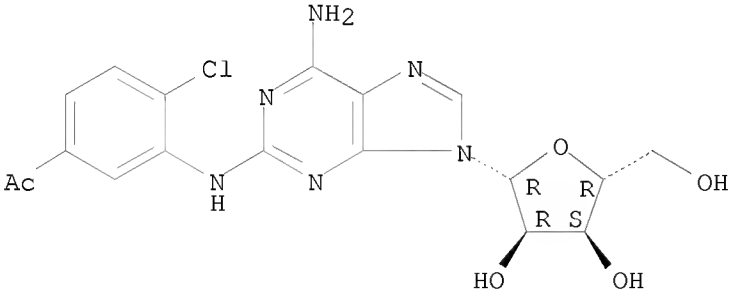
RN 102711-89-7 CAPLUS
CN Adenosine, 2-[(5-acetyl-2-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



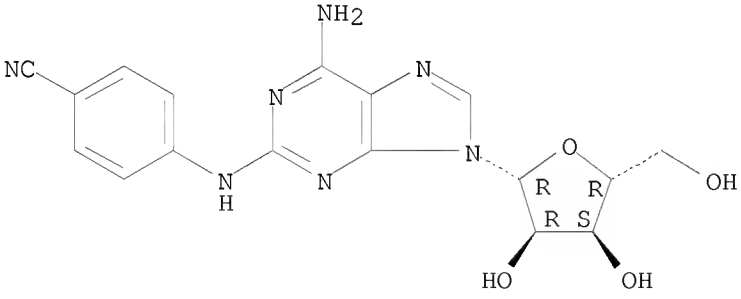
RN 102711-90-0 CAPLUS
CN Adenosine, 2-[(5-acetyl-2-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



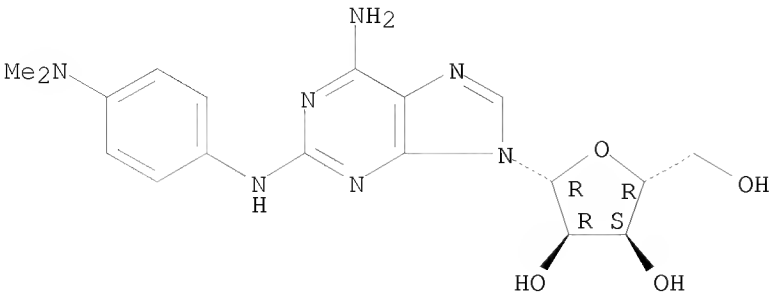
RN 102711-91-1 CAPLUS
CN Adenosine, 2-[(4-cyanophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



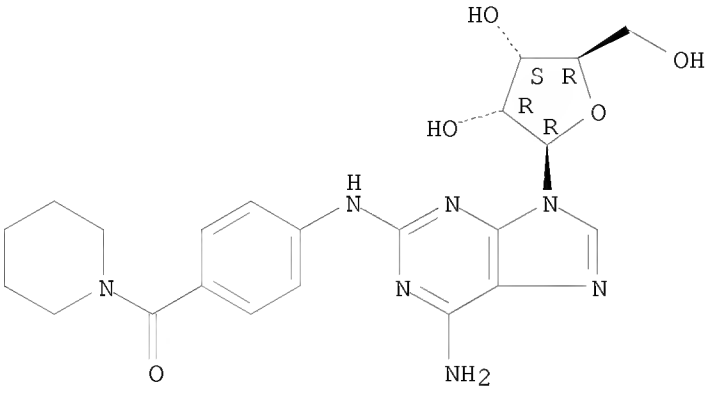
RN 102711-92-2 CAPLUS
CN Adenosine, 2-[[4-(dimethylamino)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



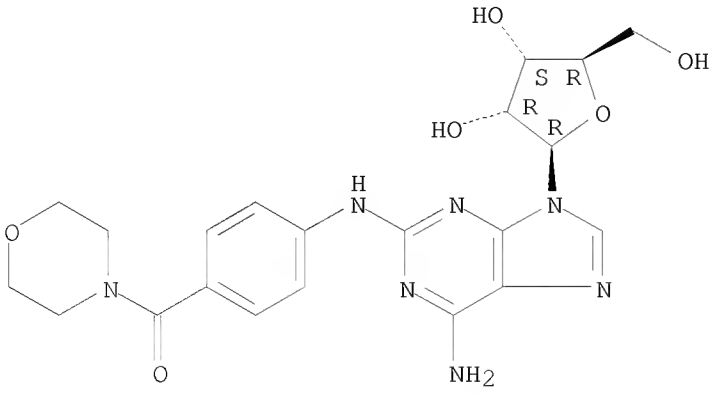
RN 102711-93-3 CAPLUS
CN Adenosine, 2-[[4-(1-piperidinylcarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



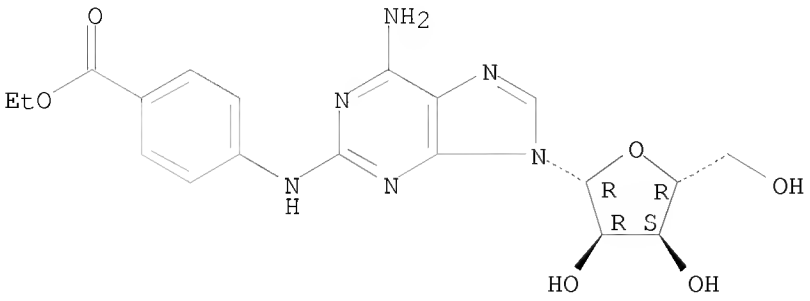
RN 102711-94-4 CAPLUS
CN Adenosine, 2-[[4-(4-morpholinylcarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



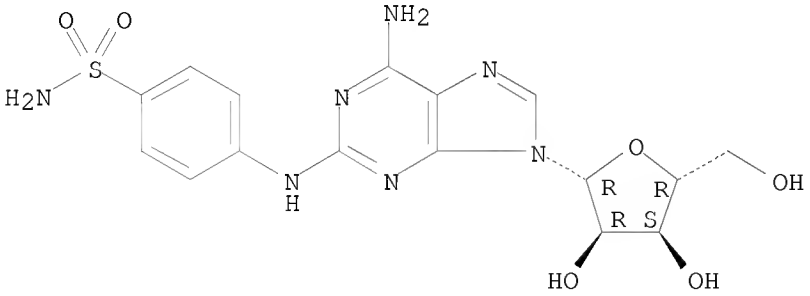
RN 102711-95-5 CAPLUS
CN Benzoic acid, 4-[(6-amino-9-β-D-ribofuranosyl-9H-purin-2-yl)amino]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



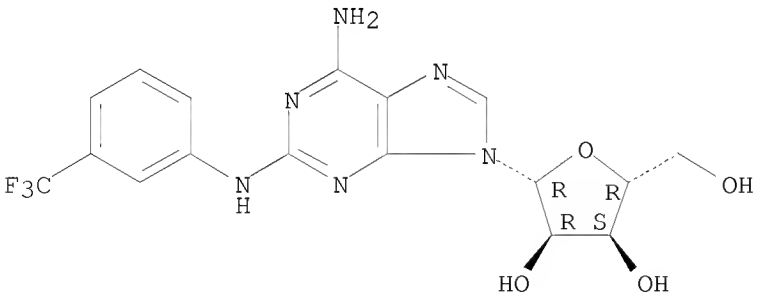
RN 102711-96-6 CAPLUS
CN Adenosine, 2-[[4-(aminosulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



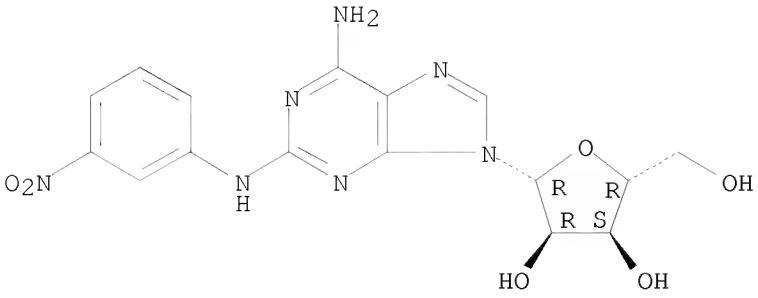
RN 102711-97-7 CAPLUS
CN Adenosine, 2-[[3-(trifluoromethyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



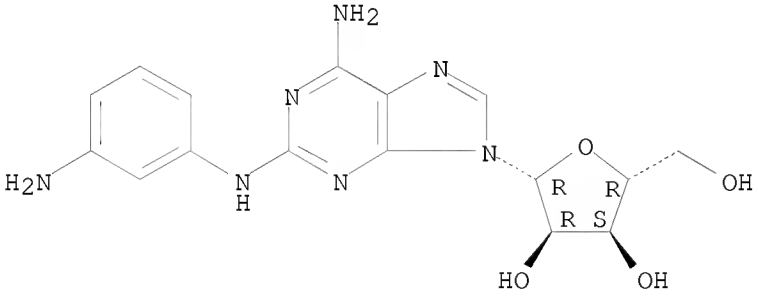
RN 102711-98-8 CAPLUS
CN Adenosine, 2-[(3-nitrophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



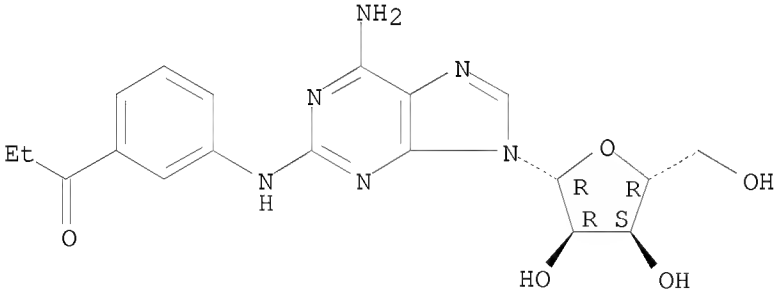
RN 102711-99-9 CAPLUS
CN Adenosine, 2-[(3-aminophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



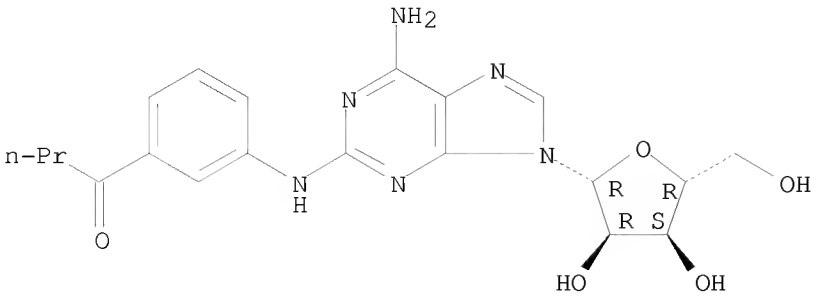
RN 102712-00-5 CAPLUS
CN Adenosine, 2-[[3-(1-oxopropyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



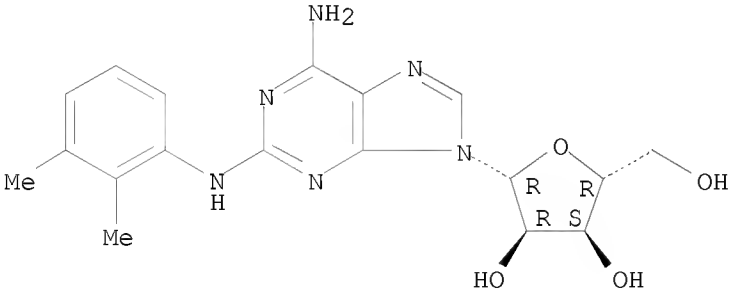
RN 102712-01-6 CAPLUS
CN Adenosine, 2-[[3-(1-oxobutyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 102712-02-7 CAPLUS
CN Adenosine, 2-[(2,3-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



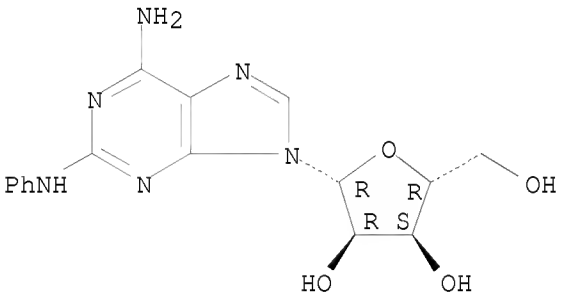
OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 157 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1986:491834 CAPLUS
DN 105:91834
OREF 105:14729a,14732a
TI Towards selective adenosine antagonists
AU Bruns, R. F.; Lu, G. H.; Pugsley, T. A.
CS Dep. Pharmacol., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SO Adenosine: Recept. Modulation Cell Funct., Proc. Int. Workshop Adenosine Xanthine Deriv. (1985), Meeting Date 1984, 51-8. Editor(s): Stefanovich, V.; Rudolphi, K.; Schubert, P. Publisher: IRL, Oxford, UK.
CODEN: 55CNAD
DT Conference
LA English
AB Affinities of adenosine antagonists for the A1 and A2 subtypes of adenosine receptors were determined: A1 affinities from 3H-labeled N6-cyclohexyladenosine [36396-99-3] binding to membranes from rat whole brain, and A2 affinities from 3H-labeled 1-(6-amino-9H-purin-9-yl)-1-deoxy-N-ethyl-β-D-ribofuronamide [35920-39-9] binding to rat striatal membranes in the presence of 50 nM N6-cyclopentyladenosine [41552-82-3]. The compds. were also tested for water solubility and for inhibition of the 3 forms of cytosolic phosphodiesterase from guinea pig heart. Most of the common xanthines were 3-10-fold selective for A1 receptors. 8-Cyclopentyltheophylline [35873-49-5] had 100-fold selectivity for A1-receptors and reasonable

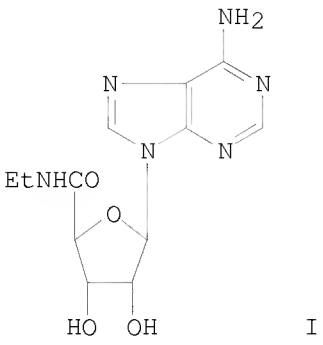
water solubility Alloxazine [490-59-5] had 2-fold selectivity for A2 receptors but was not very soluble relative to its adenosine receptor median inhibitory concentration (IC50). PD 113,297 [96445-35-1], a xanthine derivative containing a tertiary amine, was a potent adenosine antagonist (A1 IC50 8 nM, A2 IC50, 100 nM) with good water solubility The above antagonists produced negligible phosphodiesterase inhibition even at concns. which completely occupied adenosine receptors.

IT 53296-10-9
RL: PRP (Properties)
(adenosine receptor subtype affinity of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 158 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1986:419132 CAPLUS
DN 105:19132
OREF 105:3097a,3100a
TI Characterization of the A2 adenosine receptor labeled by [3H]NECA in rat striatal membranes
AU Bruns, Robert F.; Lu, Gina H.; Pugsley, Thomas A.
CS Dep. Pharmacol., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SO Molecular Pharmacology (1986), 29(4), 331-46
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English
GI



I

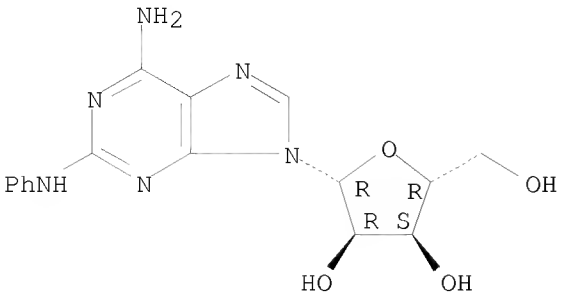
AB To study the putative A2 component of 3H-labeled NECA (I) [35920-39-9] binding, several compds. were examined for the ability to selectively eliminate the A1 component of binding in rat striatal membranes; N6-cyclopentyladenosine [41552-82-3] gave the most satisfactory results. Binding of [3H]NECA in the presence of 50 nM N6-cyclopentyladenosine was characterized. The rank order of potency for inhibition of [3H]NECA binding was NECA »2-chloroadenosine [146-77-0] > N6-[(R)-1-methyl-2-phenylethyl]adenosine (R-PIA) [38594-96-6] > N6-cyclohexyladenosine [36396-99-3] > S-PIA [38594-97-7], indicating that binding was to an A2 adenosine receptor. When affinities of compds. in [3H]NECA binding to A2 receptors were compared to their affinities in [3H]N6-cyclohexyladenosine binding to A1 receptors, N6-cyclopentyladenosine was the most A1-sensitive agonist (A1 inhibition constant (Ki), 0.59 nM; A2Ki, 460 nM; Ki ratio, 780), whereas the selective coronary vasodilator 2-(phenylamino)adenosine [53296-10-9] was the most A2-selective agonist (A1, 560 nM; A2, 120 nM; ratio, 0.21). The antagonist 8-cyclopentyltheophylline had considerable A1 selectivity (A1, 11 nM; A2, 1400 nM; ratio, 130), whereas alloxazine had slight A2 selectivity (A1, 5200 nM; A2, 2700; ratio, 0.52). [3H]NECA binding to A2 receptors was highest in striatum but was detectable at much lower levels in each of 7 other brain areas. The regional distribution of [3H]NECA binding and the affinities of adenosine agonists and antagonists for inhibition of binding indicate that the site labeled by [3H]NECA belongs to the high-affinity, or A2a, subclass of A2 receptor.

IT 53296-10-9
RL: BIOL (Biological study)
(purinergic A1 and A2 receptors binding of, in brain membranes, structure in relation to)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

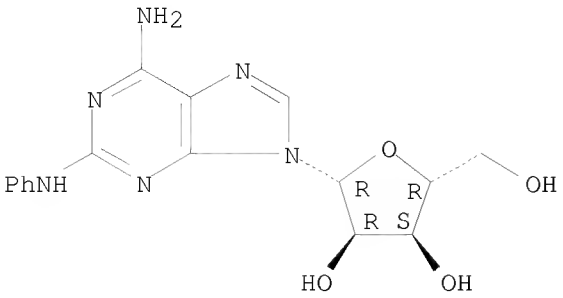
Absolute stereochemistry.



OSC.G 284 THERE ARE 284 CAPLUS RECORDS THAT CITE THIS RECORD (284 CITINGS)

L4 ANSWER 159 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1986:142664 CAPLUS
DN 104:142664
OREF 104:22415a,22418a
TI Behavioral characteristics of centrally administered adenosine analogs
AU Phillis, J. W.; Barraco, R. A.; DeLong, R. E.; Washington, D. O.
CS Sch. Med., Wayne State Univ., Detroit, MI, 48201, USA
SO Pharmacology, Biochemistry and Behavior (1986), 24(2), 263-70
CODEN: PBBHAU; ISSN: 0091-3057
DT Journal
LA English
AB A series of adenosine analogs and related compds. were injected into the lateral cerebral ventricle (ICVT) and their effects on spontaneous locomotor activity of mice recorded. All analogs produced dose-related decreases in locomotor activity 5'-N6-ethyl-carboxamidoadenosine (NECA) [35920-39-9] was the most potent compound tested, with a number of N6-substituted analogs also being effective depressants of activity. Caffeine, administered either ICVT or i.p., antagonized the depressant effects of the adenosine analogs. IBMX, administered ICVT, depressed locomotor activity. However, after caffeine, IBMX elicited behavioral stimulation. Agents which inhibit the transport of adenosine [58-61-7] (dipyridamole, dilazep, papaverine) depressed locomotor activity, as did erythro-9-(2-hydroxy-3-nonyl)adenine (EHNA), an inhibitor of adenosine deaminase. The effects of dilazep, papaverine, and EHNA, but not of dipyridamole, were antagonized by caffeine. Endogenous adenosine is apparently involved in the regulation of central nervous system excitability.
IT 53296-10-9
RL: BIOL (Biological study)
(behavior response to intracerebroventricular administration of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

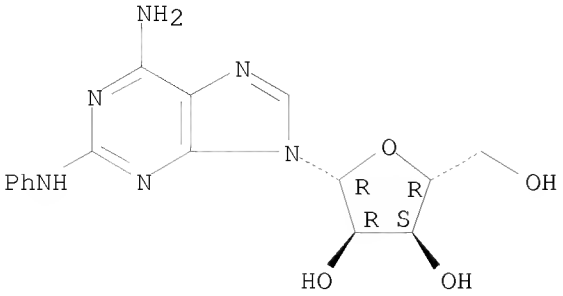
Absolute stereochemistry.



OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

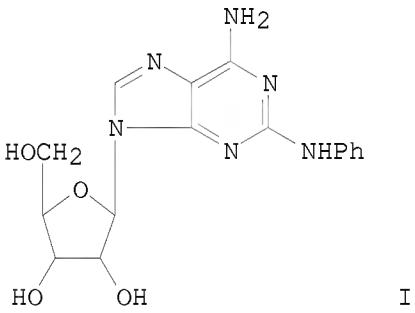
L4 ANSWER 160 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1984:465868 CAPLUS
DN 101:65868
OREF 101:10039a,10042a
TI Further studies on the inhibition of adenosine uptake into rat brain synaptosomes by adenosine derivatives and methylxanthines
AU Wu, P. H.; Barraco, R. A.; Phillis, J. W.
CS Sch. Med., Wayne State Univ., Detroit, MI, 48201, USA
SO General Pharmacology (1984), 15(3), 251-4
CODEN: GEPHDP; ISSN: 0306-3623
DT Journal
LA English
AB Various compds. were tested for their abilities to inhibit the rapid uptake of adenosine [58-61-7] by rat cerebral cortical synaptosomes. Several pharmacol. potent derivs. of adenosine were weak inhibitors of uptake, with 20% inhibitory concns. (IC20) >10-5M. Derivs. in this category were adenosine-5'-N-ethylcarboxamide [74992-42-0], adenosine-5'-cyclopropylcarboxamide [50908-62-8], N6-cyclohexyladenosine [36396-99-3], L-N6-phenylisopropyladenosine [38594-97-7], 1-methylisoguanosine [70639-65-5], 2-phenylaminoadenosine [53296-10-9], and 5-iodotubericidin [91284-08-1]. Several methylxanthines were very weak inhibitors of adenosine uptake. These included pentoxifylline [6493-05-6], hexyltheophylline [1028-36-0], butyltheobromine [1143-30-2], and isoamyltheobromine [1024-65-3]. HL 725 [78416-81-6], a pyrimidoisoquinoline with potent phosphodiesterase-inhibitory activity, inhibited adenosine uptake with an IC20 of 2.0 × 10-6M. PK 11195 [85532-75-8], a putative ligand for the peripheral benzodiazepine binding site, did not alter uptake at 10-4M.
IT 53296-10-9
RL: BIOL (Biological study)
(adenosine uptake by brain synaptosome inhibition by)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD (8 CITINGS)

L4 ANSWER 161 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1984:448402 CAPLUS
DN 101:48402
OREF 101:7403a,7406a
TI Inhibition of coronary circulatory failure and thromboxane A2 release during coronary occlusion and reperfusion by 2-phenylaminoadenosine (CV-1808) in anesthetized dogs
AU Tanabe, M.; Terashita, Z.; Nishikawa, K.; Hirata, M.
CS Cent. Res. Div., Takeda Chem. Ind. Ltd., Osaka, Japan
SO Journal of Cardiovascular Pharmacology (1984), 6(3), 442-8
CODEN: JCPCDT; ISSN: 0160-2446
DT Journal
LA English
GI



I

AB The effects of a potent coronary vasodilator, CV-1808 (I) [53296-10-9], on coronary circulatory failure and thromboxane (TX) A2 [66719-58-2] release were studied during coronary occlusion (for 60 min) and subsequent reperfusion (for 60 min) in anesthetized dogs. During coronary reperfusion, the reactive hyperemic response was attenuated, and coronary conductance decreased gradually with time, suggesting coronary circulatory failure. TXA2 release was markedly increased, as demonstrated by contraction of rabbit aortic strips perfused with coronary venous blood draining the ischemic myocardium, and by increased release of radioimmunol. assayable TXB2. CV-1808 (0.25 μg/kg/min i.v. infusion throughout the exptl. period, starting 10 min before coronary occlusion) inhibited coronary circulatory failure and TXA2 release. TXA2 synthetase

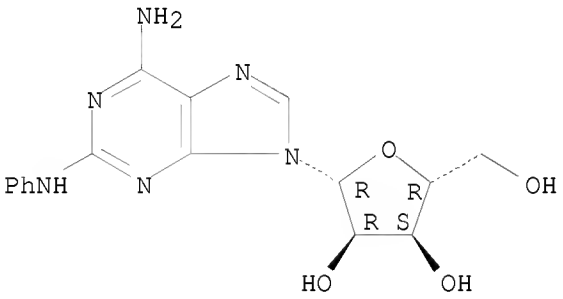
[60832-04-4] of horse platelet microsomes was not significantly inhibited (-11.6%) by 10-4M CV-1808. The compound (10-5 and 10-4M) inhibited collagen-induced TXB2 [54397-85-2] formation in a dose-dependent manner (-23.0 and -74.0%, resp.), but not arachidonic acid-induced TXB2 formation by dog platelets, suggesting that CV-1808 inhibited phospholipases. Myocardial infarct size determined 60 min after reperfusion was significantly reduced by CV-1808. Thus, CV-1808 appeared to be effective for salvaging ischemic myocardium. The effect might be related to improvement of coronary circulation and inhibition of release of vasoactive substances, including TXA2, from the ischemic myocardium.

IT 53296-10-9
RL: BIOL (Biological study)
(heart ischemia response to)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 162 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1983:172895 CAPLUS

DN 98:172895

OREF 98:26089a,26092a

TI Potentiation of the negative chronotropic and inotropic effects of adenosine by 2-phenylaminoadenosine

AU Chiba, Shigetoshi; Watanabe, Hidehiko

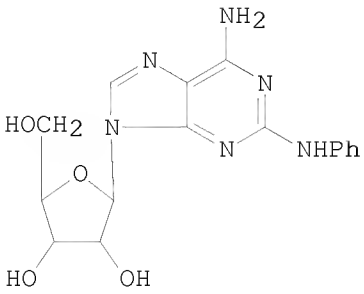
CS Sch. Med., Shinshu Univ., Matsumoto, 390, Japan

SO Clinical and Experimental Pharmacology and Physiology (1983), 10(1), 1-5
CODEN: CEXPB9; ISSN: 0305-1870

DT Journal

LA English

GI



I

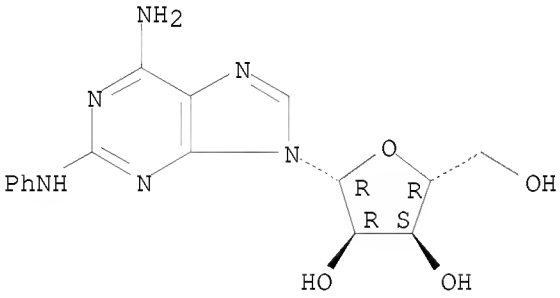
AB The effects of 2-phenylaminoadenosine (I) [53296-10-9] on sinoatrial nodal pacemaker activity and atrial contractility were studied in isolated, blood-perfused dog atrial prepns. The compds. were administered via the cannulated sinus node artery of the isolated atrium. I caused neg. chronotropic and inotropic effects. The compound was 100 times less potent than adenosine [58-61-7]. I potentiated the effect of adenosine on atrial muscle, but not that of acetylcholine.

IT 53296-10-9
RL: BIOL (Biological study)
(heart response to adenosine in relation to)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 163 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1983:172389 CAPLUS

DN 98:172389

OREF 98:25961a,25964a

TI Quantitation of 6-amino-2-phenylamino-9-β-D-ribofuranosyl-9H-purine (CV-1808) and its metabolite, 2-(4-hydroxyphenyl)aminoadenosine, in human serum and urine by high-performance liquid chromatography using a fluorimetric detector

AU Hayashi, Yoshitatsu; Miyake, Sohachiro; Kuwayama, Motoaki; Hattori, Masatoshi; Usui, Yoshiro

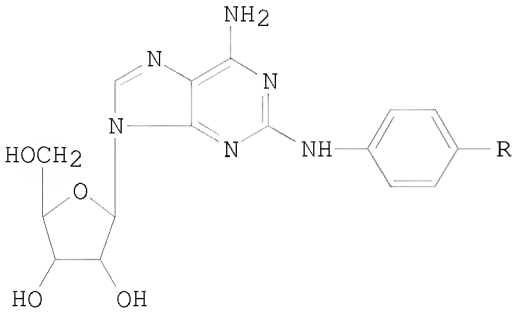
CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan

SO Chemical & Pharmaceutical Bulletin (1982), 30(11), 4107-13
CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

GI



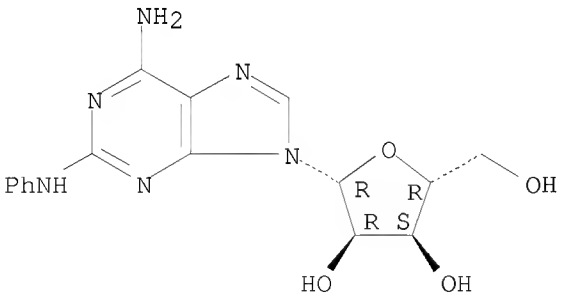
I, R=H
II, R=OH

AB A high performance liquid chromatog. method using a fluorimetric detector for determination of the quantities of CV-1808 (I) [53296-10-9] and its metabolite 2-(4-hydroxyphenyl)aminoadenosine (II) [81613-39-0] in human serum and urine is presented. I and II, after chromatog. extraction from urine or serum with a Sep-Pak C18 cartridge, are allowed to react with propionic anhydride in the presence of triethylamine and the quantities of the resulting propionyl derivs. of I and II (I-P and II-P) are determined by high performance liquid chromatog. on a μPorasil column. The detection limits of I and II are 5.0 and 10.0 ng/mL in urine and 1.0 and 2.0 ng/mL in serum, resp. For a more sensitive determination of the amount of I in serum, a concentrated eluate of I-P from the μPorasil column is rechromatographed on a minicolumn (10 cm × 2 mm I.D.) packed with Lichrosorb SI-60 (5 μm). With this method, a detection limit of 0.1 ng/mL for I in serum is obtained.

IT 53296-10-9 81613-39-0
RL: ANT (Analyte); ANST (Analytical study)
(determination of, in blood and urine of humans by high-performance liquid chromatog.)

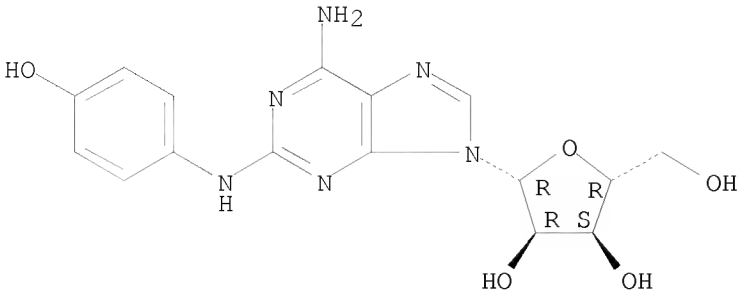
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



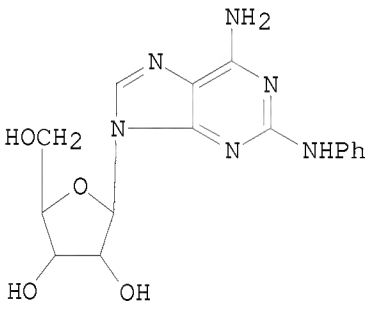
RN 81613-39-0 CAPLUS
CN Adenosine, 2-[(4-hydroxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 164 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1983:65261 CAPLUS
DN 98:65261
OREF 98:9845a,9848a
TI Interaction of 2-phenylamimoadenosine (CV 1808) with adenosine systems in rat tissues
AU Taylor, David A.; Williams, Michael
CS Dep. Pharmacol., Merck Inst. Ther. Res., West Point, PA, 19486, USA
SO European Journal of Pharmacology (1982), 85(3-4), 335-8
CODEN: EJPHAZ; ISSN: 0014-2999
DT Journal
LA English
GI

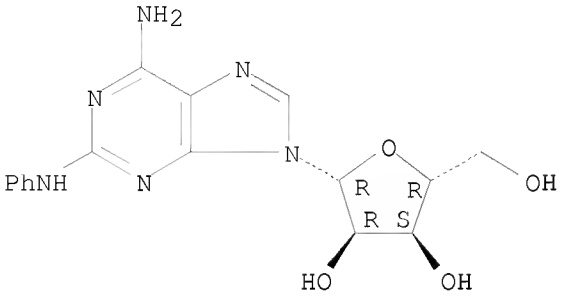


I

AB 2-chloroadenosine (2-CADO) [146-77-0], and CV 1808 (I) [53296-10-9] were compared in a central nervous system purinergic receptor binding assay and the inhibition of neurogenic contractions of the vas deferens. Both 2-CADO and CV 1808 were more potent than adenosine in both prepns. CV 1808 was 10 times more active than dipyridamole in enhancing the response of the vas deferens to exogenous adenosine. Thus, CV 1808 may owe its potent coronary vasodilator activity to both a direct action on adenosine receptors and the ability to augment adenosine responses.
IT 53296-10-9
RL: BIOL (Biological study)
(as adenosine agonist, vasodilator reactivity in relation to)
RN 53296-10-9 CAPLUS

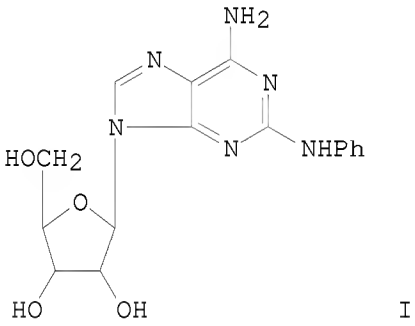
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L4 ANSWER 165 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1982:173891 CAPLUS
DN 96:173891
OREF 96:28487a,28490a
TI Disposition and metabolism of 2-phenylaminoadenosine (CV-1808), a new coronary vasodilator, in rats and dogs
AU Yoshida, Kiyoshi; Kondo, Takao; Kobayashi, Takuo; Tanayama, Shigeharu
CS Cent. Res. Div., Takeda Chem. Ind., Osaka, Japan
SO Takeda Kenkyushoho (1981), 40(3/4), 153-62
CODEN: TAKHAA; ISSN: 0371-5167
DT Journal
LA English
GI



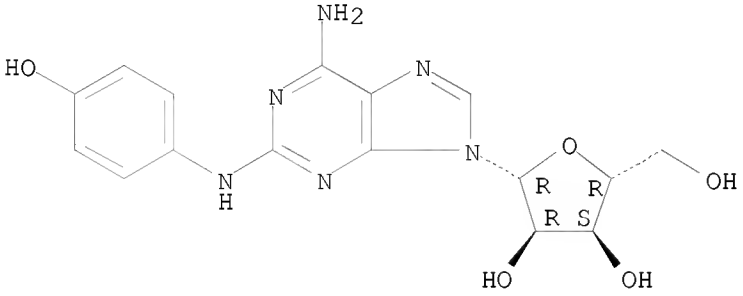
I

AB The metabolic fate of 14C-labeled CV-1808 (I) [53296-10-9] was studied in rats and dogs after oral administration. CV-1808 was absorbed by rats to give a maximum plasma level at 2 h postadministration and an apparent half-life of 2.3 h. In dogs, the plasma level peaked at 1 h and then declined with a half life of 4.9 h. After oral administration of labeled CV-1808 to rats, radioactivity was widely distributed in tissues with relatively higher concns. found in the gastrointestinal tract, liver, kidney, adrenal gland, lung, and plasma. In both rats and dogs, elimination of the compound was complete within 24-48 h with higher

activities found in feces than in urine. The metabolites identified were 8-hydroxy-2-phenylaminoadenine [81613-42-5], 2-phenylaminoadenine [81613-41-4], 8-hydroxy-2-(p-hydroxyphenyl)aminoadenine [81613-40-3], and 2-(p-hydroxyphenyl)aminoadenosine [81613-39-0].

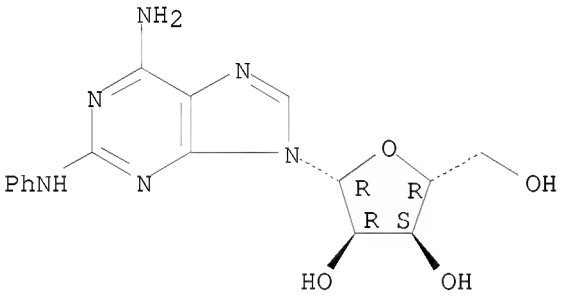
IT 81613-39-0
RL: BIOL (Biological study)
(as phenylaminoadenosine metabolite)
RN 81613-39-0 CAPLUS
CN Adenosine, 2-[(4-hydroxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 53296-10-9
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



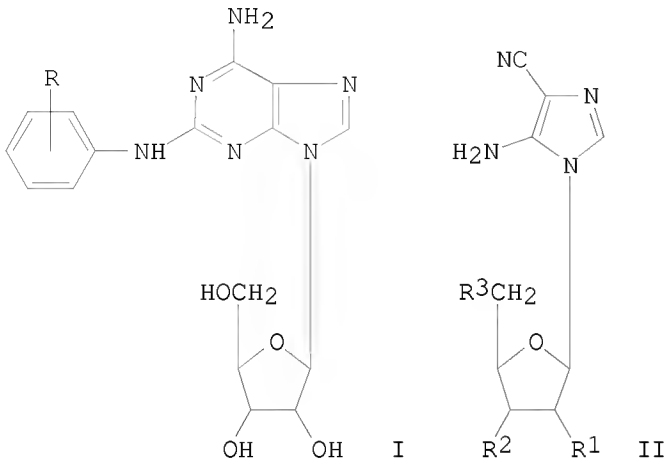
L4 ANSWER 166 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1982:85941 CAPLUS
DN 96:85941
OREF 96:14127a,14130a
TI N2-(Alkanoylphenyl)-2,6-diaminonebularine
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 56131597	A	19811015	JP 1981-30882	19810303
PRAI	IL 1980-59602	A	19800312		

OS CASREACT 96:85941

GI



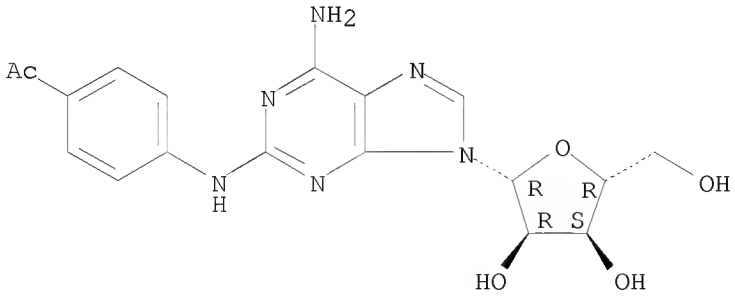
AB The title compds. (I, R = alkanoyl) were prepared by cyclocondensation of II [R1-R3 = (protected) hydroxy] with RC6H4NHCR4:NH [R4 = (substituted) amino]. Thus, heating a mixture of II (R1 = R2 = R3 = HO) 10, m-H2NC6H4COMe 30, and m-MeCOC6H4NHC(:NH)NH2 14 g at 130° for 3 h gave 9.7 g I (R = m-MeCO). I (R = m-, p-MeCO, 3-MeCO-4-EtO) at 0.1 μg/dog showed 269.5-295.0% increase in coronary blood flow in 30 s.

IT 75106-29-5P 75106-30-8P 76888-18-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as coronary vasodilator)

RN 75106-29-5 CAPLUS

CN Adenosine, 2-[(4-acetylphenyl)amino]- (9CI) (CA INDEX NAME)

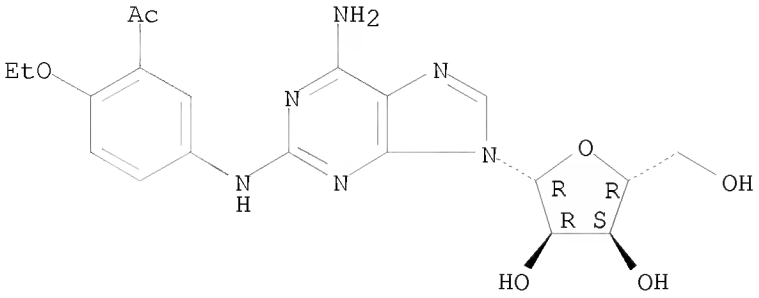
Absolute stereochemistry.



RN 75106-30-8 CAPLUS

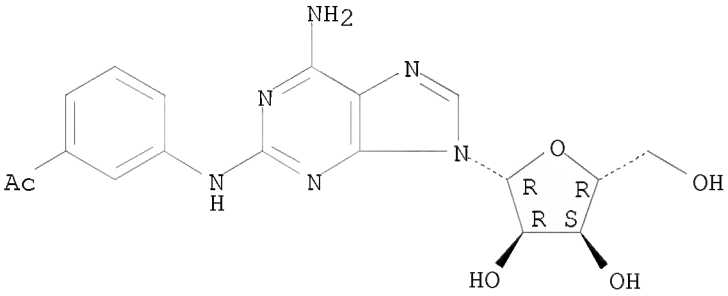
CN Adenosine, 2-[(3-acetyl-4-ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 76888-18-1 CAPLUS
CN Adenosine, 2-[(3-acetylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



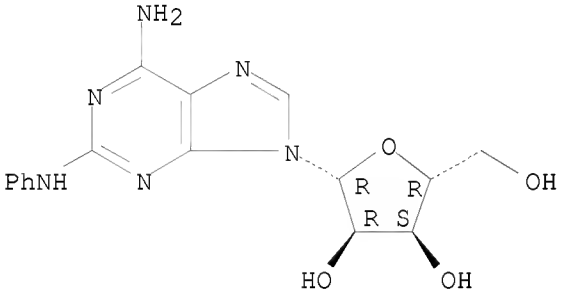
L4 ANSWER 167 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1982:600 CAPLUS
DN 96:600
OREF 96:107a,110a
TI Effect of 2-phenylaminoadenosine (CV-1808) on ischemic ST-segment elevation in anesthetized dogs
AU Matsumoto, Naohiko; Kawazoe, Katsuyoshi; Tanabe, Masao; Imamoto, Tetsuji; Fujiwara, Shuji; Hirata, Minoru
CS Med. Res. Lab., Takeda Chem. Ind., Ltd., Osaka, Japan
SO Journal of Cardiovascular Pharmacology (1981), 3(6), 1184-92
CODEN: JCPCDT; ISSN: 0160-2446
DT Journal
LA English
AB The effect of CV-1808 (2-phenylaminoadenosine) [53296-10-9] on myocardial ischemia was studied in anesthetized dogs. During i.v. infusion of CV-1808 (0.25 and 0.5 μg/kg/min for 10 min) the ST-segment elevation in the epicardial ECG induced by a 5-min occlusion of a coronary arterial branch was occasionally enhanced in association with cardiac acceleration. In a dose of 0.5 μg/kg/min, the agent inhibited the ST elevation 30 and 60 min after administration. The same dose did not change myocardial blood flow in the ischemic area despite significant systemic hypotension. In hearts with continuous coronary occlusion, CV-1808 (0.3 and 1.0 μg/kg., i.v. bolus) increased the retrograde blood

flow from the ischemic area immediately after administration, suggesting a collateral vasodilating action. Nifedipine (0.5 and 2.5 μg/kg/min, i.v. for 10 min) and nitroglycerin (0.5 and 5.0 μg/kg/min, i.v. for 10 min) had no influence on the ischemic ST-segment elevation, whereas a significant inhibition was seen with propranolol (0.5 mg/kg. i.v.). A moderate hypotension was induced by CV-1808, nifedipine, and nitroglycerin, whereas a significant reduction in cardiac function was seen after dosing with propranolol.

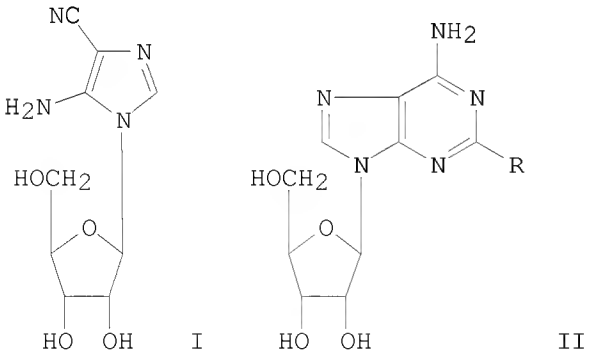
IT 53296-10-9
RL: BIOL (Biological study)
(heart circulation and elec. activity response to, in ischemia)

RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 168 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1981:620263 CAPLUS
DN 95:220263
OREF 95:36765a,36768a
TI Synthesis of 2-formyladenosine using diethoxyacetonitrile as a synthon
AU Murakami, Teiichi; Otsuka, Masami; Kobayashi, Susumu; Ohno, Masaji
CS Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan
SO Heterocycles (1981), 16(8), 1315-19
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
GI



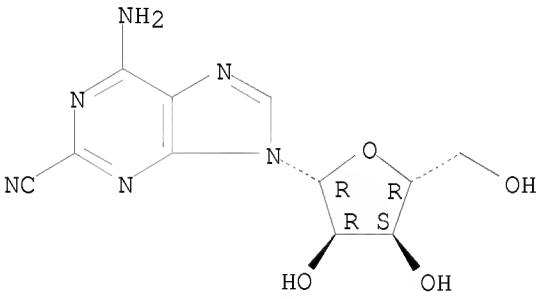
AB Imidazole I was heated with (EtO)2CHCN in BuOH-pyridine at 120° for 10 min in the presence of BuONa to give 90% nucleoside II [R = CH(COEt)2] which on hydrolysis with H2O-AcOH gave 96% II (R = CHO). II (R = CHO) was further converted into II (R = CH:NOH) and II (R = cyano). 2-Formyladenine was analogously prepared

IT 79936-11-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 79936-11-1 CAPLUS

CN Adenosine, 2-cyano- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 169 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1981:604338 CAPLUS

DN 95:204338

OREF 95:34161a,34164a

TI Synthesis of 2-phenylaminoadenosine from imidazole nucleosides

AU Omura, Kiyoshi; Marumoto, Ryuji; Furukawa, Yoshiyasu

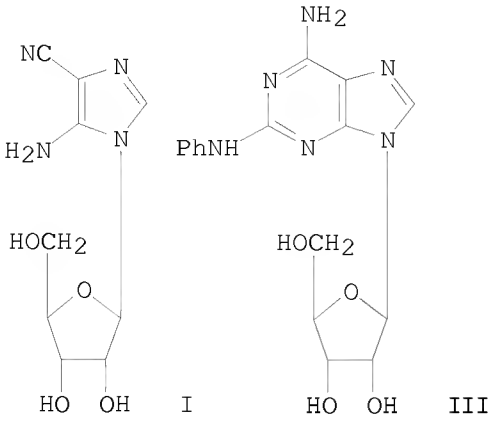
CS Cent. Res. Lab., Takeda Chem. Ind. Ltd., Osaka, 532, Japan

SO Chemical & Pharmaceutical Bulletin (1981), 29(7), 1870-5
CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

GI



I III

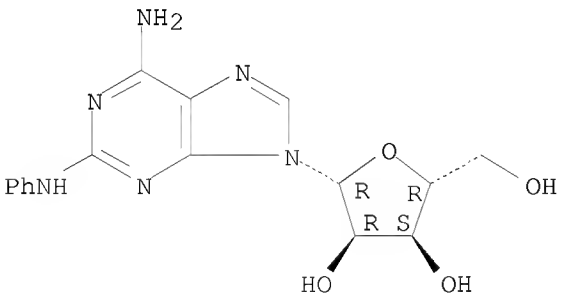
AB The reaction of imidazole I with PhNCS gave 7-imino-5-phenylamino-3-(β-D-ribofuranosyl)imidazo[4,5-d][1,3]-thiazine, which, on alkaline treatment, rearranged to 6-mercapto-2-phenylamino-9-(β-D-ribofuranosyl)purine (II). On methylation, II gave the 6-methylmercapto derivative, which was converted to title adenosine (III) by treatment with NH3. I reacted with PhNHCN in methanolic ammonia, giving III and 2-aminoadenosine as a by-product. Et 5-amino-1-(β-D-ribofuranosyl)-4-carboximidate was directly obtained by treatment of 5-amino-1-(2,3,5-tri-O-propionyl-β-D-ribofuranosyl)imidazole-4-carboxamide with Meerwein's reagent followed by deacylation, and this gave III by reaction with PhNHCN.

IT 53296-10-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 170 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1981:425541 CAPLUS

DN 95:25541

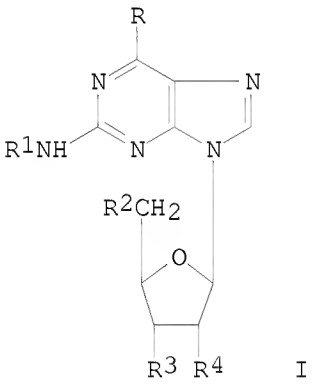
OREF 95:4471a,4474a

TI N2-Substituted 2,6-diaminonetrilarines

PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Tokkyo Koho, 8 pp.
CODEN: JAXXAD
DT Patent
LA Japanese
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 55049596	B	19801212	JP 1973-114542	19731011
	JP 50064296	A	19750531		
	DE 2359536	A1	19740612	DE 1973-2359536	19731129
	DE 2359536	C2	19840802		
	US 3936439	A	19760203	US 1973-420380	19731130
	FR 2209567	A1	19740705	FR 1973-43252	19731204
	CH 587864	A5	19770513	CH 1973-17069	19731205
	CH 601342	A5	19780714	CH 1976-12948	19731205
	NL 7316749	A	19740611	NL 1973-16749	19731206
	BE 808377	A1	19740607	BE 1973-138648	19731207
	GB 1418120	A	19751217	GB 1973-56781	19731207
	HU 167859	B	19751225	HU 1973-TA1284	19731207
	DK 134490	B	19761115	DK 1973-6631	19731207
	CA 1012534	A1	19770621	CA 1973-187678	19731207
PRAI	JP 1972-123602	A	19721208		
	JP 1973-114542	A	19731011		

GI



I

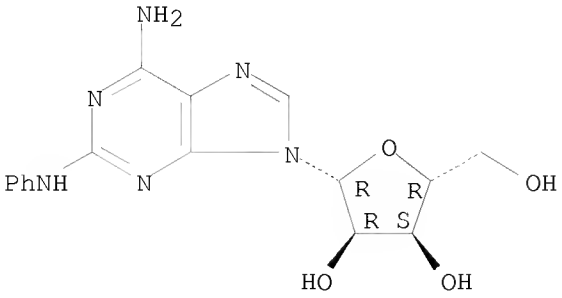
AB Reaction of the ribofuranosides I (R = reactive group, R1 = alkyl, alkoxy, halo; R2-R4 = protected OH) with NH3 gave the N2-substituted 2,6-diaminonebularines I (R = NH2, R2-R4 = OH). Thus, 17.5 g 6-chloro-2-anilino-2',3',5'-tri-O-acetylnebularine was treated with NH3-MeOH at 120° to give 2-anilinoadenosine.

IT 53296-10-9P 53296-19-8P 53296-20-1P
53296-21-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 53296-10-9 CAPLUS

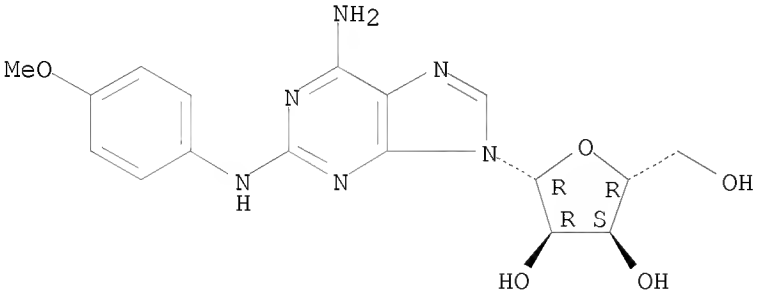
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



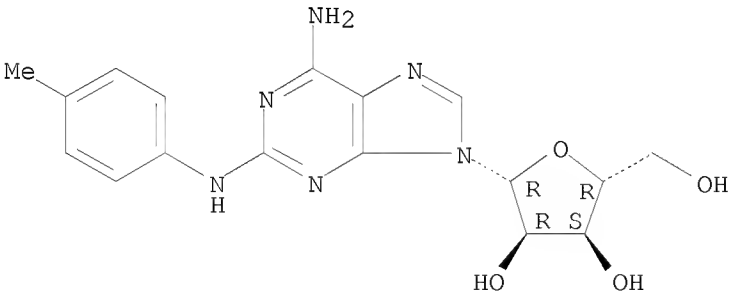
RN 53296-19-8 CAPLUS
CN Adenosine, 2-[(4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



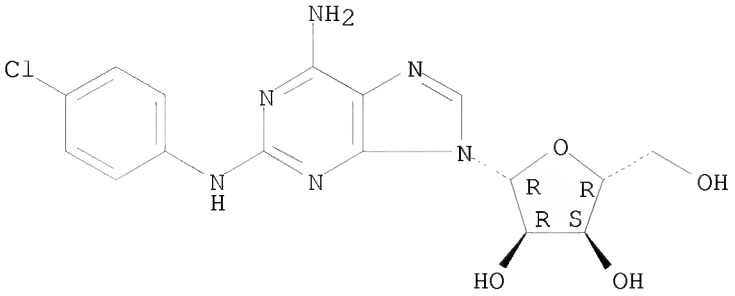
RN 53296-20-1 CAPLUS
CN Adenosine, 2-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 53296-21-2 CAPLUS
CN Adenosine, 2-[(4-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

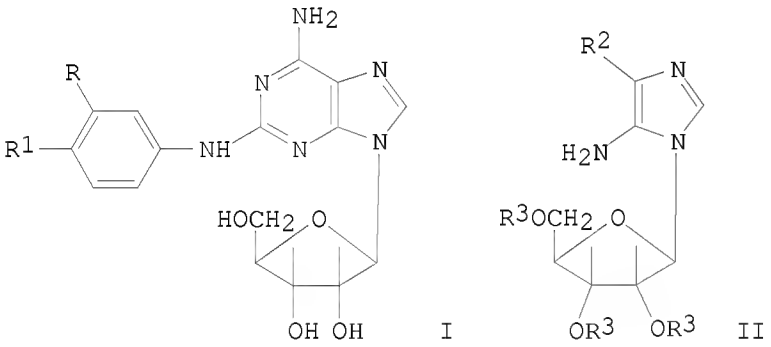


OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 171 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1981:425538 CAPLUS
DN 95:25538
OREF 95:4471a,4474a
TI N2-Substituted-2,6-diaminonebularines
PA Takeda Chemical Industries, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 55136299	A	19801023	JP 1979-43257	19790409
PRAI	JP 1979-43257	A	19790409		

GI



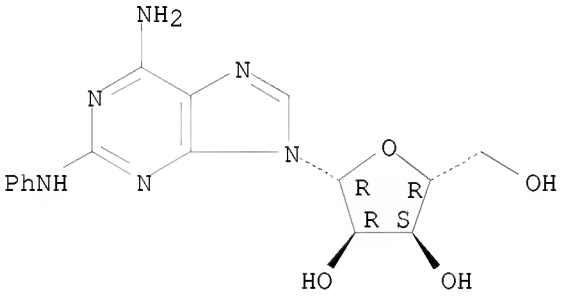
AB Eight nebularines I [(R, R1) = (H, H), (H, Me), (Me, H), (H, OEt), etc.] were prepared by treating II (R2 = CONH2, R3 = H) with (EtCO)2O and then with Et3O.BF4 to give II [R2 = C(:NH)OEt, R3 = EtCO], followed by reaction with the appropriate RR1C6H3NHCN, (PhNH)2C:NH, triphenylmelamine, or phenylguanidine carbonate with or without previous deprotection. Thus, 258 g II (R2 = CONH2, R3 = H) in pyridine and 400 mL (EtCO)2O were stirred 16 h at room temperature to give 355 g II (R2 = CONH2, R3 = EtCO), which (10 g) in CH2Cl2 was added dropwise to 7.2 g Et3O.BF4 in CH2Cl2 with stirring and ice cooling and the mixture was left 20 h in ice to give 14 g II [R2 =

C(:NH)OEt, R3 = EtCO], which (10 g) was heated with 12 g PhNHCN in 20% MeOH-NH4OH 5 h at 180° in a sealed vessel to give 1.1 g I (R = R1 = H).

IT 53296-10-9P 53296-20-1P 70590-18-0P
70590-23-7P 70590-28-2P 74615-40-0P
76888-17-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

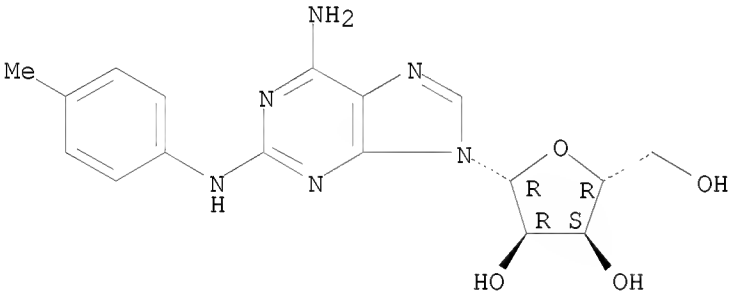
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



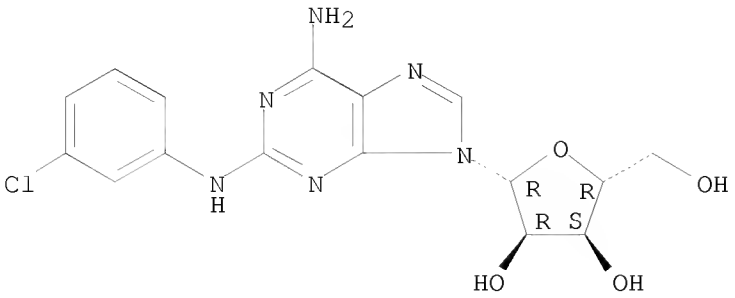
RN 53296-20-1 CAPLUS
CN Adenosine, 2-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



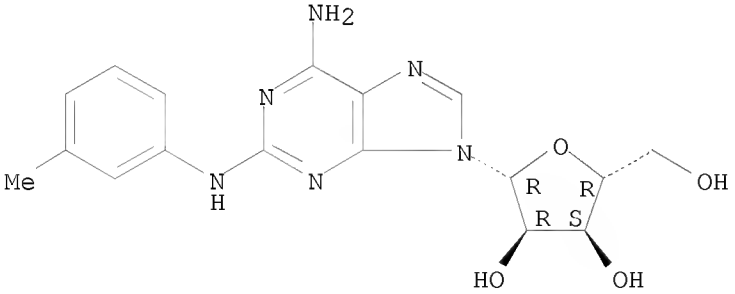
RN 70590-18-0 CAPLUS
CN Adenosine, 2-[(3-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



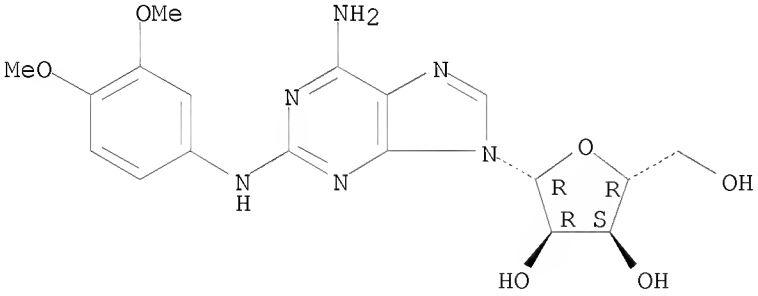
RN 70590-23-7 CAPLUS
CN Adenosine, 2-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



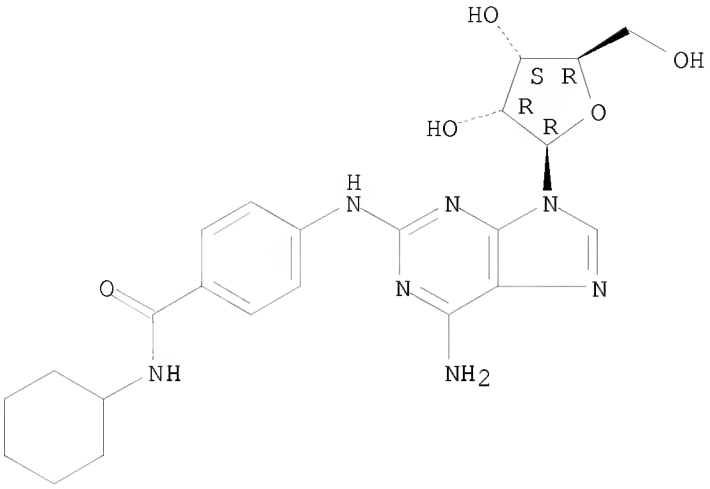
RN 70590-28-2 CAPLUS
CN Adenosine, 2-[(3,4-dimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



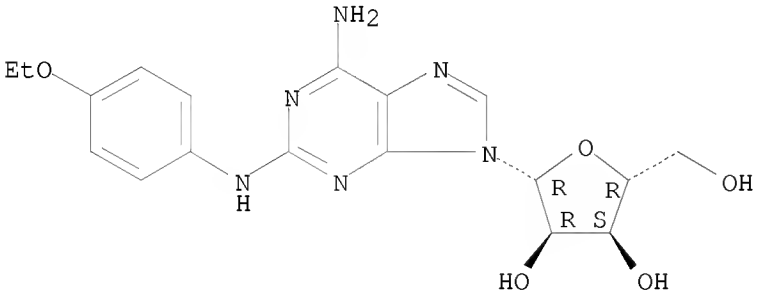
RN 74615-40-0 CAPLUS
CN Adenosine, 2-[[4-[(cyclohexylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 76888-17-0 CAPLUS
CN Adenosine, 2-[(4-ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

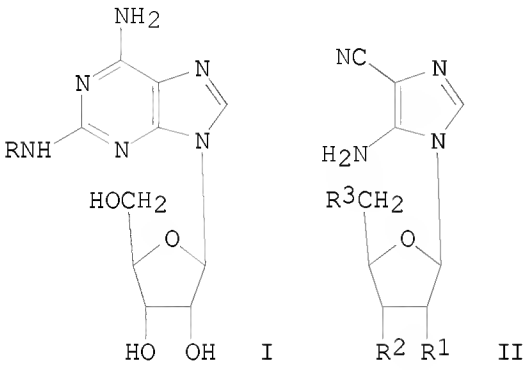


L4 ANSWER 172 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1981:121883 CAPLUS
DN 94:121883
OREF 94:19951a,19954a
TI 2,6-Diaminonebularines
IN Sawa, Yoichi; Kawakami, Yoshiyuki; Marumoto, Ryuji
PA Takeda Chemical Industries, Ltd., Japan
SO Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 17465	A1	19801015	EP 1980-301024	19800401
	R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
	JP 55130998	A	19801011	JP 1979-39562	19790402
	NO 8000868	A	19801003	NO 1980-868	19800325
	DK 8001345	A	19801003	DK 1980-1345	19800328

	US 4293690	A	19811006	US 1980-136072	19800328
	AU 8056982	A	19801009	AU 1980-56982	19800331
	FI 8001033	A	19801003	FI 1980-1033	19800401
PRAI	JP 1979-39562	A	19790402		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 94:121883; MARPAT 94:121883
GI

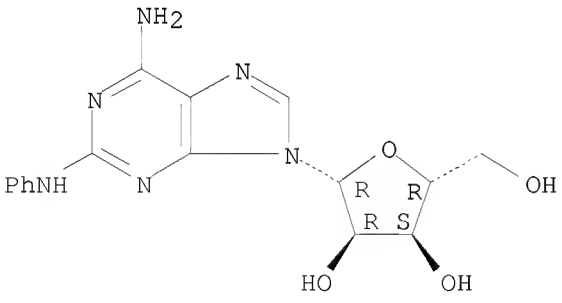


AB Nebularines I (R = Ph, substituted phenyl) were prepared by cyclization of nucleosides II (R1, R2, R3 = protected or unprotected OH) with RNHC(:NH)R4 (same R; R4 = NH2, substituted amino, alkylthio) followed by deprotection where necessary. Thus, II (R1 = R2 = R3 = OH) was heated with 1,3-diphenylguanidine in PhNH2 at 150-5° to give 68.8% I (R = Ph).

IT 53296-10-9P 53296-20-1P 70590-22-6P
70590-23-7P 70590-27-1P 74615-32-0P
74615-36-4P 74615-40-0P 75106-30-8P
76888-17-0P 76888-18-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

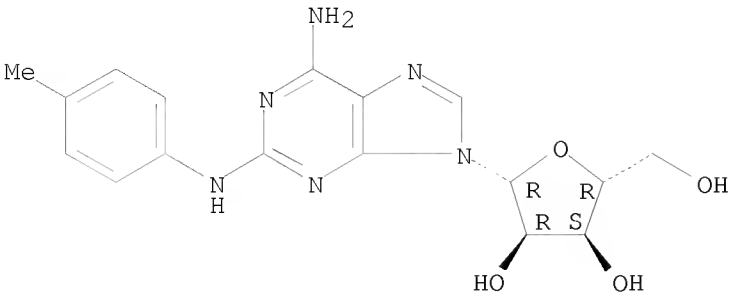
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



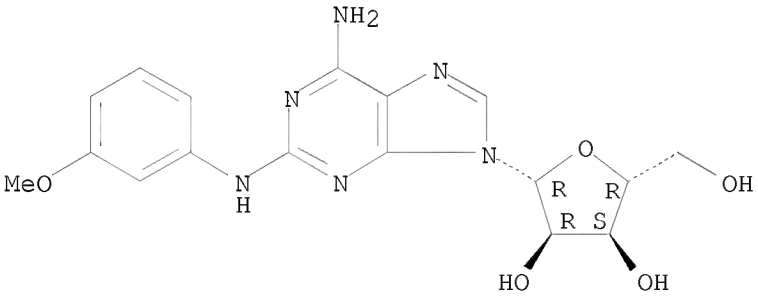
RN 53296-20-1 CAPLUS
CN Adenosine, 2-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



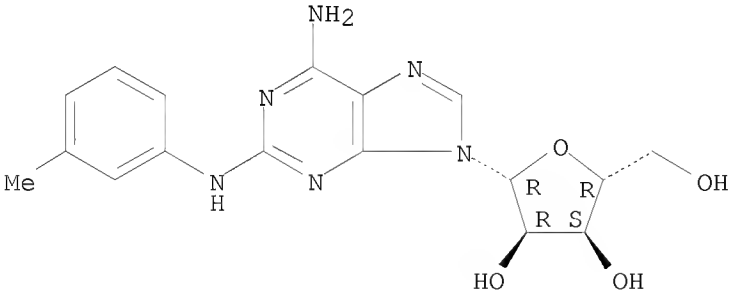
RN 70590-22-6 CAPLUS
CN Adenosine, 2-[(3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



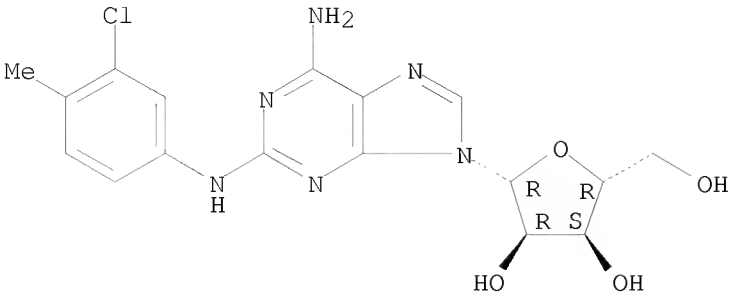
RN 70590-23-7 CAPLUS
CN Adenosine, 2-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



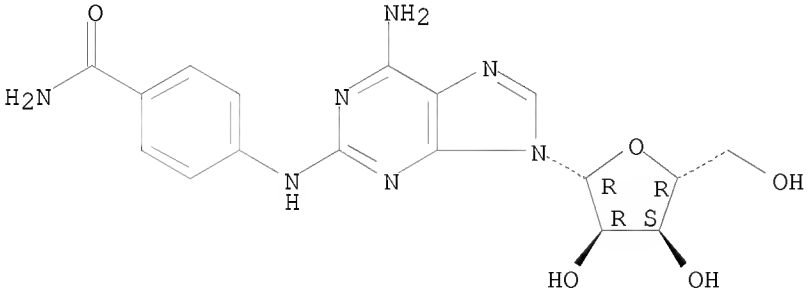
RN 70590-27-1 CAPLUS
CN Adenosine, 2-[(3-chloro-4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



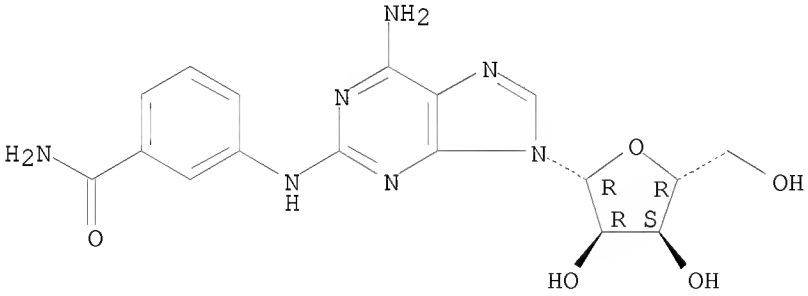
RN 74615-32-0 CAPLUS
CN Adenosine, 2-[[4-(aminocarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



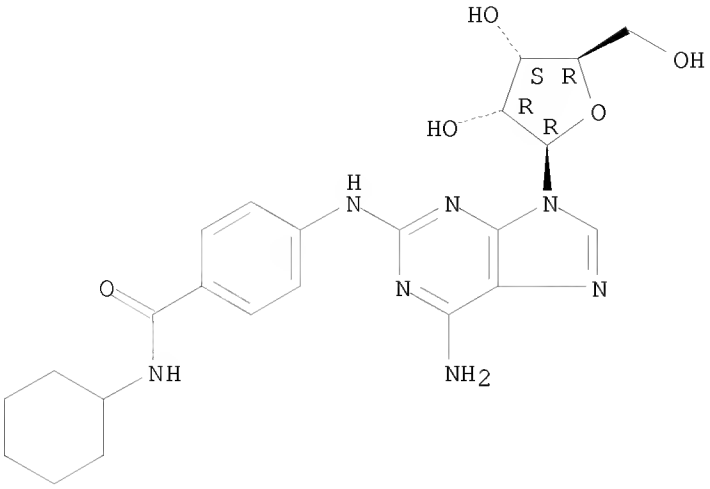
RN 74615-36-4 CAPLUS
CN Adenosine, 2-[[3-(aminocarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



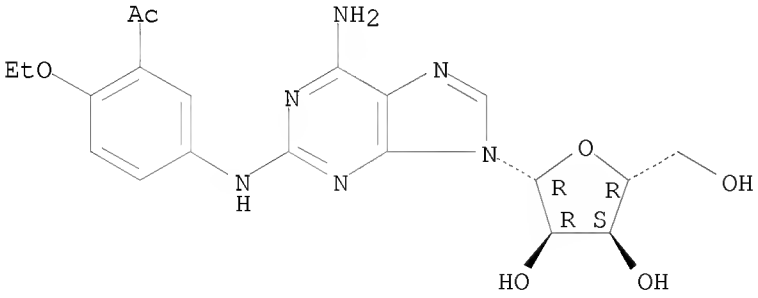
RN 74615-40-0 CAPLUS
CN Adenosine, 2-[[4-[(cyclohexylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



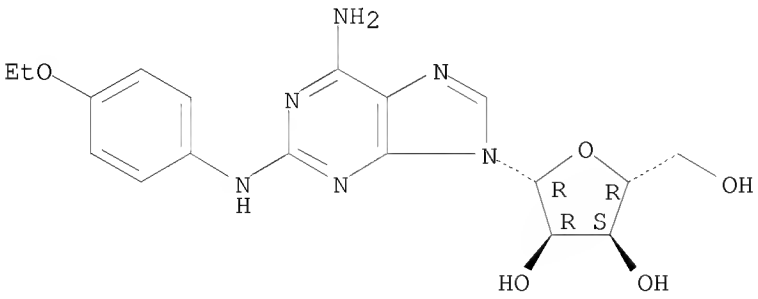
RN 75106-30-8 CAPLUS
CN Adenosine, 2-[(3-acetyl-4-ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



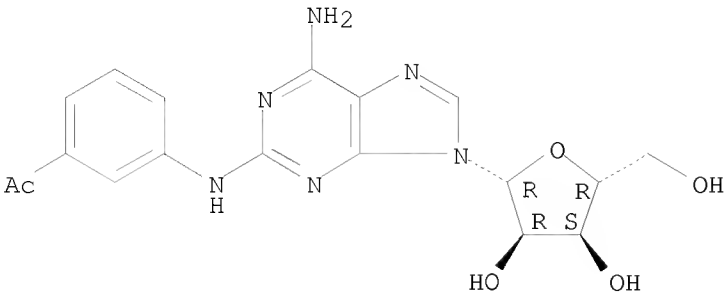
RN 76888-17-0 CAPLUS
CN Adenosine, 2-[(4-ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 76888-18-1 CAPLUS
CN Adenosine, 2-[(3-acetylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

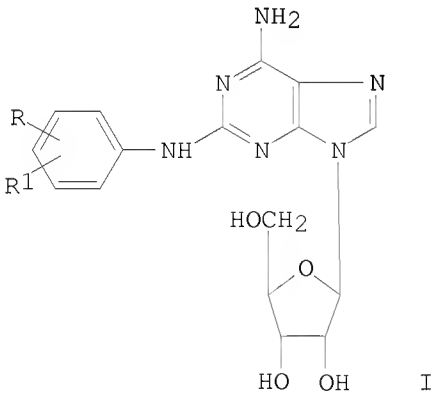


OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

L4 ANSWER 173 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1980:568559 CAPLUS
DN 93:168559
OREF 93:26863a,26866a
TI 2,6-Diaminonebularines
IN Marumoto, Ryuji; Tanabe, Masao; Furukawa, Yoshiyasu
PA Takeda Chemical Industries, Ltd., Japan
SO Ger. Offen., 33 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2941592	A1	19800424	DE 1979-2941592	19791013
	JP 55053299	A	19800418	JP 1978-127109	19781016
	JP 62003159	B	19870123		
	JP 56012400	A	19810206	JP 1979-87074	19790709
	JP 63003876	B	19880126		
PRAI	JP 1978-127109	A	19781016		
	JP 1979-87074	A	19790709		

GI



I

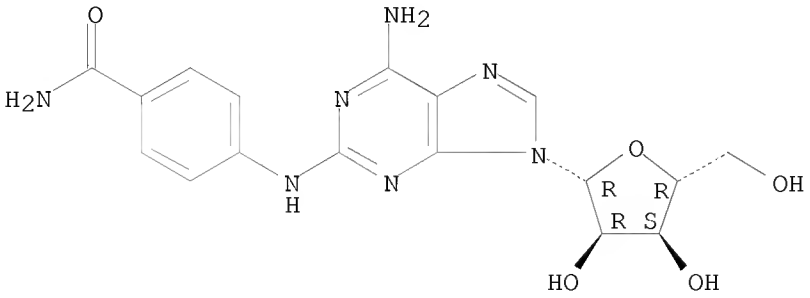
AB Diaminonebularines I (R = carbamoyl, acyl; R1 = H, halogen, alkoxy) were prepared Thus 4-H2NC6H4CONH2.HCl was treated with KSCN to give 4-H2NCOC6H4NHCSNH2 which was treated with Pb(OAc)4 to give 4-H2NCOC6H4NHCN. Treatment of the latter compound with 5-amino-1-β-D-ribofuranosyl-4-cyanoimidazole gave I (R = 4-H2NCO, R1 = H) which at 0.1 μg increased the coronary blood flow in dogs by 199% 30 s after administration.

IT 74615-32-0P 74615-33-1P 74615-38-6P
74615-39-7P 74615-40-0P 74615-42-2P
75106-22-8P 75106-25-1P 75106-26-2P
75106-29-5P 75106-30-8P 75106-31-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and coronary vasodilator activity of)

RN 74615-32-0 CAPLUS

CN Adenosine, 2-[[4-(aminocarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

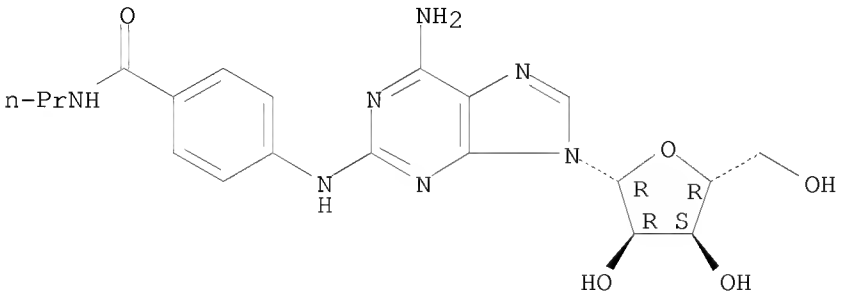
Absolute stereochemistry.



RN 74615-33-1 CAPLUS

CN Adenosine, 2-[[4-[(propylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

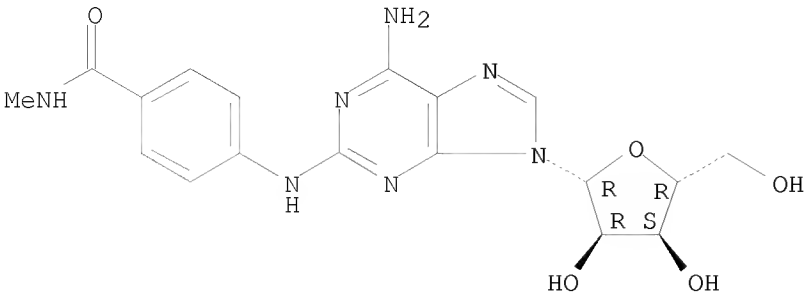
Absolute stereochemistry.



RN 74615-38-6 CAPLUS

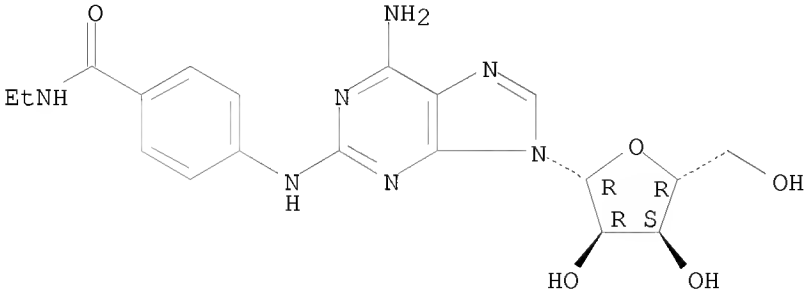
CN Adenosine, 2-[[4-[(methylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



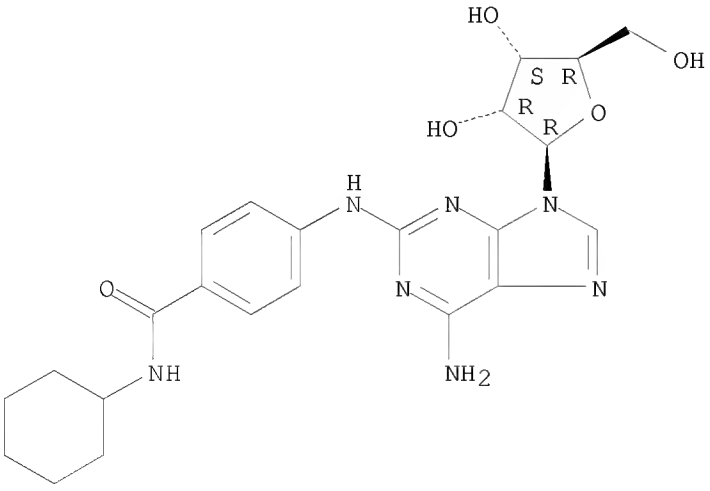
RN 74615-39-7 CAPLUS
CN Adenosine, 2-[[4-[(ethylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 74615-40-0 CAPLUS
CN Adenosine, 2-[[4-[(cyclohexylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

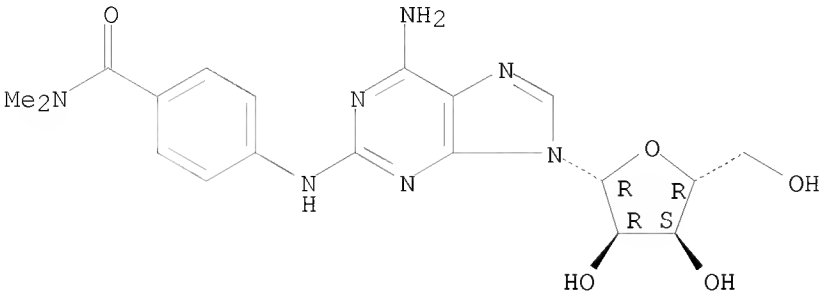
Absolute stereochemistry.



RN 74615-42-2 CAPLUS

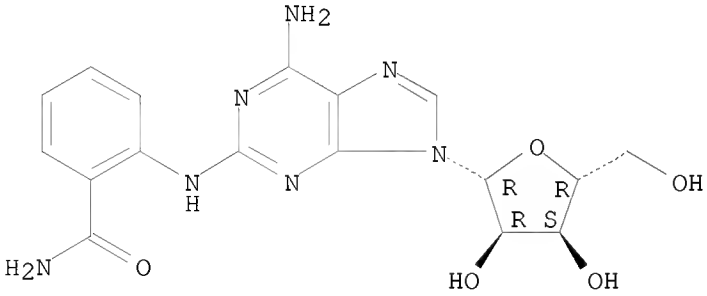
CN Adenosine, 2-[[4-[(dimethylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



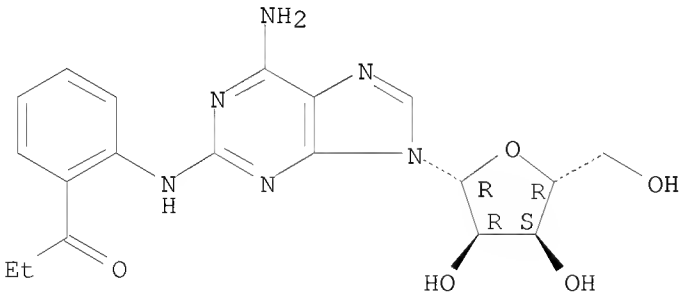
RN 75106-22-8 CAPLUS
CN Adenosine, 2-[[2-(aminocarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



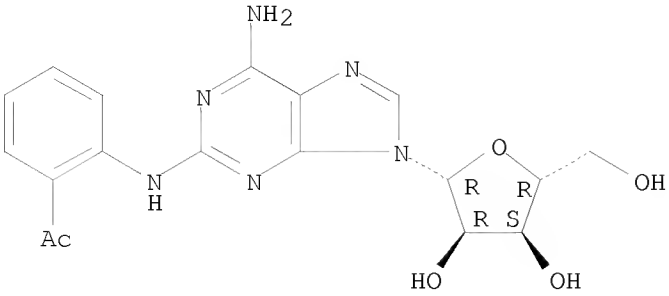
RN 75106-25-1 CAPLUS
CN Adenosine, 2-[[2-(1-oxopropyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



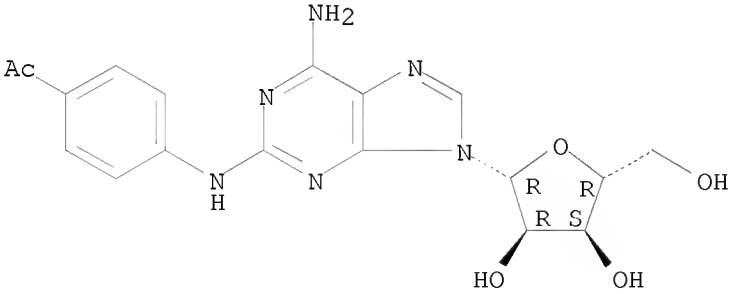
RN 75106-26-2 CAPLUS
CN Adenosine, 2-[(2-acetylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



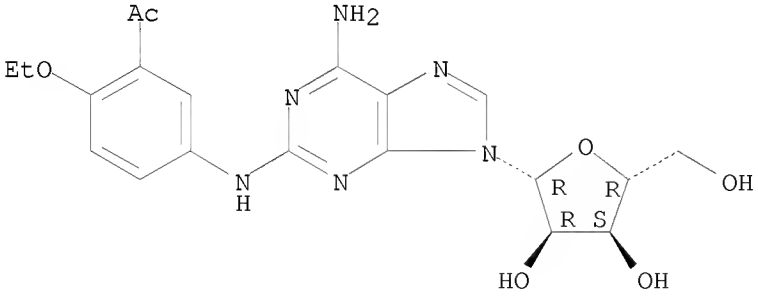
RN 75106-29-5 CAPLUS
CN Adenosine, 2-[(4-acetylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



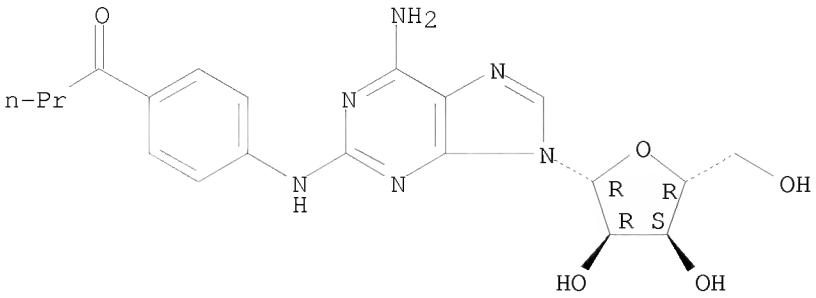
RN 75106-30-8 CAPLUS
CN Adenosine, 2-[(3-acetyl-4-ethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



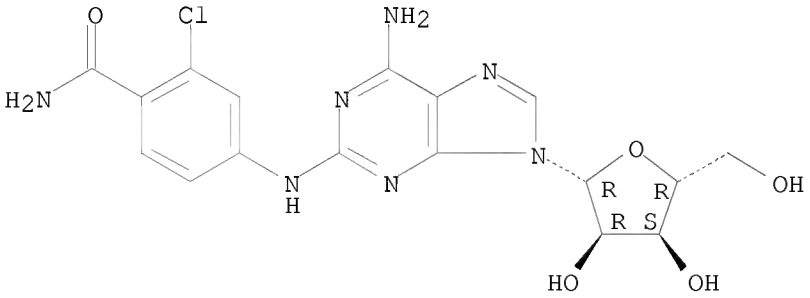
RN 75106-31-9 CAPLUS
CN Adenosine, 2-[[4-(1-oxobutyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



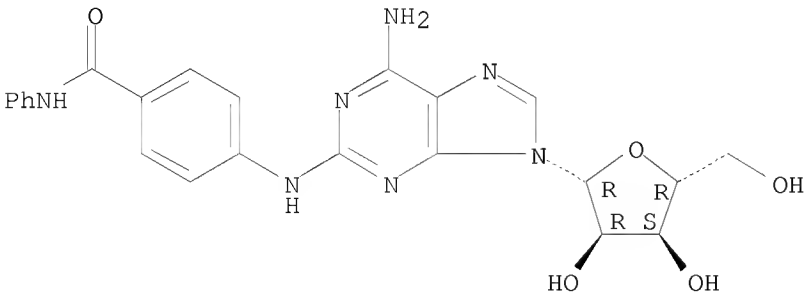
IT 74615-37-5P 74615-41-1P 75106-23-9P
75106-24-0P 75106-32-0P 75106-33-1P
75106-34-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 74615-37-5 CAPLUS
CN Adenosine, 2-[[4-(aminocarbonyl)-3-chlorophenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



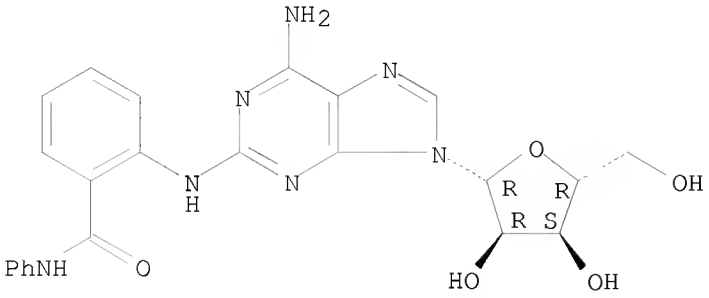
RN 74615-41-1 CAPLUS
CN Adenosine, 2-[[4-[(phenylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



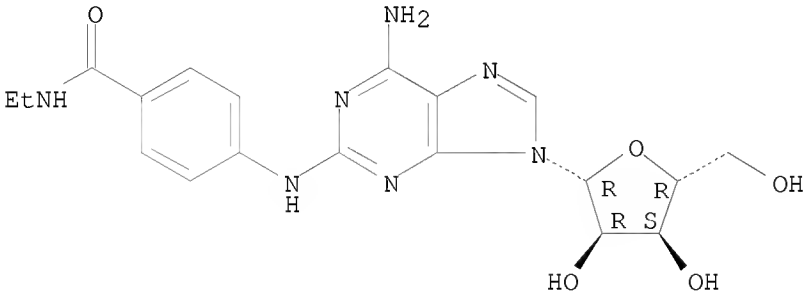
RN 75106-23-9 CAPLUS
CN Adenosine, 2-[[2-[(phenylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 75106-24-0 CAPLUS
CN Adenosine, 2-[[4-[(ethylamino)carbonyl]phenyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

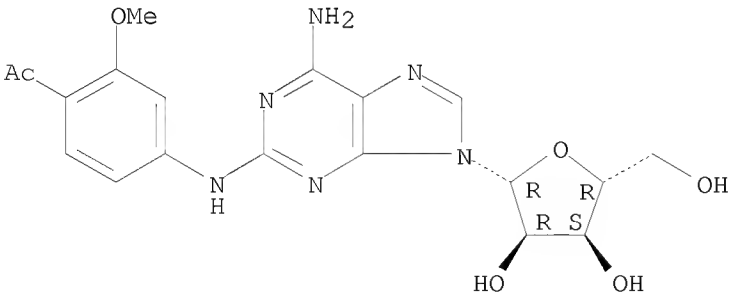
Absolute stereochemistry.



● HCl

RN 75106-32-0 CAPLUS
CN Adenosine, 2-[(4-acetyl-3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

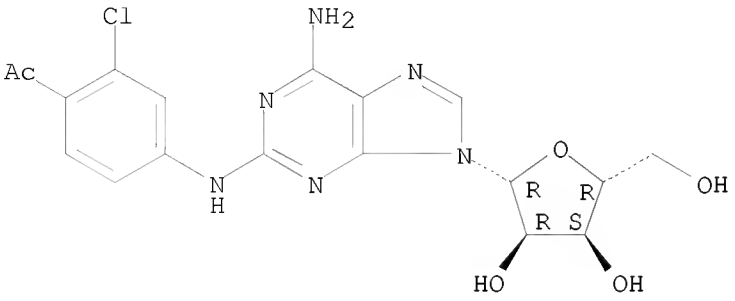
Absolute stereochemistry.



RN 75106-33-1 CAPLUS

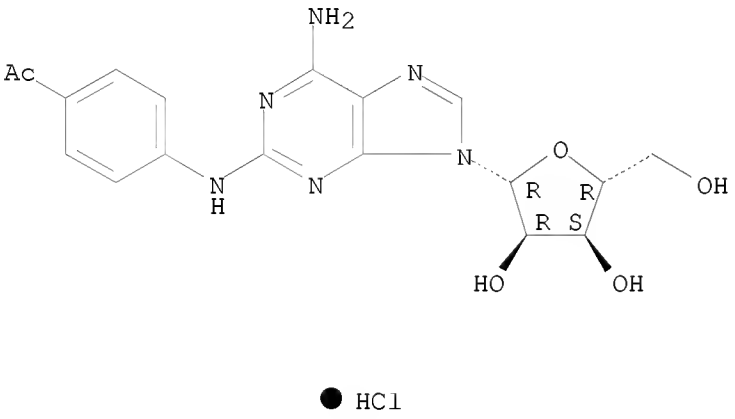
CN Adenosine, 2-[(4-acetyl-3-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

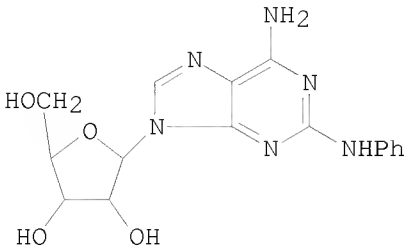


RN 75106-34-2 CAPLUS
CN Adenosine, 2-[(4-acetylphenyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 174 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1980:525711 CAPLUS
DN 93:125711
OREF 93:19905a,19908a
TI Coronary and cardiohemodynamic effects of 2-phenylaminoadenosine (CV-1808) in anesthetized dogs and cats
AU Kawazoe, K.; Matsumoto, N.; Tanabe, M.; Fujiwara, S.; Yanagimoto, M.; Hirata, M.; Kikuchi, K.
CS Cent. Res. Div., Takeda Chem. Ind. Ltd., Osaka, 532, Japan
SO Arzneimittel-Forschung (1980), 30(7), 1083-7
CODEN: ARZNAD; ISSN: 0004-4172
DT Journal
LA English
GI



I

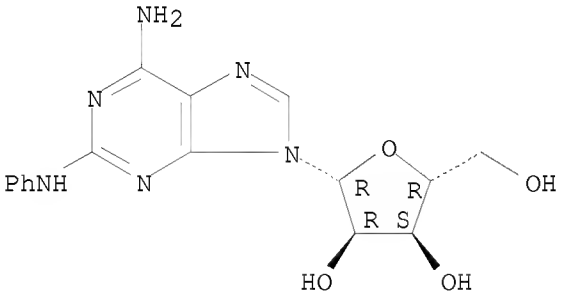
AB The coronary vasodilating effect of intracoronary or i.v. CV 1808 (I) [53296-10-9] in dogs was greater than that of nifedipine, nitroglycerin, or dipyridamole. I increased blood flow to the superior mesenteric artery to a lesser extent than blood flow to the coronary vascular bed, and blood flow to the femoral artery was decreased. I.v. I caused a dose-dependent increase in left ventricular dp/dt, which was inhibited by pretreatment with propranolol. I was well absorbed from the intestinal tract.

IT 53296-10-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(coronary vasodilating activity of)

RN 53296-10-9 CAPLUS

CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 175 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1980:495598 CAPLUS

DN 93:95598

OREF 93:15349a,15352a

TI N2-Substituted phenyl-2,6-diaminonebularines

PA Takeda Chemical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

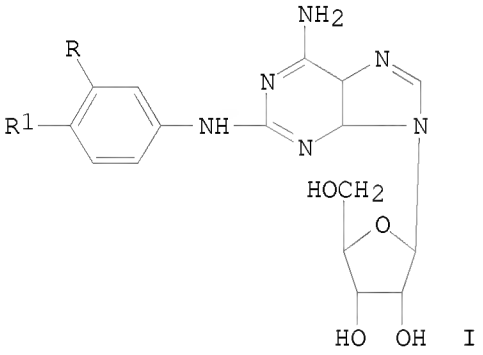
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 55053299	A	19800418	JP 1978-127109	19781016

	JP 62003159	B	19870123		
	AU 7951189	A	19800424	AU 1979-51189	19790926
	CH 642668	A5	19840430	CH 1979-9083	19791009
	DK 7904303	A	19800417	DK 1979-4303	19791011
	SE 7908480	A	19800417	SE 1979-8480	19791012
	US 4258033	A	19810324	US 1979-85057	19791012
	DE 2941592	A1	19800424	DE 1979-2941592	19791013
	NL 7907611	A	19800418	NL 1979-7611	19791015
	CA 1112641	A1	19811117	CA 1979-337577	19791015
	BE 879436	A1	19800416	BE 1979-197665	19791016
	FR 2439207	A1	19800516	FR 1979-25642	19791016
	FR 2439207	B1	19820611		
	GB 2034704	A	19800611	GB 1979-35932	19791016
	GB 2034704	B	19830330		
PRAI	JP 1978-127109	A	19781016		
	JP 1979-87074	A	19790709		

OS MARPAT 93:95598

GI



I

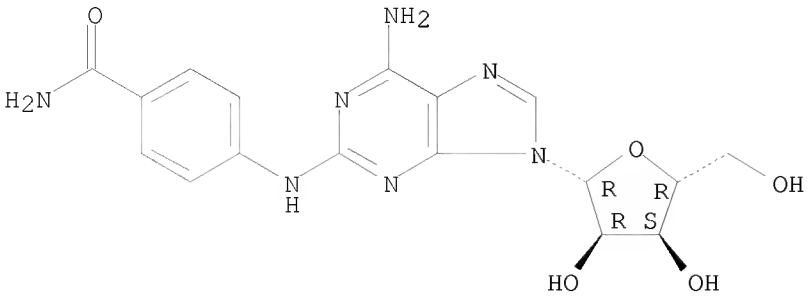
AB Twelve title nebularines I [one of R and R1 is CONR2R3 (R2 = H, alkyl; R3 = H, alkyl, cyclohexyl, Ph) and the other is H or halo], having coronary vasodilating activity (data given in dogs), were prepared Thus, a mixture of 10 g 5-amino-1-β-D-ribofuranosyl-4-cyanoimidazole, 12 g 4-H2NCOC6H4NHCN, and 150 mL 20% MeOH-NH3 was autoclaved 5 h at 80° to give 2 g I (R = H, R1 = H2NCO).

IT 74615-32-0P 74615-33-1P 74615-34-2P
74615-35-3P 74615-36-4P 74615-37-5P
74615-38-6P 74615-39-7P 74615-40-0P
74615-41-1P 74615-42-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 74615-32-0 CAPLUS

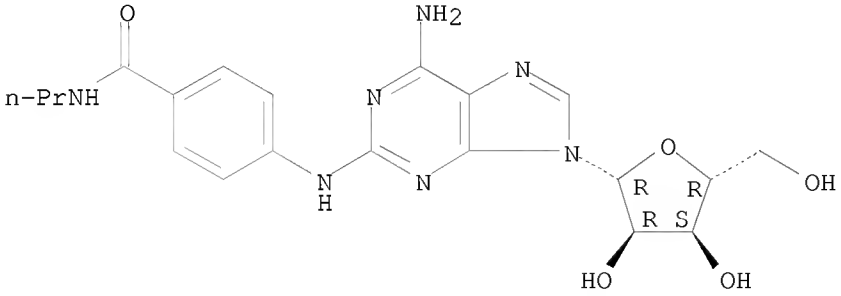
CN Adenosine, 2-[[4-(aminocarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



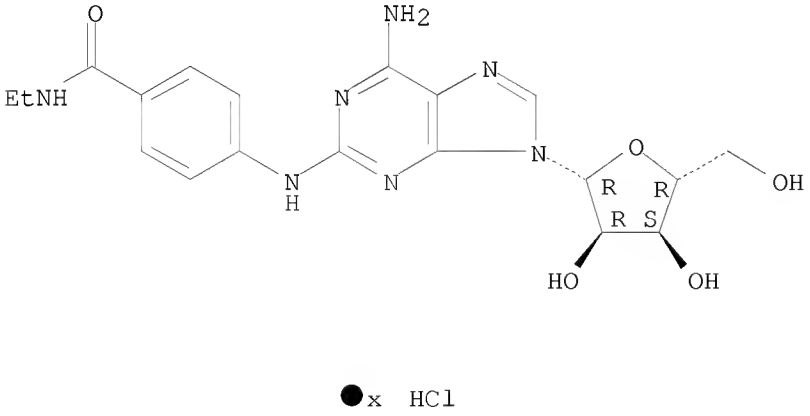
RN 74615-33-1 CAPLUS
CN Adenosine, 2-[[4-[(propylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 74615-34-2 CAPLUS
CN Adenosine, 2-[[4-[(ethylamino)carbonyl]phenyl]amino]-, hydrochloride (9CI) (CA INDEX NAME)

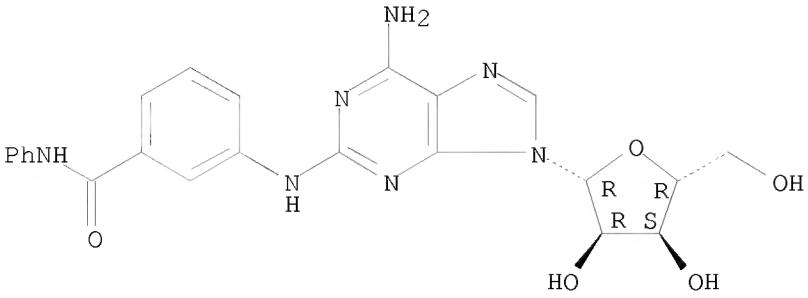
Absolute stereochemistry.



● x HCl

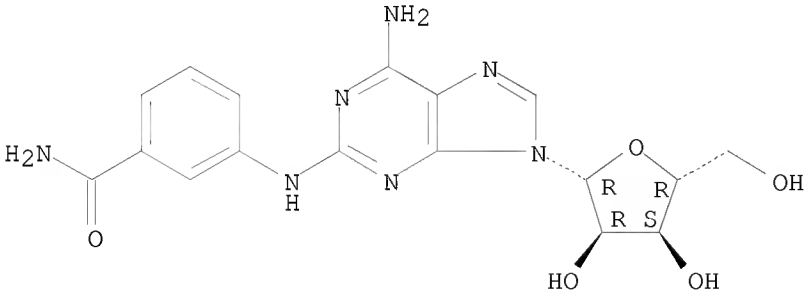
RN 74615-35-3 CAPLUS
CN Adenosine, 2-[[3-[(phenylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



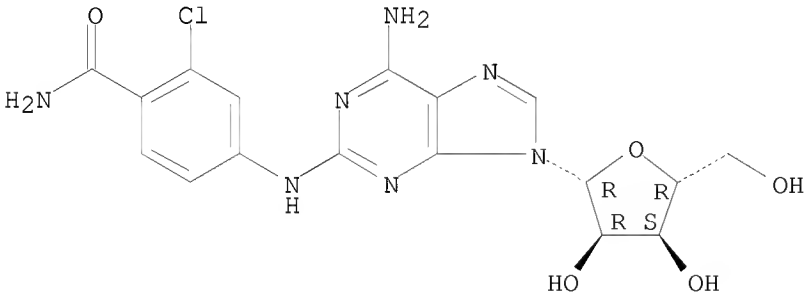
RN 74615-36-4 CAPLUS
CN Adenosine, 2-[[3-(aminocarbonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



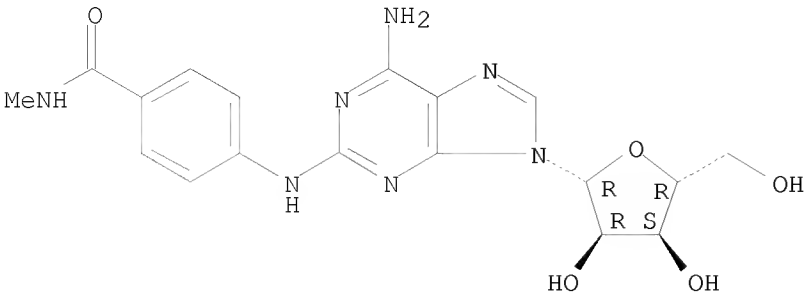
RN 74615-37-5 CAPLUS
CN Adenosine, 2-[[4-(aminocarbonyl)-3-chlorophenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



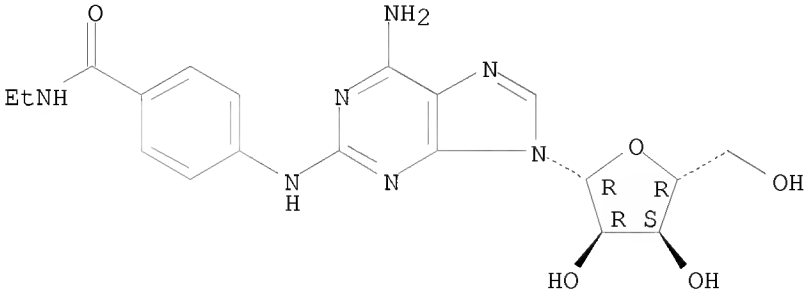
RN 74615-38-6 CAPLUS
CN Adenosine, 2-[[4-[(methylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



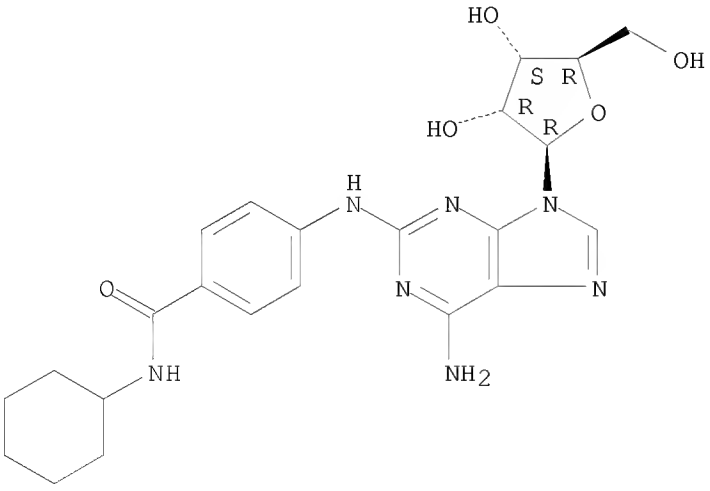
RN 74615-39-7 CAPLUS
CN Adenosine, 2-[[4-[(ethylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 74615-40-0 CAPLUS
CN Adenosine, 2-[[4-[(cyclohexylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

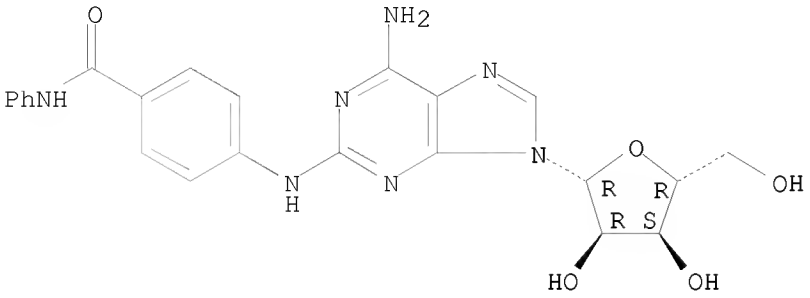
Absolute stereochemistry.



RN 74615-41-1 CAPLUS

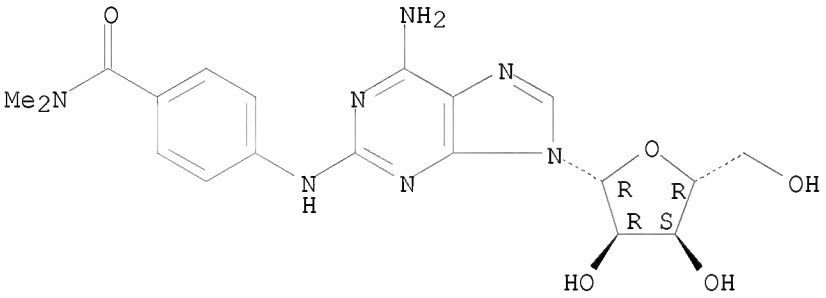
CN Adenosine, 2-[[4-[(phenylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 74615-42-2 CAPLUS
CN Adenosine, 2-[[4-[(dimethylamino)carbonyl]phenyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

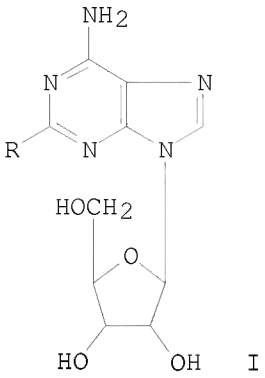


OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

L4 ANSWER 176 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1980:495591 CAPLUS
DN 93:95591
OREF 93:15345a,15348a
TI 2-Substituted adenosine derivatives
IN Ueda, Tooru; Matsuda, Akira; Nomoto, Juji
PA Yamasa Shoyu Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 55036419	A	19800314	JP 1978-109655	19780908
	JP 63003875	B	19880126		
PRAI	JP 1978-109655	A	19780908		

GI



I

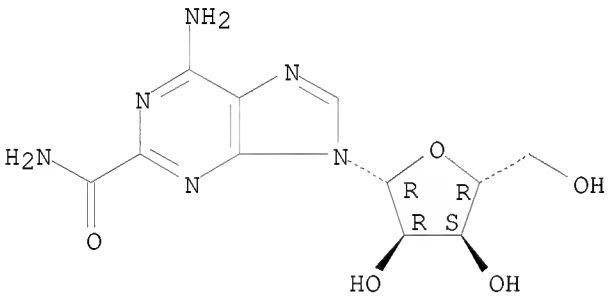
AB The title compds. I (R = HN:CR1 where R1 = alkoxy, OH, NH2) were prepared by treating OH-protected I (R = CN) with alkoxides. Thus, 418 mg 2',3',5'-O-triacetyl-2-cyanoadenosine reacted with MeONa-MeOH at room temperature for 17 h followed by treatment with Dowex 50 to give 288 mg I [R = HN:C(OMe)], whose hydrolysis (HCl) gave I (R = CO2Me), which was saponified to give I (R = CO2Na).

IT 70255-72-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 70255-72-0 CAPLUS

CN Adenosine, 2-(aminocarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 177 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN

AN 1979:508193 CAPLUS

DN 91:108193

OREF 91:17475a,17478a

TI 2,6-Diaminonebularines

IN Marumoto, Ryuji; Shima, Shunsuke; Furukawa, Yoshiyasu

PA Takeda Chemical Industries, Ltd., Japan

SO Ger. Offen., 27 pp.
CODEN: GWXXBX

DT Patent

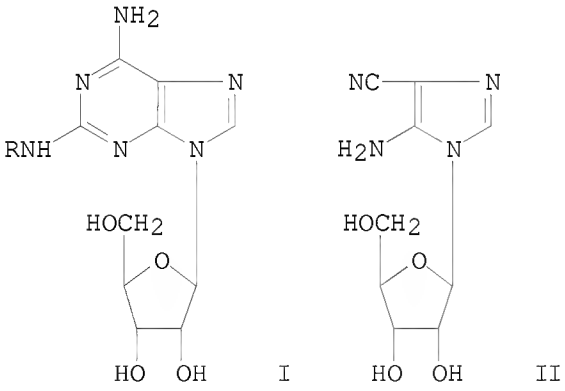
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2845435	A1	19790426	DE 1978-2845435	19781019
	JP 54061194	A	19790517	JP 1977-127147	19771021
	GB 2007664	A	19790523	GB 1978-39583	19781006
	GB 2007664	B	19820526		
	AU 7840511	A	19800417	AU 1978-40511	19781009
	AU 521358	B2	19820401		
	ZA 7805762	A	19790926	ZA 1978-5762	19781012
	CA 1102794	A1	19810609	CA 1978-313340	19781013
	FI 7803181	A	19790422	FI 1978-3181	19781018
	SE 7810854	A	19790422	SE 1978-10854	19781018
	DK 7804655	A	19790422	DK 1978-4655	19781019
	BE 871422	A1	19790420	BE 1978-191251	19781020
	NL 7810519	A	19790424	NL 1978-10519	19781020
	NO 7803559	A	19790424	NO 1978-3559	19781020
	FR 2406640	A1	19790518	FR 1978-29945	19781020
	FR 2406640	B1	19820528		
	AT 7807552	A	19810115	AT 1978-7552	19781020
	AT 363619	B	19810825		
	US 4255565	A	19810310	US 1978-953255	19781020
PRAI	JP 1977-127147	A	19771021		

OS MARPAT 91:108193

GI

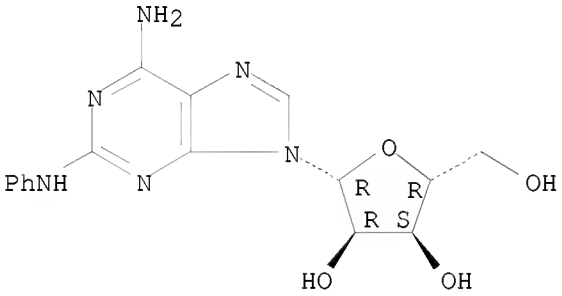


AB Diaminonebularines I (R = optionally substituted Ph, cyclohexyl) were prepared by treating the aminoimidazolecarbonitrile II or its protected derivs. with RN:C:NR4 (R4 = H, R). Thus, 5-amino-1-β-D-ribofuranosyl-4-imidazolecarboxamide was acylated, dehydrated, and deacylated to give II, which was treated with PhNHCN to give I (R = Ph). PhNHCN was prepared by treating PhNH2 with KSCN and H2S elimination from PhNHCSNH2 with KOH and Pb(OAc)4.

IT 53296-10-9P 53296-20-1P 53296-21-2P
70590-18-0P 70590-20-4P 70590-22-6P
70590-23-7P 70590-25-9P 70590-26-0P

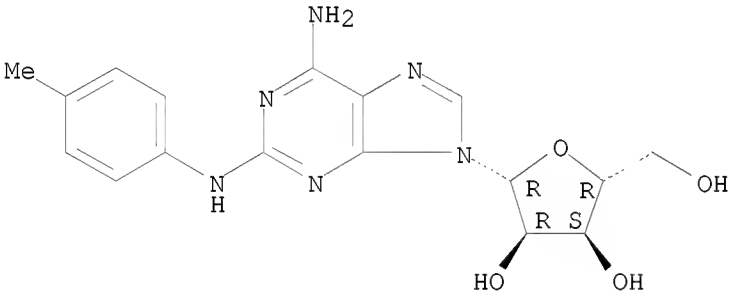
70590-27-1P 70590-28-2P 70590-29-3P
70590-30-6P 70590-31-7P 71231-75-9P
71231-76-0P 71231-77-1P 71231-78-2P
71231-79-3P 71231-80-6P 71231-81-7P
71231-82-8P 71231-83-9P 71231-84-0P
71231-85-1P 71231-86-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



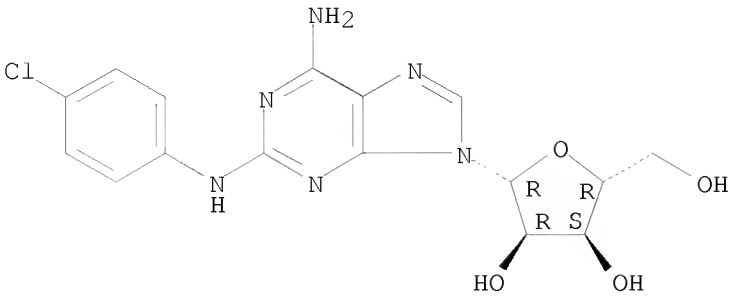
RN 53296-20-1 CAPLUS
CN Adenosine, 2-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



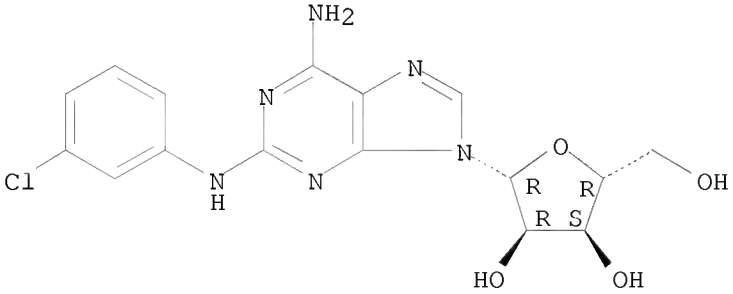
RN 53296-21-2 CAPLUS
CN Adenosine, 2-[(4-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



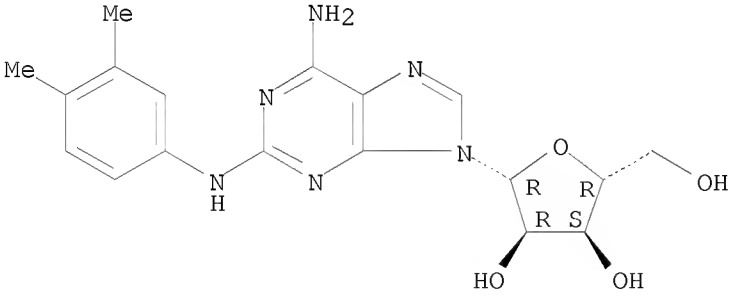
RN 70590-18-0 CAPLUS
CN Adenosine, 2-[(3-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



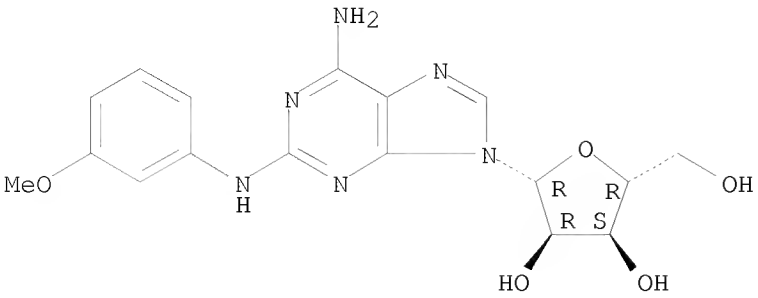
RN 70590-20-4 CAPLUS
CN Adenosine, 2-[(3,4-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



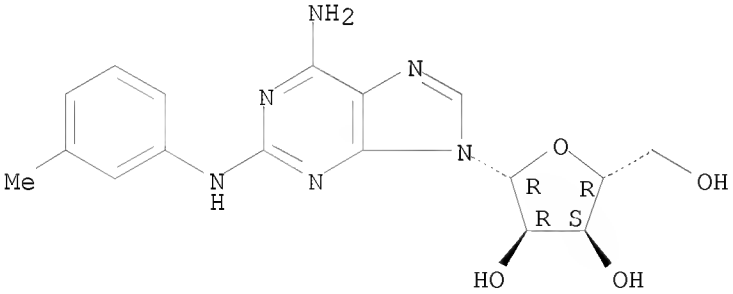
RN 70590-22-6 CAPLUS
CN Adenosine, 2-[(3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



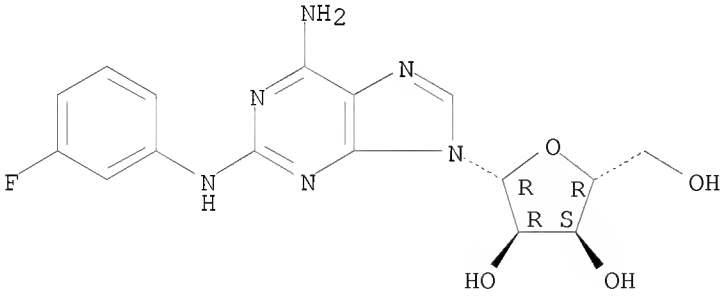
RN 70590-23-7 CAPLUS
CN Adenosine, 2-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



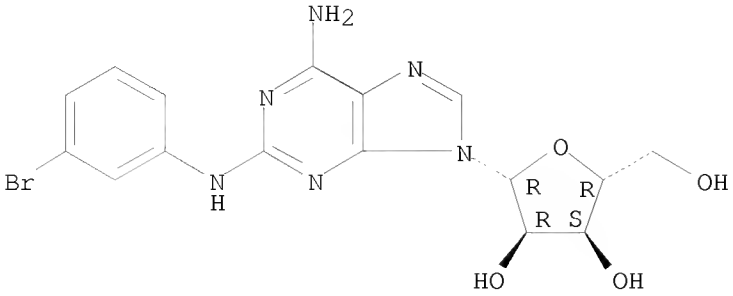
RN 70590-25-9 CAPLUS
CN Adenosine, 2-[(3-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



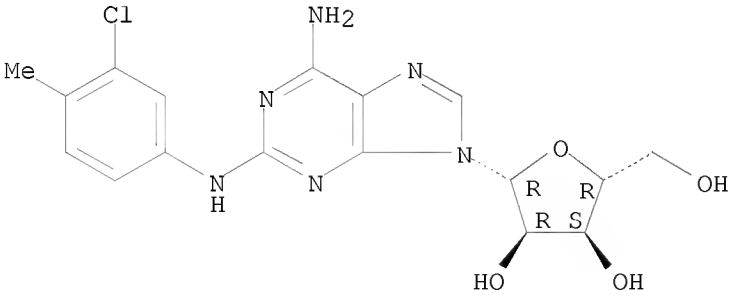
RN 70590-26-0 CAPLUS
CN Adenosine, 2-[(3-bromophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



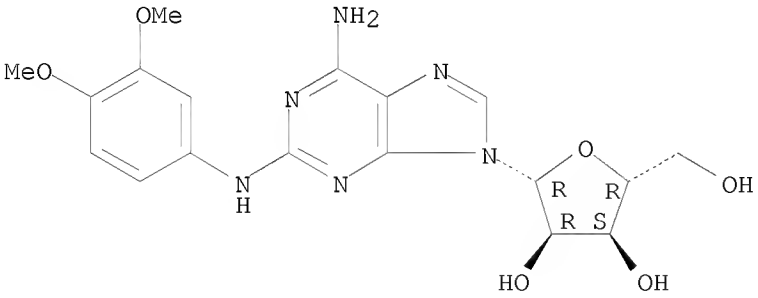
RN 70590-27-1 CAPLUS
CN Adenosine, 2-[(3-chloro-4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



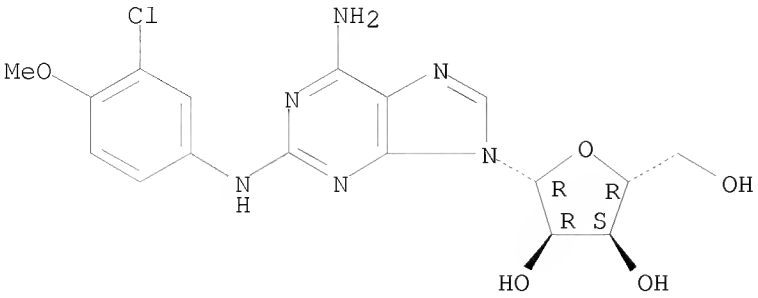
RN 70590-28-2 CAPLUS
CN Adenosine, 2-[(3,4-dimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



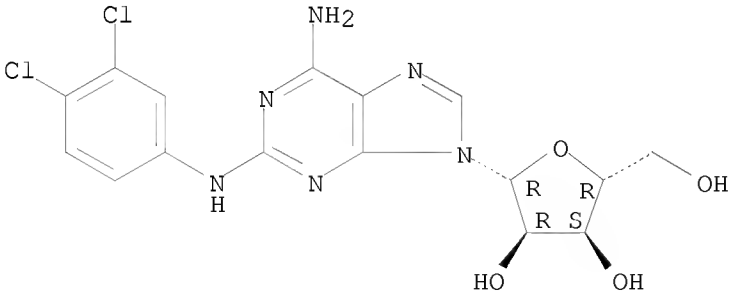
RN 70590-29-3 CAPLUS
CN Adenosine, 2-[(3-chloro-4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



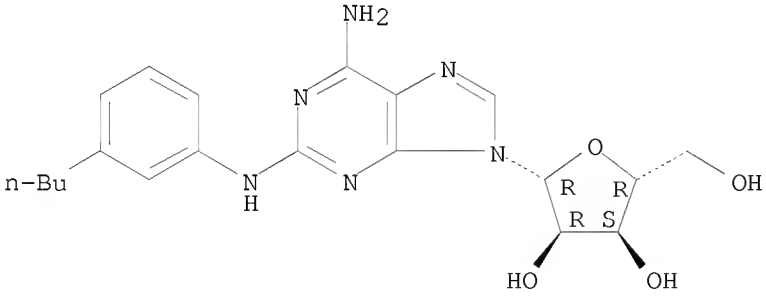
RN 70590-30-6 CAPLUS
CN Adenosine, 2-[(3,4-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



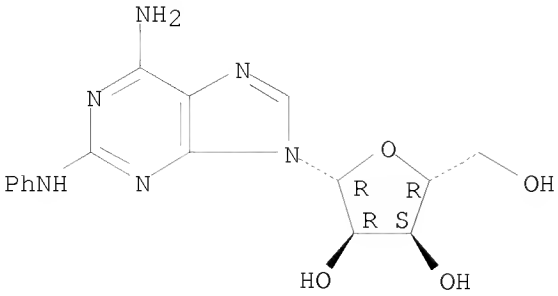
RN 70590-31-7 CAPLUS
CN Adenosine, 2-[(3-butylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 71231-75-9 CAPLUS
CN Adenosine, 2-(phenylamino)-, monohydrochloride (9CI) (CA INDEX NAME)

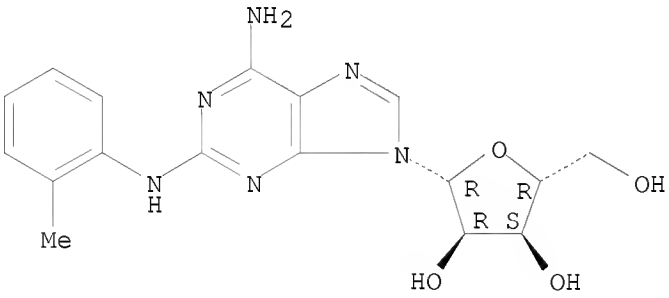
Absolute stereochemistry.



● HCl

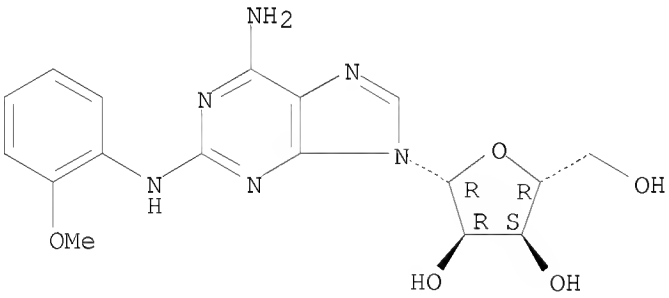
RN 71231-76-0 CAPLUS
CN Adenosine, 2-[(2-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



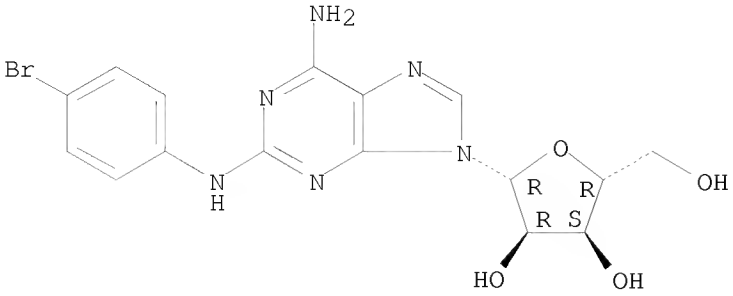
RN 71231-77-1 CAPLUS
CN Adenosine, 2-[(2-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



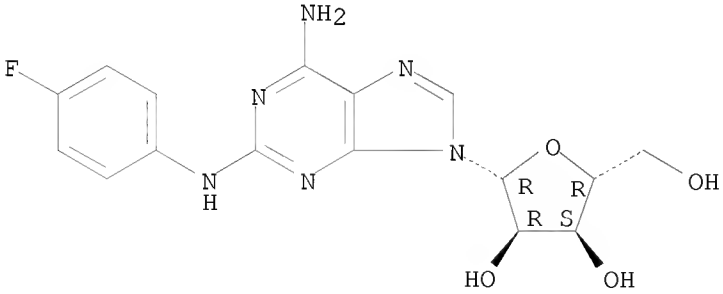
RN 71231-78-2 CAPLUS
CN Adenosine, 2-[(4-bromophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



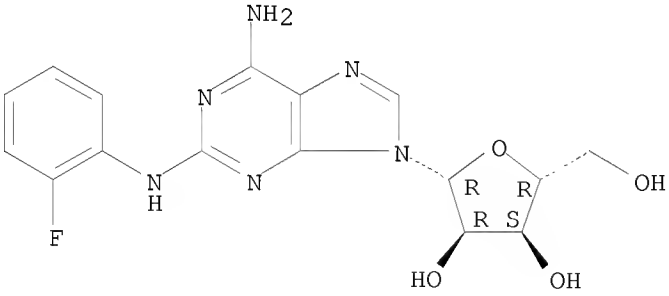
RN 71231-79-3 CAPLUS
CN Adenosine, 2-[(4-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



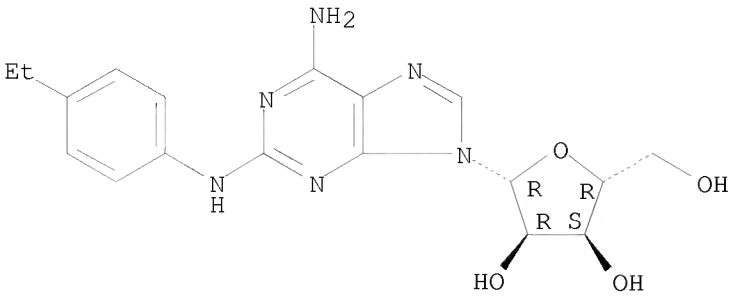
RN 71231-80-6 CAPLUS
CN Adenosine, 2-[(2-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



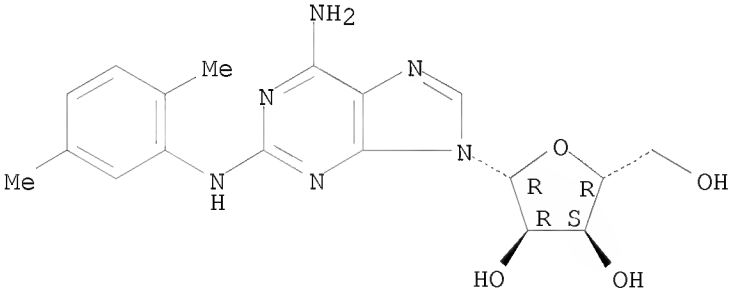
RN 71231-81-7 CAPLUS
CN Adenosine, 2-[(4-ethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



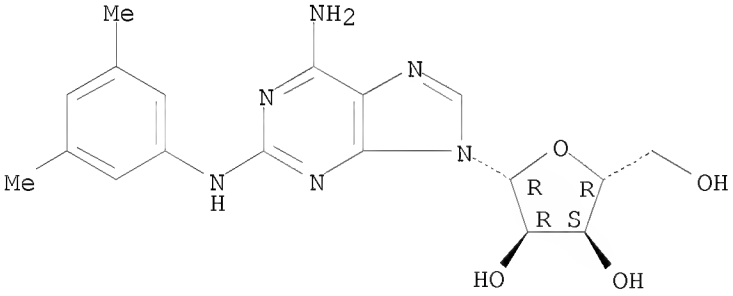
RN 71231-82-8 CAPLUS
CN Adenosine, 2-[(2,5-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



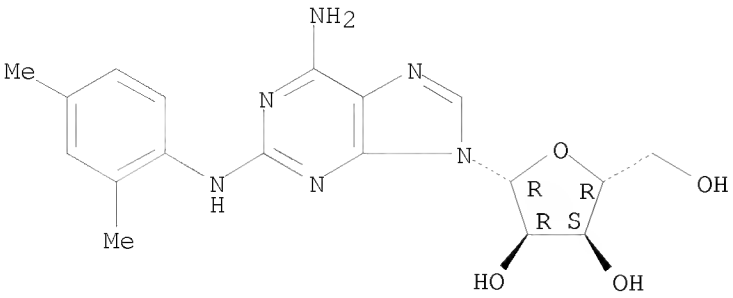
RN 71231-83-9 CAPLUS
CN Adenosine, 2-[(3,5-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



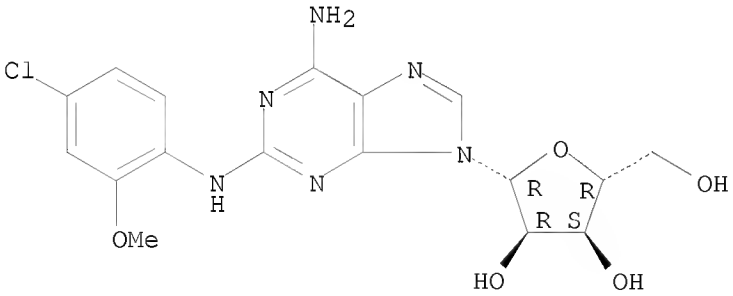
RN 71231-84-0 CAPLUS
CN Adenosine, 2-[(2,4-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



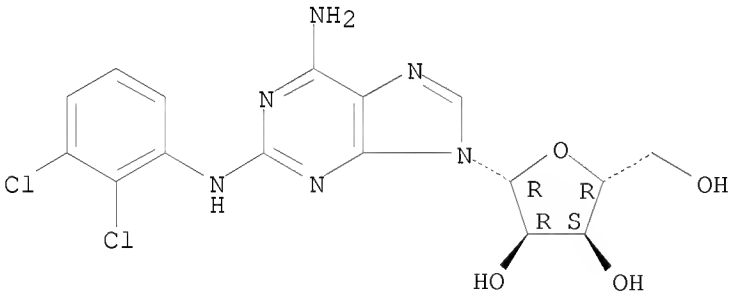
RN 71231-85-1 CAPLUS
CN Adenosine, 2-[(4-chloro-2-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 71231-86-2 CAPLUS
CN Adenosine, 2-[(2,3-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



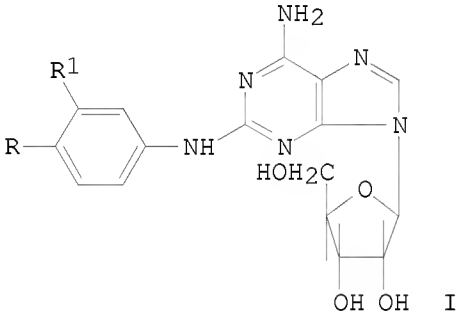
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 178 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1979:474850 CAPLUS
DN 91:74850
OREF 91:12117a,12120a
TI N2-Substituted phenyl-2,6-diaminonebularine
IN Marumoto, Ryuji; Tanabe, Masao; Furukawa, Yoshiyasu
PA Takeda Chemical Industries, Ltd., Japan
SO Ger. Offen., 24 pp.

CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2845496	A1	19790426	DE 1978-2845496	19781019
	JP 54061195	A	19790517	JP 1977-127148	19771021
	GB 2007213	A	19790516	GB 1978-39582	19781006
	GB 2007213	B	19820526		
	AU 7840512	A	19800417	AU 1978-40512	19781009
	AU 521102	B2	19820318		
	SE 7810905	A	19790422	SE 1978-10905	19781019
	NL 7810520	A	19790424	NL 1978-10520	19781020
	FR 2406641	A1	19790518	FR 1978-29946	19781020
	FR 2406641	B1	19820611		
	US 4225591	A	19800930	US 1978-953254	19781020
PRAI	JP 1977-127148	A	19771021		

OS MARPAT 91:74850
GI



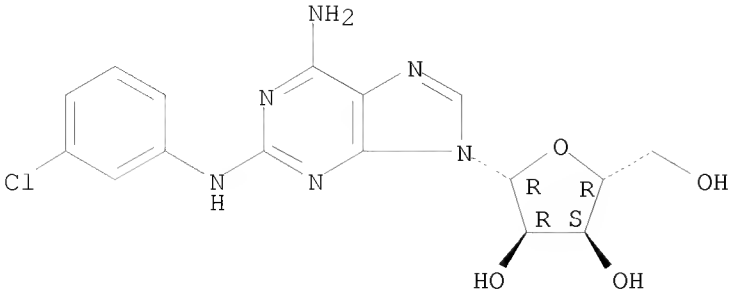
I

AB The title compds. I (R = R1 = H, halogen, lower alkyl or alkoxy) and their salts were prepared for use as coronary vasodilators (test data tabulated). Thus, 5-amino-1-β-D-ribofuranosyl-4-cyanoimidazole reacted with 3-ClC6H4NHCN to give I (R = H, R1 = Cl).

IT 70590-19-1P 70590-20-4P 70590-22-6P
70590-23-7P 70590-25-9P 70590-27-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and coronary vasodilator activity of)

RN 70590-19-1 CAPLUS
CN Adenosine, 2-[(3-chlorophenyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

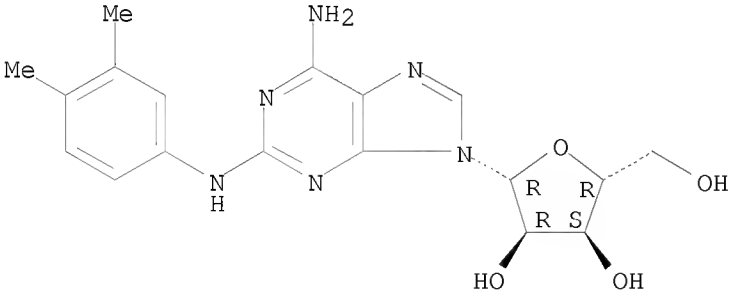
Absolute stereochemistry.



● HCl

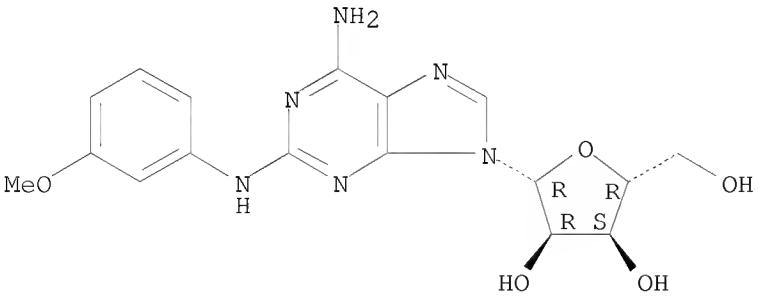
RN 70590-20-4 CAPLUS
CN Adenosine, 2-[(3,4-dimethylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



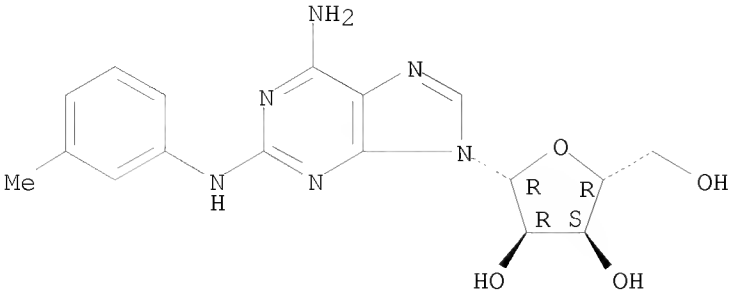
RN 70590-22-6 CAPLUS
CN Adenosine, 2-[(3-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



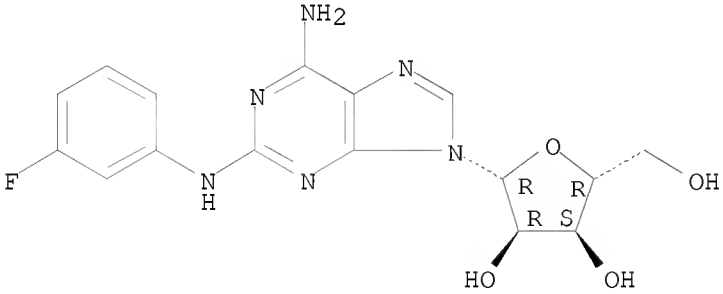
RN 70590-23-7 CAPLUS
CN Adenosine, 2-[(3-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



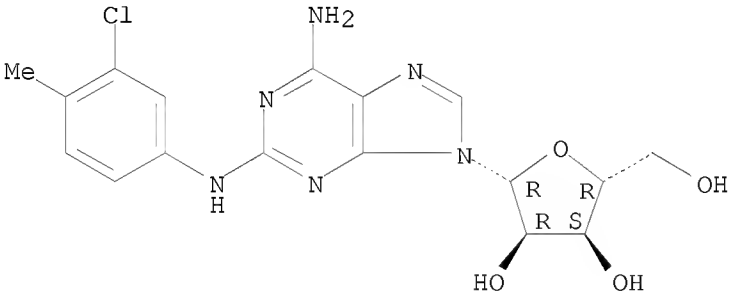
RN 70590-25-9 CAPLUS
CN Adenosine, 2-[(3-fluorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



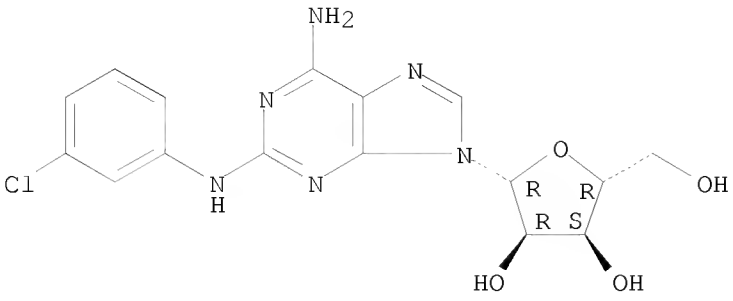
RN 70590-27-1 CAPLUS
CN Adenosine, 2-[(3-chloro-4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



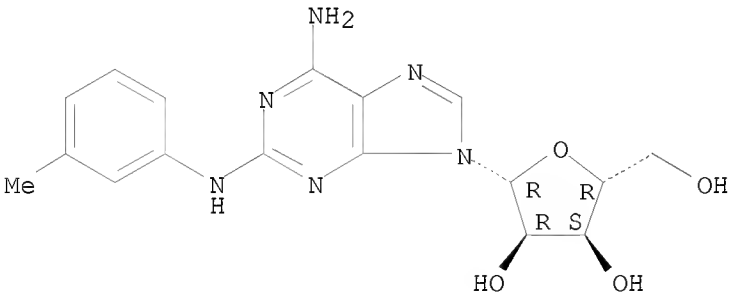
IT 70590-18-0P 70590-24-8P 70590-26-0P
70590-28-2P 70590-29-3P 70590-30-6P
70590-31-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 70590-18-0 CAPLUS
CN Adenosine, 2-[(3-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 70590-24-8 CAPLUS
CN Adenosine, 2-[(3-methylphenyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

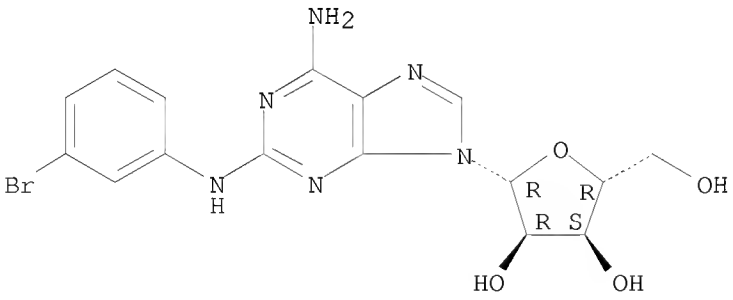
Absolute stereochemistry.



● HCl

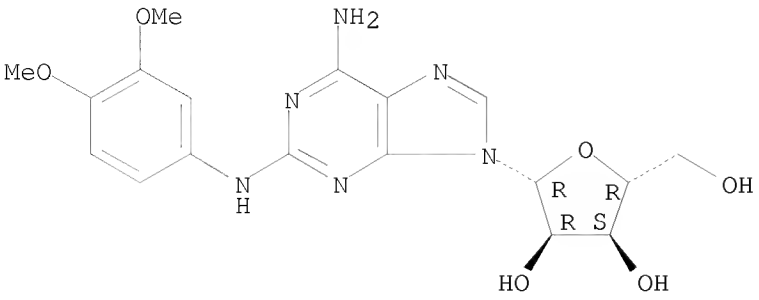
RN 70590-26-0 CAPLUS
CN Adenosine, 2-[(3-bromophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



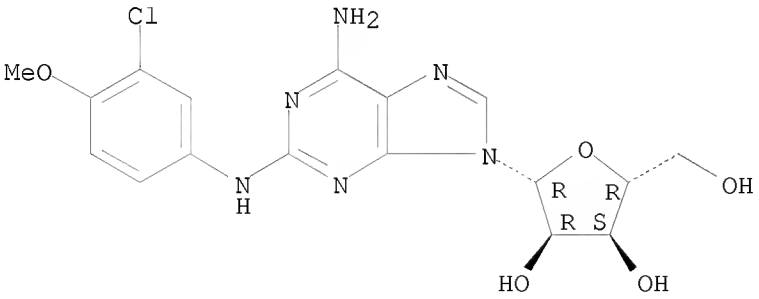
RN 70590-28-2 CAPLUS
CN Adenosine, 2-[(3,4-dimethoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



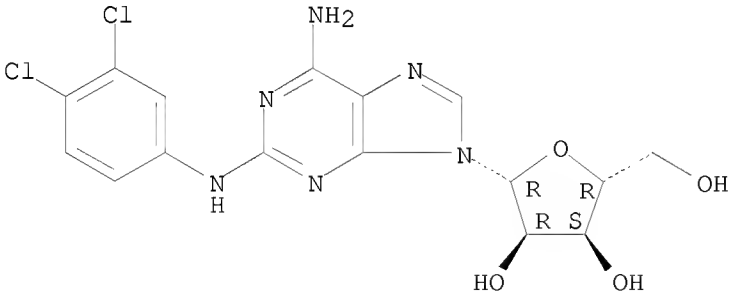
RN 70590-29-3 CAPLUS
CN Adenosine, 2-[(3-chloro-4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



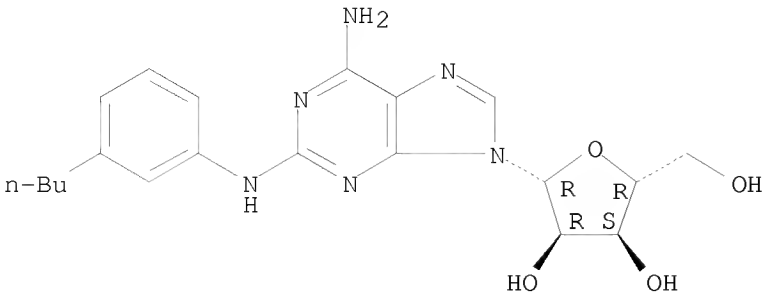
RN 70590-30-6 CAPLUS
CN Adenosine, 2-[(3,4-dichlorophenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 70590-31-7 CAPLUS
CN Adenosine, 2-[(3-butylphenyl)amino]- (9CI) (CA INDEX NAME)

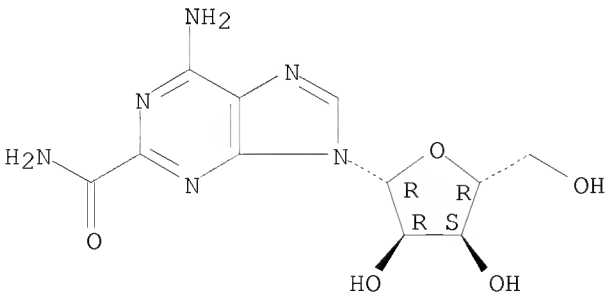
Absolute stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

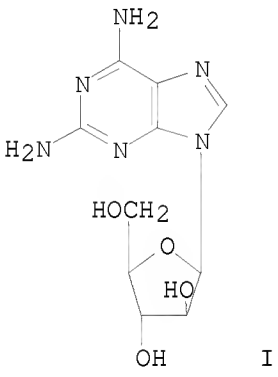
L4 ANSWER 179 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1979:439769 CAPLUS
DN 91:39769
OREF 91:6497a,6500a
TI Nucleosides and nucleotides. XXVII. Synthesis of 2- and 8-cyanoadenosines and their derivatives
AU Matsuda, Akira; Nomoto, Yuji; Ueda, Tohru
CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, 060, Japan
SO Chemical & Pharmaceutical Bulletin (1979), 27(1), 183-92
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
OS CASREACT 91:39769
AB A facile displacement of a methylsulfonyl group in adenosines with cyanide ion is described. Treatment of protected 8-(methylsulfonyl)adenosines with NaCN in DMF gave the 8-cyanoadenosine. The conversion of the cyano group to the Me imidate, methoxycarbonyl, carbamoyl, and carboxylic acid was achieved. Similar reaction was carried out with 2-(methylsulfonyl)adenosine to give the 2-cyanoadenosine and their derivs. The NMR and CD spectra of these 2- and 8-substituted adenosines are given. The 8-substituted adenosines possess syn-conformations while the 2-substituted derivs. prefer anti-conformations, as confirmed by the CD and NMR spectra.
IT 70255-72-0P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and spectra of)
RN 70255-72-0 CAPLUS
CN Adenosine, 2-(aminocarbonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 20 THERE ARE 20 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

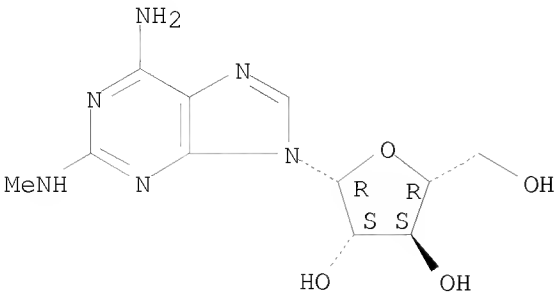
L4 ANSWER 180 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1976:84276 CAPLUS
DN 84:84276
OREF 84:13761a,13764a
TI Biological activities of some purine arabinosides
AU Elion, Gertrude B.; Rideout, Janet L.; DeMiranda, Paulo; Collins, Peter; Bauer, D. J.
CS Wellcome Res. Lab., Burroughs Wellcome Co., Research Triangle Park, NC, USA
SO Annals of the New York Academy of Sciences (1975), 255(Chem., Biol., Clin. Uses Nucleoside Analogs), 468-80
CODEN: ANYAA9; ISSN: 0077-8923
DT Journal
LA English
GI



I

AB 2,6-Diaminopurine arabinoside (I) [34079-68-0] had antiviral activity against various viruses in rodents and in vitro. Several related purine arabinosides were tested against vaccinia and herpes in tissue culture, in mice infected intracerebrally, and in exptl. keratitis in the rabbit eye, and several of them showed no activity in vitro but were active against the same virus in vivo. Only the thiopurine derivs. were inhibitory against mammalian cells in vitro. The metabolism and pharmacokinetics of I is discussed and the preparation of I is described.
IT 58286-43-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virucidal activity of)
RN 58286-43-4 CAPLUS
CN 9H-Purine-2,6-diamine, 9-β-D-arabinofuranosyl-N2-methyl- (CA INDEX NAME)

Absolute stereochemistry.



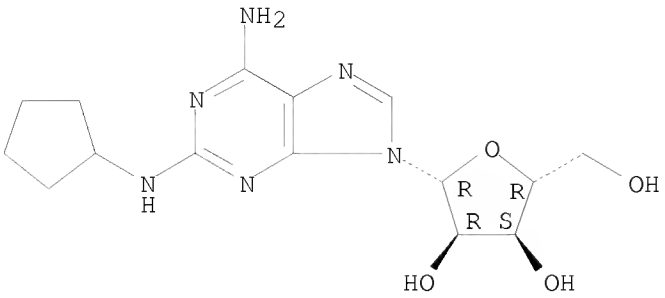
OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L4 ANSWER 181 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1976:74578 CAPLUS
DN 84:74578
OREF 84:12255a,12258a
TI 2-Cycloalkylaminoadenosines
IN Kikugawa, Kiyomi; Suehiro, Hideo; Ichino, Motonobu; Nakamura, Tokuro
PA Kohjin Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 50101383	A	19750811	JP 1974-8512	19740121
	JP 56030355	B	19810714		
PRAI	JP 1974-8512		19740121		

OS CASREACT 84:74578
GI For diagram(s), see printed CA Issue.
AB 2-Cycloalkylaminoadenosines (I; n = 2-7) were prepared by reaction of 2-substituted adenosines (II; R = H, C2-C4 aliphatic acyl, C7-C9 aromatic acyl; X = Cl, Br, iodine, active HS, active sulfonyl) with cycloalkylamines (III). I had coronary vasodilating and blood platelet coagulation inhibiting activities. Thus, refluxing 0.5 g II (R = H, X = Cl) with 5 ml III (n = 4) 14 hr gave 70.5% I (n = 4). Also, prepared were I (n given): 5 and 7.
IT 57972-89-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 57972-89-1 CAPLUS
CN Adenosine, 2-(cyclopentylamino)- (9CI) (CA INDEX NAME)

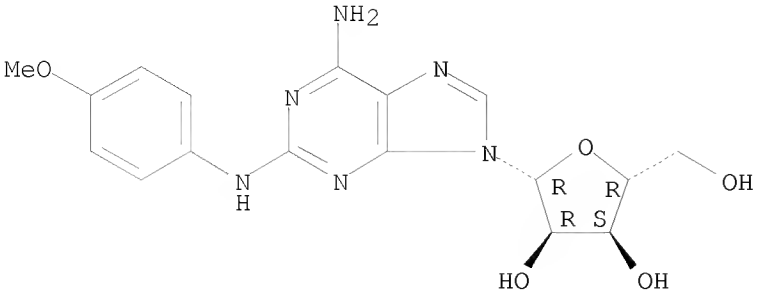
Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

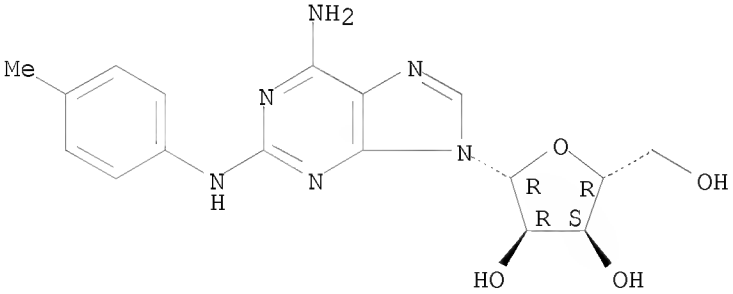
L4 ANSWER 182 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1975:479518 CAPLUS
DN 83:79518
OREF 83:12499a,12502a
TI Synthesis and coronary vasodilating activity of 2-substituted adenosines
AU Marumoto, Ryuji; Yoshioka, Yoshio; Miyashita, Osamu; Shima, Shunsuke; Imai, Kinichi; Kawazoe, Katsuyoshi; Honjo, Mikio
CS Cent. Res. Div., Takeda Chem. Ind., Osaka, Japan
SO Chemical & Pharmaceutical Bulletin (1975), 23(4), 759-74
CODEN: CPBTAL; ISSN: 0009-2363
DT Journal
LA English
AB 2-Haloadenosines were prepared by acetylation of 2-haloinosines followed by chlorination and amination. 2-Alkoxyadenosines were prepared by protection of 2'- and 3'-OH groups of 2-chloroadenosine (I) or 2-chloroinosine, followed by substitution of the C atom with alkoxy group. The reaction of 5-amino-4-cyano-1-β-D-ribofuranosylimidazole with CS2 afforded 2,6-di-mercapto-9-β-D-ribofuranosylpurine, which was converted to 2-mercaptoadenosine and its S-substituted derivs. 2-Phenylaminoadenosine (II) was prepared from 2-phenylamino-2',3',5'-tri-O-acetylinosine, which was prepared by acetylation of 2-phenylaminoinosine with AcCl in HOAc. O-substituted 2-hydroxyadenosines, S-substituted 2-mercaptoadenosines, N2-substituted 2-aminoadenosines, 2-alkyl- and -aryl-adenosines were prepared among which several compds. had coronary vasodilating potency. II showed not only a strong potency, but also a longer duration of the effect than that of I.
IT 53296-19-8 53296-20-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(coronary vasodilating activity of)
RN 53296-19-8 CAPLUS
CN Adenosine, 2-[(4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



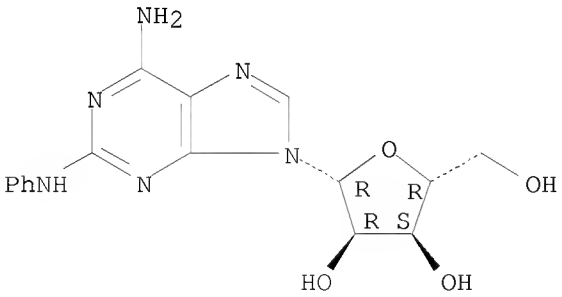
RN 53296-20-1 CAPLUS
CN Adenosine, 2-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 53296-10-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and coronary vasodilating activity of)
RN 53296-10-9 CAPLUS
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 21 THERE ARE 21 CAPLUS RECORDS THAT CITE THIS RECORD (21 CITINGS)

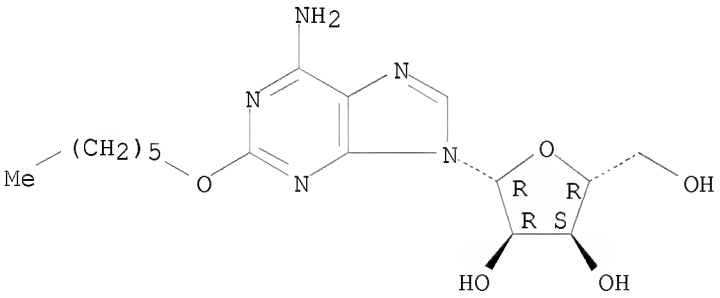
L4 ANSWER 183 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1975:156651 CAPLUS
DN 82:156651
OREF 82:25025a,25028a
TI 2-Substituted adenosines

IN Miyashita, Osamu; Yoshioka, Yoshio; Honjo, Mikio
PA Takeda Chemical Industries, Ltd.
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 49124096	A	19741127	JP 1973-37234	19730331
PRAI JP 1973-37234	A	19730331		

GI For diagram(s), see printed CA Issue.
AB 2-Substituted adenosines [I; R = lower alkyl, R5O(CH2)n (R5 = H, lower alkyl, Ph acyl; n = 2-6), phenyl] were prepared by treating 2,6-disubstituted nebularines (II; R2 = H, acyl; R3 = active groups convertible into an NH2 group by reaction with NH3) with NH3. I had coronary vasodilating (in dogs) and hypotensive actions. Thus, 2.8 g 2-β-methoxyethoxy-6-chloro-2',3',5'-tri-O-acetylnebularine [prepared from 2-(β-methoxyethoxy)-inosine (III) via 2-(β-methoxyethoxy)-2',3',5'-tri-O-acetylinosine] was autoclaved with 20 ml NH3-MeOH 5 hr at 100° to give 0.9 g 2-(β-methoxyethoxy)adenosine. Among 13 more I prepared were 2-butoxy-, 2-(β-ethoxyethoxy)-, 2-(β-hydroxyethoxy)-, and 2-(β-phenoxyethoxy)adenosines.
IT 50257-95-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 184 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1974:491898 CAPLUS
DN 81:91898
OREF 81:14577a,14580a
TI 2,6-Diaminonebularin derivatives
IN Marumoto, Ryuji; Yoshioka, Yoshio; Honjo, Mikio; Kawazoe, Katsuyoshi
PA Takeda Chemical Industries, Ltd.
SO Ger. Offen., 21 pp.
CODEN: GWXXBX
DT Patent
LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2359536	A1	19740612	DE 1973-2359536	19731129
	DE 2359536	C2	19840802		
	JP 49080096	A	19740802	JP 1972-123602	19721208
	JP 55049594	B	19801212		
	JP 55049596	B	19801212	JP 1973-114542	19731011
	JP 50064296	A	19750531		
PRAI	JP 1972-123602	A	19721208		
	JP 1973-114542	A	19731011		

GI For diagram(s), see printed CA Issue.

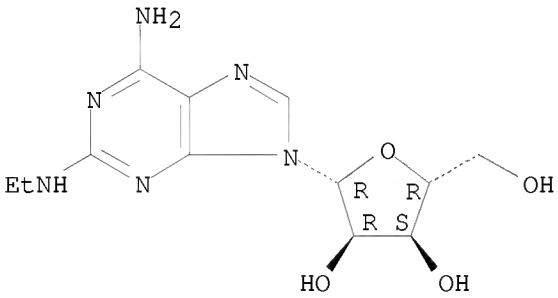
AB Diaminonebularines I (R = Ph, cyclohexyl, p-MeOC6H4, p-MeC6H4, p-ClC6H4, p-methylcyclohexyl) were prepared by treating a 2-haloadenosine with RNH2 or by treating a 2-halo-inosine with RNH2 and NH3. I (R = Ph) had 6.75 times the coronary vasodilator activity of adenosine and at 15 γ/ml caused 38% inhibition of blood platelet aggregation.

IT 31657-02-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. activity of)

RN 31657-02-0 CAPLUS

CN Adenosine, 2-(ethylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

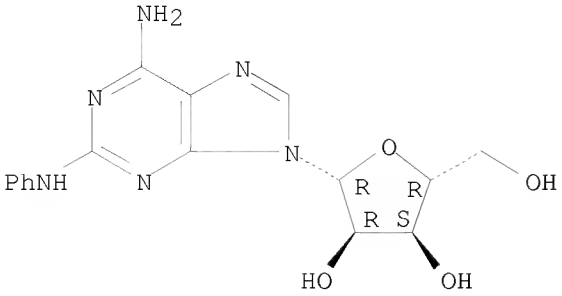


IT 53296-10-9P 53296-19-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and pharmacol. activity of)

RN 53296-10-9 CAPLUS

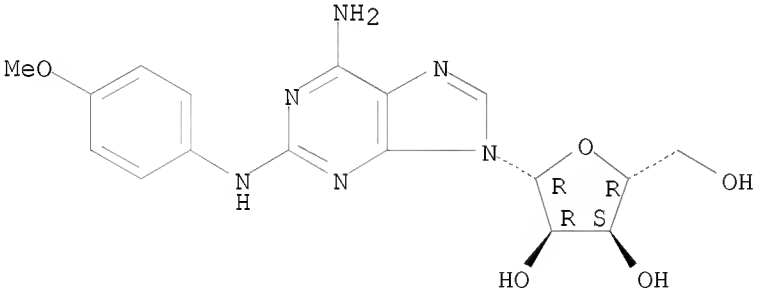
CN Adenosine, 2-(phenylamino)- (CA INDEX NAME)

Absolute stereochemistry.



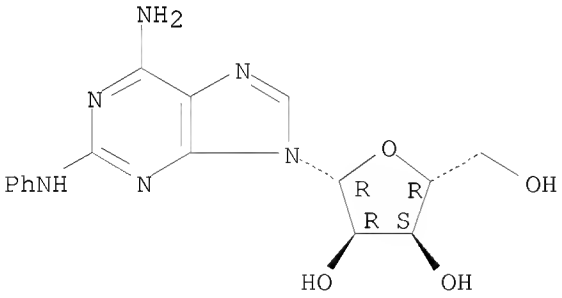
RN 53296-19-8 CAPLUS
CN Adenosine, 2-[(4-methoxyphenyl)amino]- (9CI) (CA INDEX NAME)

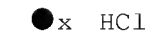
Absolute stereochemistry.



IT 53296-11-0P 53296-20-1P 53296-21-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 53296-11-0 CAPLUS
CN Adenosine, 2-(phenylamino)-, hydrochloride (9CI) (CA INDEX NAME)

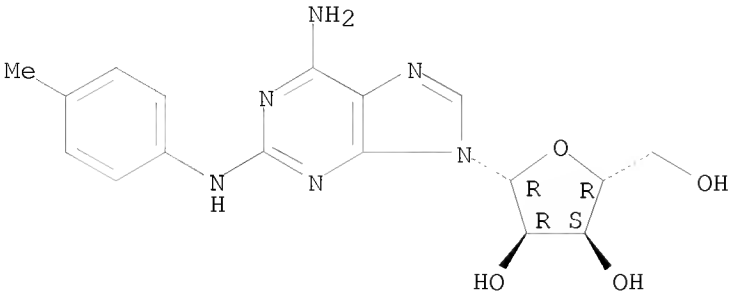
Absolute stereochemistry.





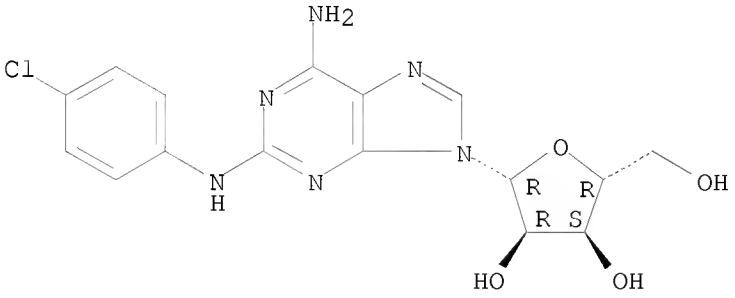
RN 53296-20-1 CAPLUS
CN Adenosine, 2-[(4-methylphenyl)amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 53296-21-2 CAPLUS
CN Adenosine, 2-[(4-chlorophenyl)amino]- (9CI) (CA INDEX NAME)

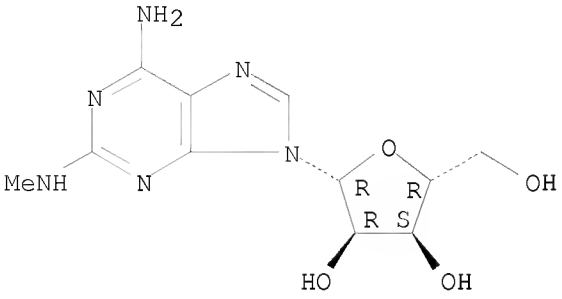
Absolute stereochemistry.



L4 ANSWER 185 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1974:433252 CAPLUS
DN 81:33252
OREF 81:5285a,5288a
TI Coronary dilator actions of adenosine analogs
AU Cobbin, L. B.; Einstein, Rosemarie; Maguire, M. Helen
CS Dep. Pharmacol., Univ. Sydney, Sydney, Australia
SO British Journal of Pharmacology (1974), 50(1), 25-33
CODEN: BJPCBM; ISSN: 0007-1188
DT Journal
LA English
AB Of 23 adenosine (I) [58-61-7] analogs, 22 stimulated coronary blood flow, with potencies which were not related to their durations of action, and durations which were not related to their substrate specificities for adenosine deaminase [9026-93-1] or adenosine kinase [9027-72-9]. Five of the analogs, which were injected intraatrially into anesthetized open thorax dogs, had potencies equal to or greater than that of I, and 4 potentiated the coronary dilator action of I. The duration of this activity may be governed by the rate of tissue uptake of each analog.
IT 13364-95-9 31657-02-0
RL: BIOL (Biological study)
(coronary dilation from)
RN 13364-95-9 CAPLUS

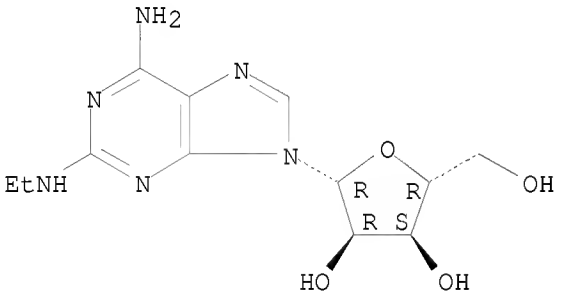
CN Adenosine, 2-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 31657-02-0 CAPLUS
CN Adenosine, 2-(ethylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



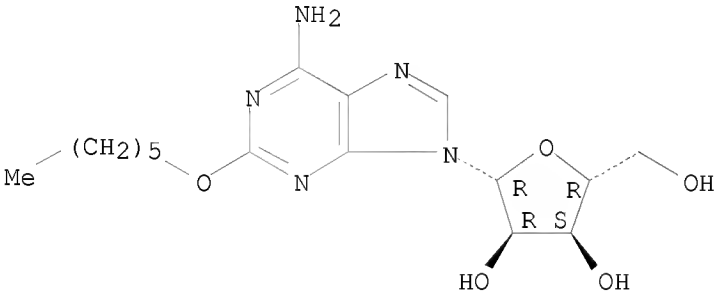
OSC.G 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)

L4 ANSWER 186 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1973:466747 CAPLUS
DN 79:66747
OREF 79:10787a,10790a
TI Coronary dilating 2-alkoxyadenosines
IN Yoshioka, Yoshio; Marumoto, Ryuji; Honjo, Mikio; Kwawzoe, Katsuyoshi
PA Takeda Chemical Industries, Ltd.
SO Ger. Offen., 22 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2258378	A1	19730614	DE 1972-2258378	19721129
	JP 48061498	A	19730828	JP 1971-97431	19711201
	JP 48076894	A	19731016	JP 1972-8885	19720124
	AU 7249412	A	19740530	AU 1972-49412	19721129
	BE 792155	A1	19730530	BE 1972-124819	19721130
	NL 7216299	A	19730605	NL 1972-16299	19721130
	FR 2162128	A1	19730713	FR 1972-42673	19721130

PRAI JP 1971-97431 A 19711201
JP 1972-8885 A 19720124
GI For diagram(s), see printed CA Issue.
AB Twenty adenosines I (R = e.g., MeOCH2CH2, BuOCH2CH2, Ph, Et, Pr, Bu, C5H11, CH2:CHCH2, 3-MeC6H4, Me2CH) were prepared by reaction of 2-chloro- or 2-bromoadenosine with ROH in the presence of NaOR, KOR, KOH, NaOH, or Ca(OH)2. I had coronary dilating activities in dogs.
IT 50257-95-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 50257-95-9 CAPLUS
CN Adenosine, 2-(hexyloxy)- (CA INDEX NAME)

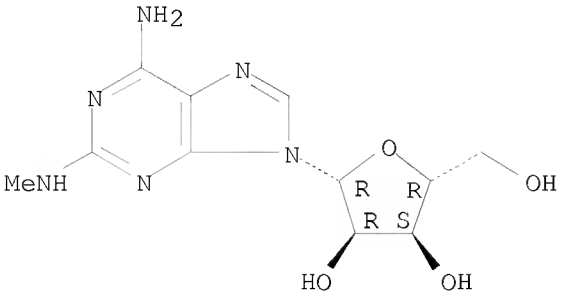
Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)

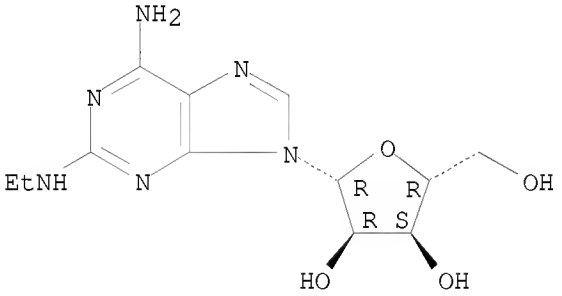
L4 ANSWER 187 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1972:11455 CAPLUS
DN 76:11455
OREF 76:1877a,1880a
TI Adenosine deaminase. 2. Specificity and mechanism of action of bovine placental adenosine deaminase
AU Maguire, M. Helen; Sim, Meng K.
CS Dep. Pharmacol., Univ. Sydney, Sydney, Australia
SO European Journal of Biochemistry (1971), 23(1), 22-9
CODEN: EJBCAI; ISSN: 0014-2956
DT Journal
LA English
AB Adenosine deaminase purified from the maternal component of the bovine placenta catalyzed the hydrolytic removal of amino, chloro, hydroxylamino, methoxy, and methoxyamino substituents from the 6 position of purine ribonucleosides. Both a bond-forming component dependent on steric factors, and a bond-stretching component dependent on the electronegativity of the leaving group are involved in the rate-determining formation of the transition complex. 2-Alkylamino-, 2-alkylthio-, and 2-halogenoadenosines are competitive inhibitors with Ki values which confirm the importance of the basicity of N1 of substrates and inhibitors in their binding to the active site of the enzyme.
IT 13364-95-9 31657-02-0
RL: BIOL (Biological study)
(adenosine deaminase inhibition by)
RN 13364-95-9 CAPLUS
CN Adenosine, 2-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 31657-02-0 CAPLUS
CN Adenosine, 2-(ethylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

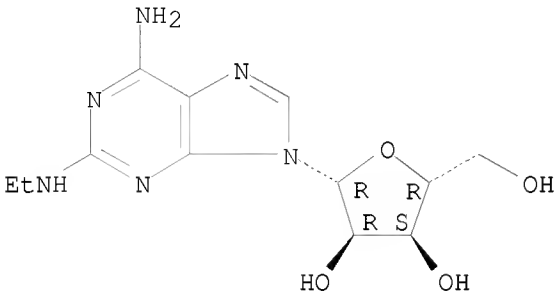


OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)

L4 ANSWER 188 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1971:433729 CAPLUS
DN 75:33729
OREF 75:5329a,5332a
TI Cardiovascular actions of substituted adenosine analogs
AU Angus, J. A.; Cobbin, L. B.; Eistein, Rosemarie; Maguire, M. H.
CS Dep. Pharmacol., Univ. Sydney, Sydney, Australia
SO British Journal of Pharmacology (1971), 41(4), 592-9
CODEN: BJPCBM; ISSN: 0007-1188
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB A comparison of the potency and duration of action of adenosine (I) and some I analogs on the systemic blood pressure, coronary blood flow, and cardiac contractility and rate in anesthetized open-thorax dogs showed that with the exception of 2-chloroadenosine, which increased the coronary dilator activity, all analogs with substitution in the 2-position decreased this activity. In all analogs, 2-substitution prolonged the duration of the coronary dilator activity of I. N6-Methylation of I and 2-chloroadenosine reduced the coronary dilator activities, but had no effect on the duration of the response. The coronary dilator potencies and hypotensive activities of 2-ethylaminoadenosine and 2-methoxyadenosine

indicated some specificity of these analogs for the coronary bed.
IT 31657-02-0
RL: BIOL (Biological study)
(circulation response to)
RN 31657-02-0 CAPLUS
CN Adenosine, 2-(ethylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

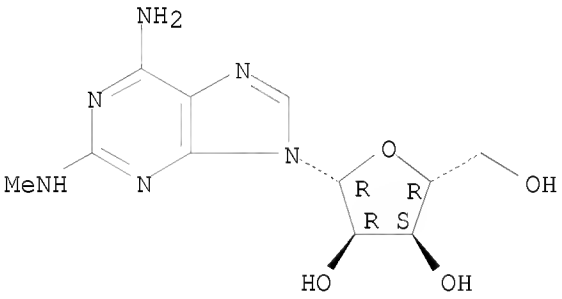
L4 ANSWER 189 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1970:86293 CAPLUS
DN 72:86293
OREF 72:15675a,15678a
TI Calorimetric study of monomer-polymer complexes formed by polyribouridylic acid and some adenine derivatives
AU Scruggs, Robert L.; Ross, Philip D.
CS Nat. Inst. of Arthritis and Metab. Dis., Nat. Inst. of Health, Bethesda, MD, USA
SO Journal of Molecular Biology (1970), 47(1), 29-40
CODEN: JMOBAK; ISSN: 0022-2836
DT Journal
LA English
AB This paper describes a calorimetric study of the reaction between the various adenine derivs. with the common substrate polyribouridylic acid to form monomer-polymer complexes of the stoichiometry A:2 poly U. A heat of reaction of -2.8 kcal/mole of A:2 poly U complex was found for the interaction between poly U and either adenine, adenosine, or deoxyadenosine in 0.6M MaCl at 20°. This result indicates that the presence or absence of the sugar group or the 2'-OH group contributes little to the ΔH of these monomer-polymer complexes. Complexes of poly U with 2-aminoadenosine and 2,6-diaminopurine, which can form 3 H bonds with the first strand of poly U, were 3 kcal/mole more exothermic; that is, ΔH is -15.8 kcal/ mole of A:2 polyU complex. These results were independently confirmed by direct calorimetric measurement of the energy absorbed in the melting of these complexes. It was found that the 2-amino derivs. are 3 kcal/mole more stable with respect to ΔH than the adenosine derivs. at their respective melting temperatures, Tm. The standard entropy changes at Tm calculated for dissociating these complexes are large, pos., and different for each system studied, with ΔS^{c} varying between 42 and 49 cal/degree mole. It is suggested that the addnl. favorable enthalpy change accompanying the addition of the 2nd polymer strand to form the 1:2 complex is decisive for

overcoming the large unfavorable entropy change accompanying the immobilization of the monomer species upon incorporation into the 1:1 complex. This would account for the observation that monomer-polymer complexes are usually of 1:2 stoichiometry.

IT 13364-95-9
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with polyribouridylic acid, heat of)

RN 13364-95-9 CAPLUS
CN Adenosine, 2-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 190 OF 190 CAPLUS COPYRIGHT 2011 ACS on STN
AN 1959:11835 CAPLUS
DN 53:11835
OREF 53:2236a-i,2237a
TI Synthesis of potential anticancer agents. XIV. Ribosides of 2,6-disubstituted purines
AU Schaeffer, Howard J.; Thomas, H. Jeanette
CS Southern Research Inst., Birmingham, AL
SO Journal of the American Chemical Society (1958), 80, 3738-42
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA Unavailable
OS CASREACT 53:11835
AB cf. C.A. 52, 10104e. 2,6-Dichloropurine (1.60 g.), 2.40 g. Celite, 1.14 g. HgCl2, and 210 cc. 50% aqueous EtOH treated slowly with stirring with 3.04 cc. 10% aqueous NaOH, cooled overnight, and filtered, and the residue washed and dried 8 hrs. at 61°/3 mm. over P2O5 yielded 4.80 g. mixture of 2.40 g. Celite and 2.40 g. bis(2,6-dichloropurinyl)mercury (I). 2,3,5-Tri-O-benzoyl-D-ribofuranosyl chloride from 7.67 g. 1-O-acetyl-2,3,5-tri-O-benzoyl-β-ribose in 50 cc. xylene added to 4.38 g. I and 4.60 g. Celite in 400 cc. dry xylene, refluxed 2 hrs. with stirring and filtered, the filter cake washed with hot CHCl3, the xylene filtrate evaporated, the residue dissolved in hot CHCl3, and the combined CHCl3 solns. washed with 30% aqueous KI and H2O, dried, treated with C, and concentrated yielded 9.93 g. 2,6-dichloro-9-(2,3,5-tri-O-benzoyl)-β-D-ribofuranosylpurine (II), tan glass. Crude II (2.11 g.) in 100 cc. absolute MeOH refluxed 1 hr., neutralized with AcOH, and evaporated in vacuo, the residue dissolved in 30 cc. H2O and extracted with CHCl3, the aqueous solution evaporated to leave 800 mg. gel, and a 200-mg. portion subjected to a partition

chromatography on Celite with H2O-saturated BuOH yielded 140 mg. 2-chloro-6-methoxy-9-β-D-ribofuranosylpurine (III), m. 140° (iso-PrOH-EtOAc), [α]26D -30.4 ± 2.3° (c 0.612, MeOH). III (308 mg.) in 50 cc. 50% aqueous MeOH hydrogenated under ambient conditions 39 min. over 100 mg. 5% Pd-C and 40 mg. MgO gave 203 mg. 6-methoxy-9-β-D-ribofuranosylpurine, m. 140° (MeOH-EtOAc). III (176 mg.) in 15 cc. MeOH (saturated with NH3 at 0°c) heated 16 hrs. at 83° in a steel bomb, filtered, and evaporated in vacuo, the residue dissolved in H2O, the solution treated with 10 cc. 14% aqueous picric acid, the precipitate filtered off and dissolved in H2O, the aqueous solution stirred with 0.3 g. Dowex 1 (CO3) and filtered, and the filtrate evaporated yielded 61 mg. 6-amino-2-chloro-9-β-D-ribofuranosylpurine (IV), m. 145-6° (decomposition). III (500 mg.) in 75 cc. MeOH treated with 3.16 cc. N NaSMe in MeOH, refluxed 2 hrs., cooled, neutralized with N HCl, and evaporated in vacuo, and the residue dissolved in hot H2O and cooled yielded 203 mg. amorphous 2-MeS analog of III, m. 160-1° with softening at 116°c, [α]26D -16.9 ± 2.1° (c 0.649, MeOH); 2nd crop, 140 mg. III (500 mg.) in 75 cc. MeOH refluxed 4 hrs. with 3.16 cc. N NaOMe, neutralized with N HCl, and evaporated in vacuo, and the residue recrystd. from H2O and dried 24 hrs. at 110°c/0.08 mm. over P2O5 gave 155 mg. 2,6-dimethoxy-9-β-D-ribofuranosylpurine, m. 163° with softening at 120°c, [α]32D -33.6 ± 2.2° (c 0.648, MeOH). Crude II (6.00 g.) and 420 cc. MeOH (saturated at 0° with NH3) stirred to solution, kept overnight, and evaporated in vacuo, the residue dissolved in 40 cc. H2O, washed with CHCl3, treated with 25 cc. 11% aqueous picric acid, and filtered, the residue dissolved in H2O, the solution stirred with 9 g. Dowex 1 (CO3) resin and filtered, and the filtrate concentrated to 20 cc. gave 670 mg. IV, m. 142° (decomposition). IV (302 mg.) in 50 cc. MeOH refluxed 16 hrs. with 2 cc. N NaOMe, cooled, neutralized with N HCl, and evaporated in vacuo, and the residue recrystd. from H2O yielded 104 mg. 2-MeO analog of IV, m. 190-2° (decomposition), [α]26D -43.3 ± 2.3° (c 0.610, MeOH). IV (300 mg.) in 50 cc. PrOH treated with 2.0 cc. N NaSMe in PrOH, refluxed 2.5 hrs., neutralized with N HCl, and filtered, and the filtrate evaporated in vacuo yielded 119 mg. 2-MeS analog of IV, m. 153° resolidified 185-90° and remelted 220° (decomposition). IV (302 mg.) in 10 cc. 25% aqueous Me2NH diluted with 35 cc. MeOH, heated 16 hrs. in a bomb at 100°c, and evaporated in vacuo, and the residue crystallized from 40 cc. H2O yielded 221 mg. 2-Me2N analog of IV, m. 213° (decomposition). IV (302 mg.) in 10 cc. 40% aqueous MeNH2 diluted with 35 cc. MeOH and heated 4 hrs. in a bomb at 100°c, the solution evaporated to dryness, and the residue crystal. from MeOH-EtOAc yielded 116 mg. 2-MeNH analog of IV, m. 198° (decomposition), [α]26D -42.8 ± 3.3° (c 0.416, MeOH). IV (602 mg.) added in portions to 30 cc. N2H4, kept 16 hrs. at room temperature under N, and evaporated in vacuo at 30°c, and the residue evaporated 3 times with 15-cc. portions iso-PrOH and recrystd. yielded 225 mg. 2-H2NNH analog (V) of IV, m. 143° resolidified at 150-5° and remelted at 200° with decomposition (2nd crop, 51 mg.), [α]26D -33.0 ± 1.8° (c 0.763, H2O). V (297 mg.) in 7 cc. 5% aqueous AcOH treated with cooling with 83 mg. NaNO2 in 17 cc. H2O, cooled 1 hr., and filtered, and the residue (218 mg.) recrystd. from H2O and dried 48 hrs. at 100°c/0.07 mm. over P2O5 yielded 142 mg. 2-N2 analog of IV, m.

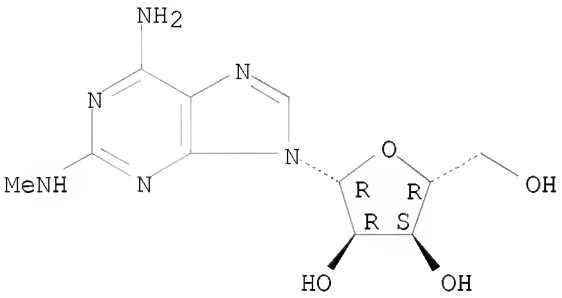
159-60° (decomposition), [α]26D -27.6 ± 5.8° (c 0.232, MeOH).

IT 13364-95-9P, 9H-Purine, 6-amino-2-methylamino-9-β-D-ribofuranosyl-
RL: PREP (Preparation)
(preparation of)

RN 13364-95-9 CAPLUS

CN Adenosine, 2-(methylamino)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)